

10/546,132>11/02/2007.

=> d his

(FILE 'HOME' ENTERED AT 15:52:41 ON 11 FEB 2007)

FILE 'REGISTRY' ENTERED AT 15:52:46 ON 11 FEB 2007  
L1 STRUCTURE uploaded

FILE 'STNGUIDE' ENTERED AT 15:54:02 ON 11 FEB 2007

FILE 'REGISTRY' ENTERED AT 16:02:10 ON 11 FEB 2007  
L2 STRUCTURE uploaded

FILE 'STNGUIDE' ENTERED AT 16:02:51 ON 11 FEB 2007

FILE 'REGISTRY' ENTERED AT 16:06:16 ON 11 FEB 2007  
L3 STRUCTURE uploaded

FILE 'STNGUIDE' ENTERED AT 16:06:49 ON 11 FEB 2007

FILE 'REGISTRY' ENTERED AT 16:09:08 ON 11 FEB 2007  
L4 STRUCTURE uploaded

L5 50 S L4 SSS SAM

FILE 'REGISTRY' ENTERED AT 16:13:43 ON 11 FEB 2007  
L6 STRUCTURE uploaded

L7 0 S L6 SSS SAM

FILE 'STNGUIDE' ENTERED AT 16:14:20 ON 11 FEB 2007

FILE 'REGISTRY' ENTERED AT 16:16:15 ON 11 FEB 2007  
L8 STRUCTURE uploaded

L9 3 S L8 SSS SAM

L10 87 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:17:08 ON 11 FEB 2007

L11 24 S L10

FILE 'STNGUIDE' ENTERED AT 16:17:50 ON 11 FEB 2007

*This Page Blank (except)*

10/546, 132 > 11/02/2007

L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS

L8 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 18 sss sam

SAMPLE SEARCH INITIATED 16:16:43 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2892 TO ITERATE

69.2% PROCESSED 2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

3 ANSWERS

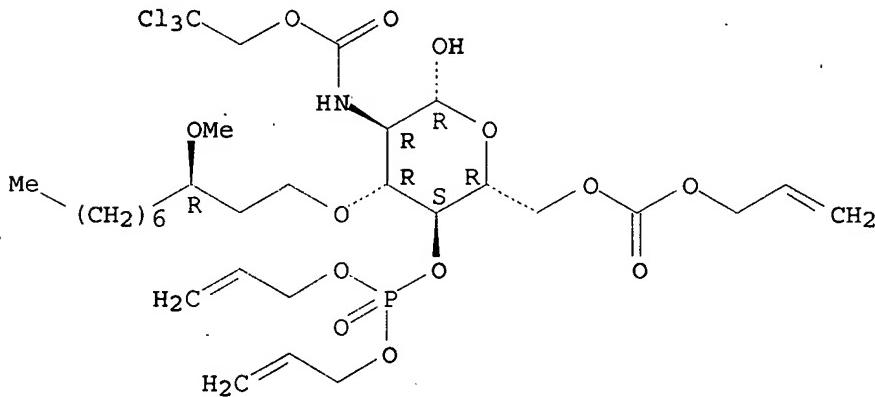
FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 54615 TO 61065  
PROJECTED ANSWERS: 3 TO 210

L9 3 SEA SSS SAM L8

=> d scan

L9 3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
IN  $\beta$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate)  
6-(2-propenyl carbonate) (9CI)  
MF C30 H49 Cl3 N O13 P

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L9 3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
IN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-

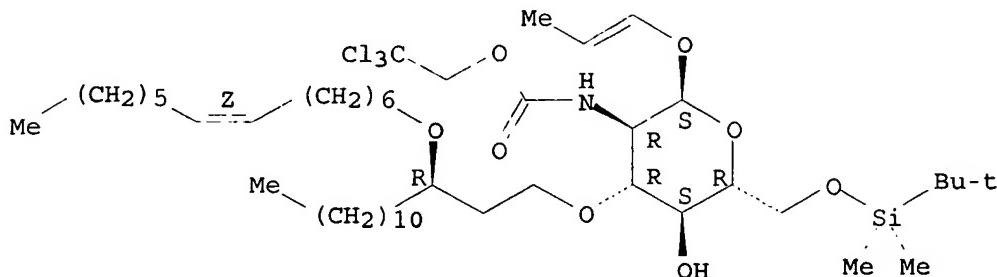
10/546, 132 > 11/02/2007

dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyoxy]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-  
(9CI)

MF C46 H86 Cl3 N O8 Si

Absolute stereochemistry.

Double bond geometry as described by E or Z.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

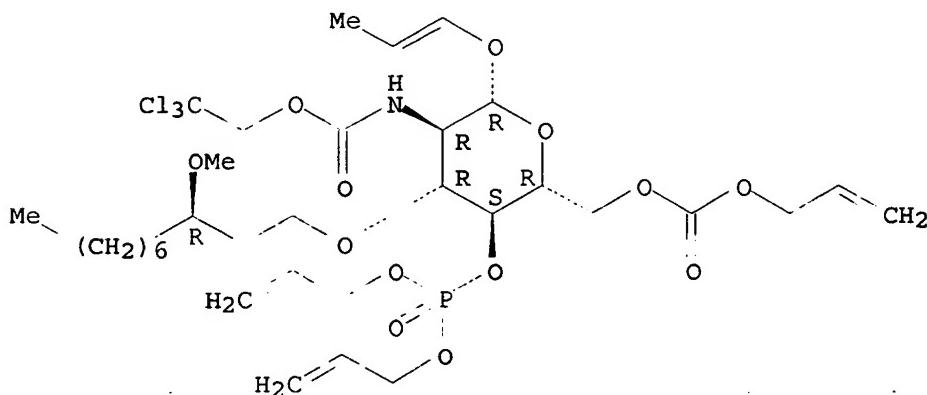
L9 3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-  
[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate)  
6-(2-propenyl carbonate) (9CI)

MF C33 H53 Cl3 N O13 P

Absolute stereochemistry.

Double bond geometry unknown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> s 18 sss full  
FULL SEARCH INITIATED 16:17:02 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 56991 TO ITERATE

100.0% PROCESSED 56991 ITERATIONS  
 SEARCH TIME: 00.00.01

87 ANSWERS

L10 87 SEA SSS FUL L8

=> fil hcaplus	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	172.10	179.78

FILE 'HCAPLUS' ENTERED AT 16:17:08 ON 11 FEB 2007  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 11 Feb 2007 VOL 146 ISS 8  
 FILE LAST UPDATED: 9 Feb 2007 (20070209/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

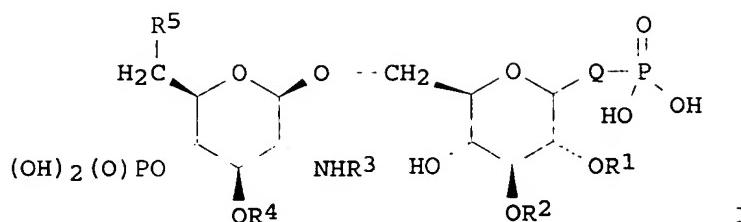
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l10  
 L11 24 L10

=> d l11 ibib abs hitstr 1-24

L11 ANSWER 1 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:33082 HCAPLUS  
 DOCUMENT NUMBER: 144:101029  
 TITLE: Glucose lipid A analogs with macrophage activity-inhibiting action for treatment of inflammatory and autoimmune diseases  
 INVENTOR(S): Shiozaki, Masao; Shimozato, Ryuichi; Watanabe, Yukiko; Mochizuki, Takashi  
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 115 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006008539	A	20060112	JP 2004-184346	20040623
PRIORITY APPLN. INFO.:			JP 2004-184346	20040623
OTHER SOURCE(S):	MARPAT	144:101029		
GI				



AB Glucose lipid A analogs (I; Q = O, alkylene, etc., R1, R2, R = H, (substituted)alkyl, alkenyl, alkanoyl, etc.; R3 = (substituted)alkanoyl, alkenoyl, alkynol; R5 = H, halogen, OH, etc.) with macrophage activity-inhibiting and immunosuppressant actions are claimed for treatment of inflammatory and autoimmune diseases, complications after coronary artery bypass surgery, and septicemia. I were prepared, and their effects on TNF- $\alpha$  in human blood and lethality in mice after LPS injections were tested.

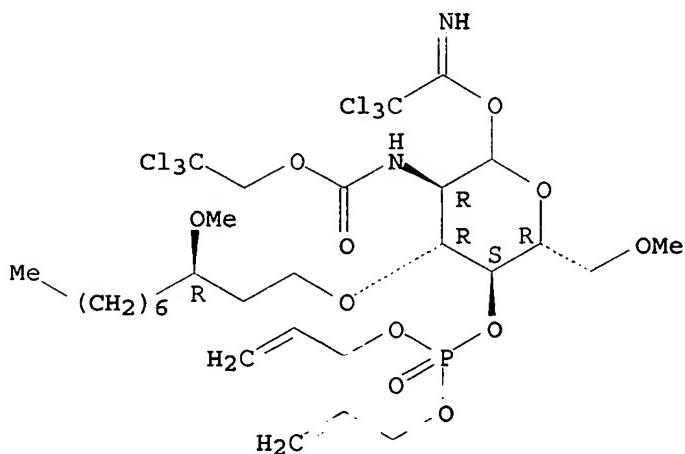
IT 185954-85-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of lipid A analogs having glucose as right-side sugar as preventives or remedies for inflammations, autoimmune diseases, and septicemia)

RN 185954-85-2 HCPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimide) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



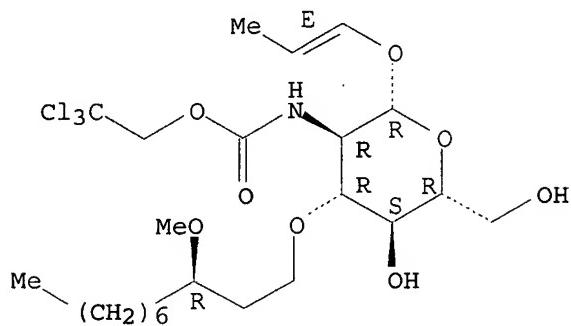
IT 721456-24-2P 721456-25-3P 721456-26-4P  
721456-27-5P 721456-30-0P 721456-31-1P  
721456-32-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of lipid A analogs having glucose as right-side sugar as preventives or remedies for inflammations, autoimmune diseases, and septicemia)

RN 721456-24-2 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

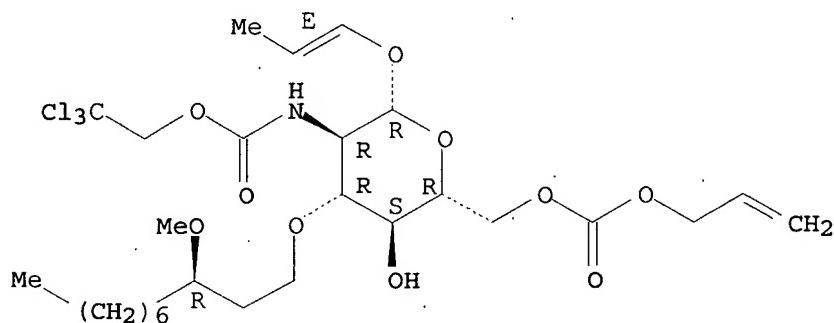


RN 721456-25-3 HCAPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbamate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as shown.

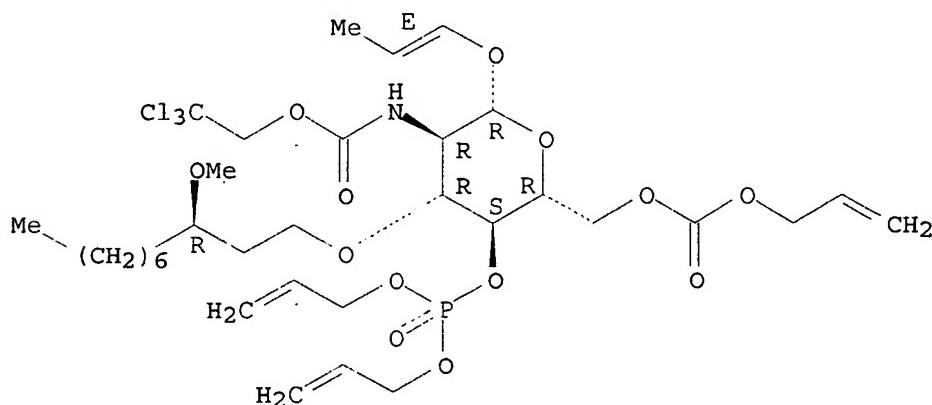


RN 721456-26-4 HCAPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as shown.

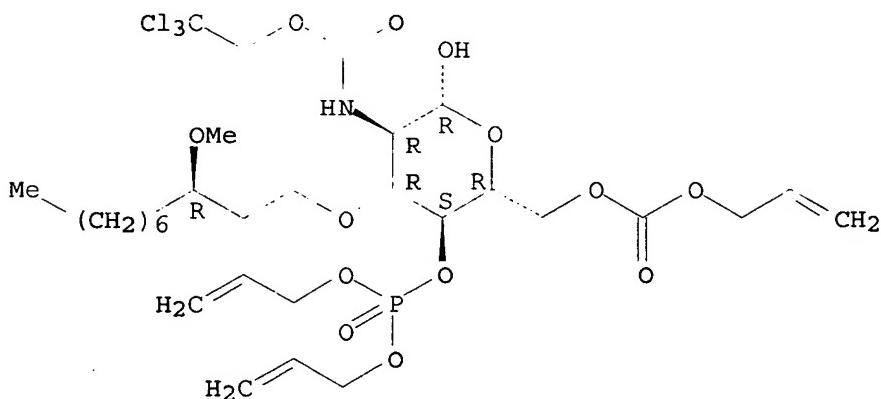


RN 721456-27-5 HCAPLUS

10/546, 132 > 11/02/2007

CN  $\beta$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

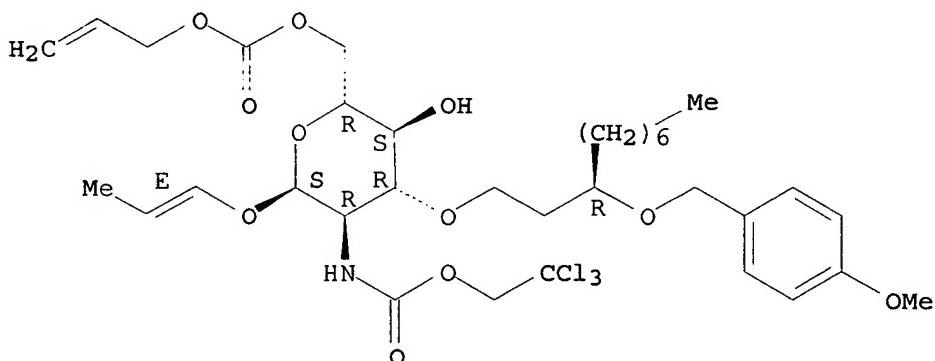


RN 721456-30-0 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

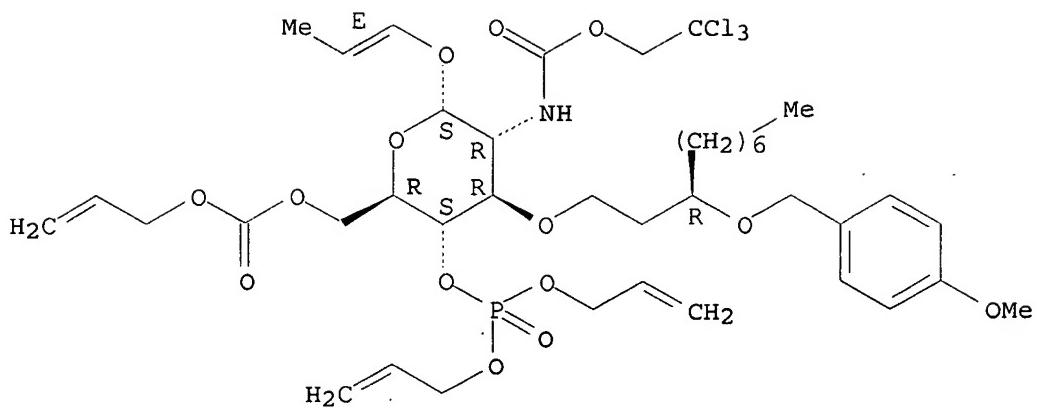


RN 721456-31-1 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

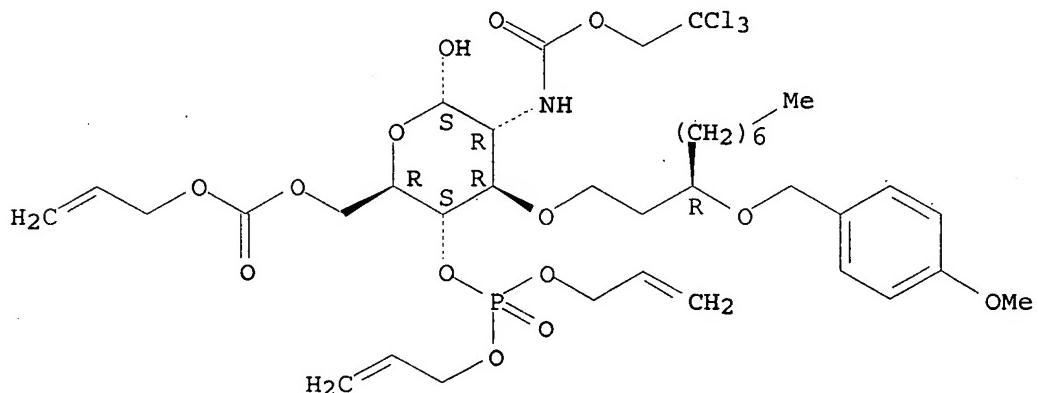
Double bond geometry as shown.



RN 721456-32-2 HCAPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 2 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1299295 HCAPLUS

DOCUMENT NUMBER: 144:171174

TITLE: Syntheses of glucose-containing E5564 analogs and their LPS-antagonistic activities

AUTHOR(S): Shiozaki, Masao; Doi, Hiromi; Tanaka, Daisuke;

CORPORATE SOURCE: Shimozato, Takaichi; Kurakata, Shin-ichi

Chemistry Department, Chemtech Labo, Inc., Hiromachi 1-2-58, Shinagawa-ku, Tokyo, 140-8710, Japan

SOURCE: Tetrahedron (2005), Volume Date 2006, 62(1), 205-225  
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:171174

AB Lipid A analogs containing a glucose moiety on their non-reducing end were synthesized, and their LPS-antagonistic activities were measured. The inhibitory activities (IC<sub>50</sub>) on LPS-induced TNF $\alpha$  production of title aminodeoxy disaccharides toward human whole blood cells were 0.46-1.11 nM. Inhibitory doses (ID<sub>50</sub>) of these compds. on TNF $\alpha$  production induced by co-injection of galactosamine and LPS in C3H/HeN mice were measured. The ID<sub>50</sub> values of these compds. were 0.20-1.08 and <0.2 mg/kg. Moreover,

C3H/HeN mice preinjected with compds. were protected from lethality induced by co-injection of galactosamine and LPS. Out of eight mice preinjected with 1 mg/kg of title compds. five-eight mice were protected.

IT  
859508-28-4P 859508-29-5P 859508-30-8P  
859508-31-9P 859508-41-1P 859508-42-2P  
859508-52-4P 859508-58-0P 859508-59-1P  
874472-48-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

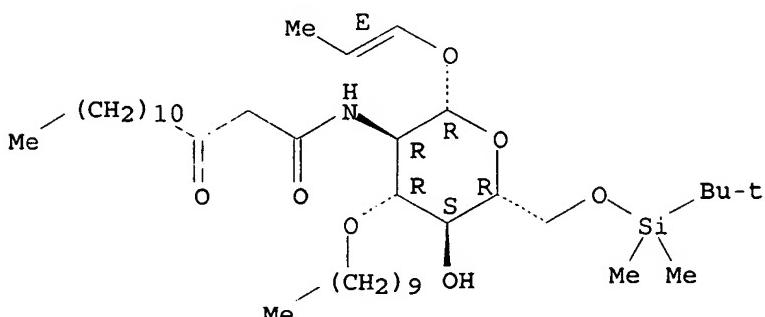
(syntheses of glucose-containing E5564 analogs and their LPS-antagonistic activities)

RN 859508-28-4 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

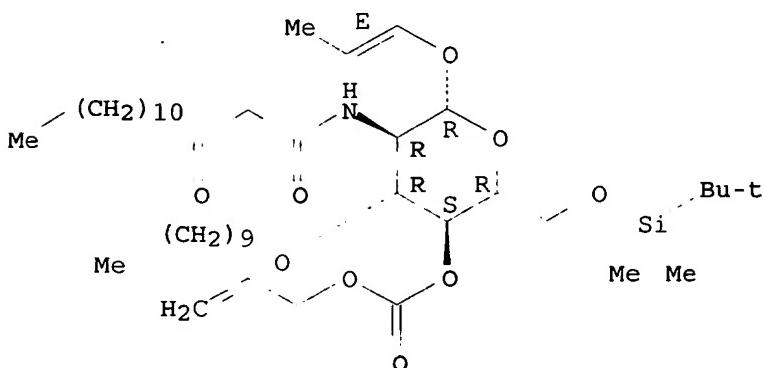


RN 859508-29-5 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

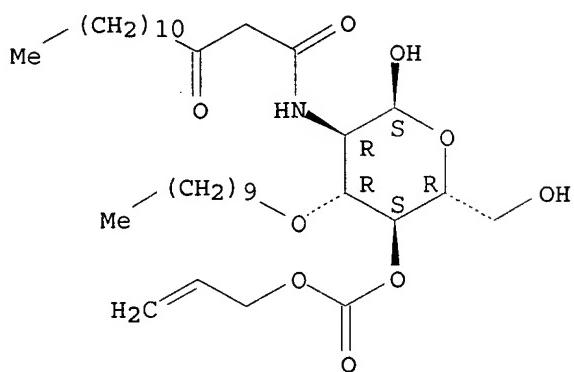
Double bond geometry as shown.



RN 859508-30-8 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



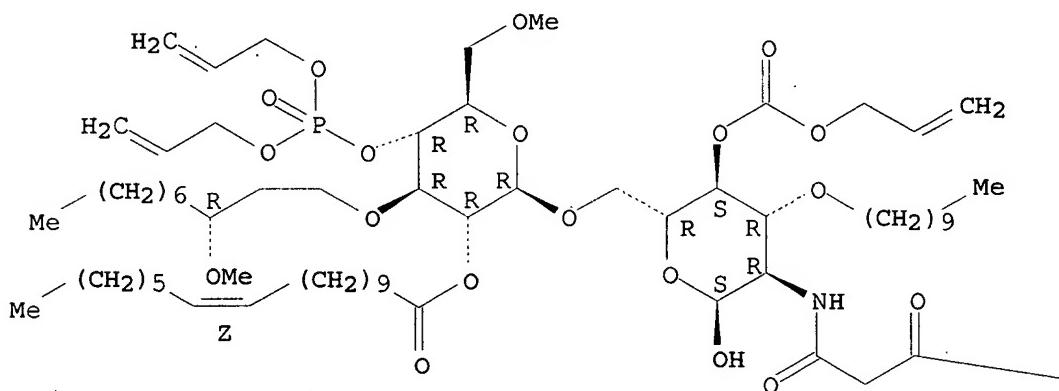
RN 859508-31-9 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyl)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-[(11Z)-1-oxo-11-octadecenyl]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbamate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

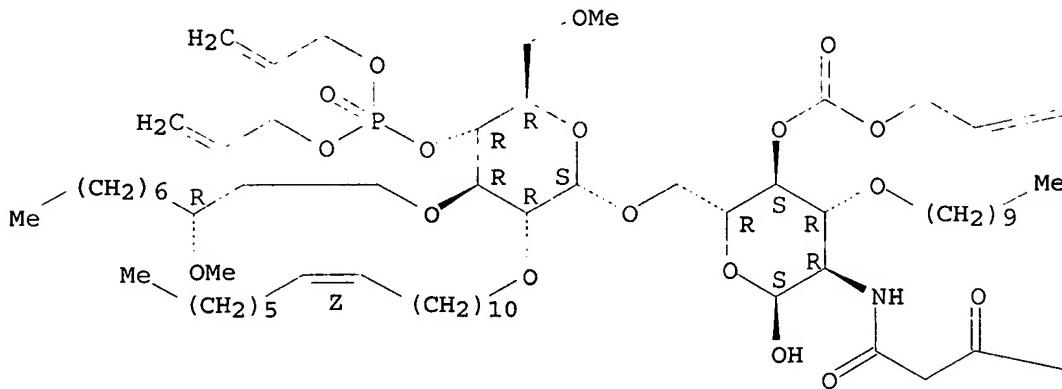
Me  
—(CH<sub>2</sub>)<sub>10</sub>

RN 859508-41-1 HCPLUS

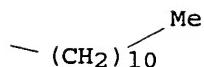
CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyl)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-(11Z)-11-octadecenyl- $\alpha$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A



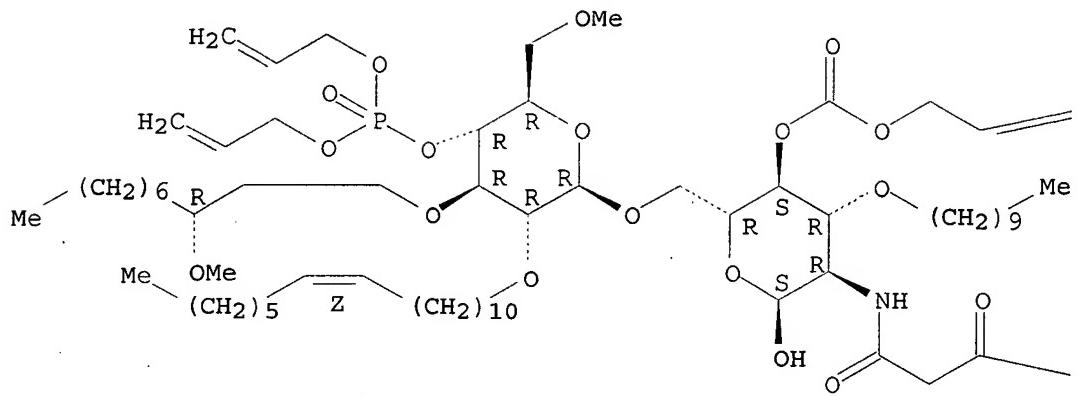
PAGE 1-B

 $\equiv \text{CH}_2$ 

RN 859508-42-2 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyl)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-(11Z)-11-octadecenyl- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

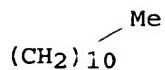
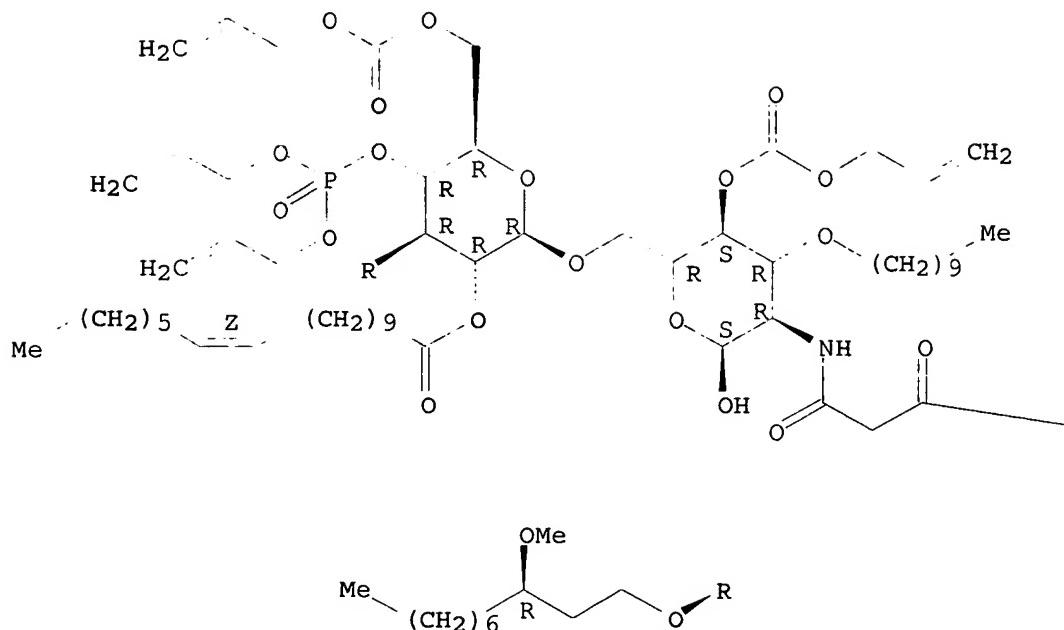
Absolute stereochemistry.  
Double bond geometry as shown.

 $\equiv \text{CH}_2$ 

$\text{Me}$   
 $\text{---} (\text{CH}_2)^{10}$

RN 859508-52-4 HCPLUS  
 CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyl)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-1-oxo-11-octadecenyl]-6-O-[(2-propenyl)carbonyl]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

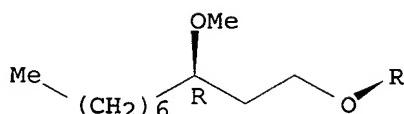
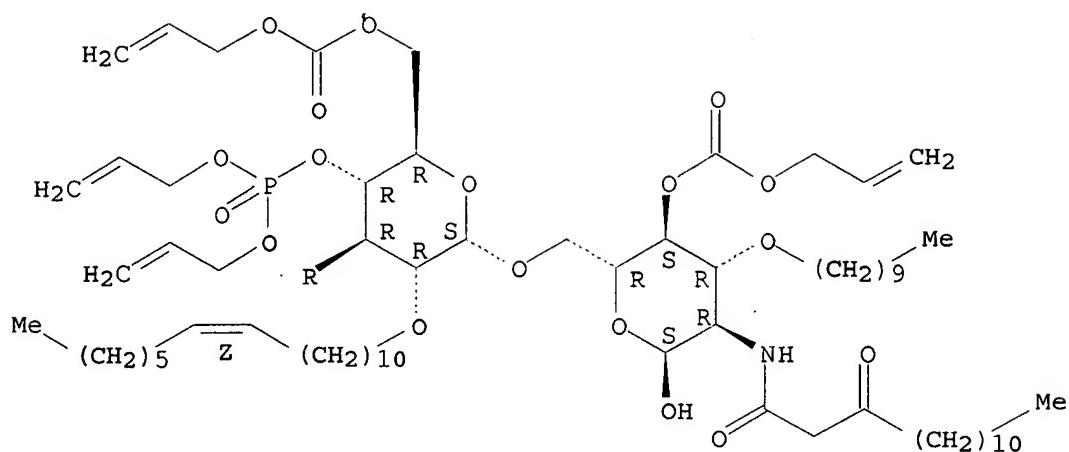


RN 859508-58-0 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyl)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-11-octadecenyl]-6-O-[(2-propenyl)carbonyl]- $\alpha$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

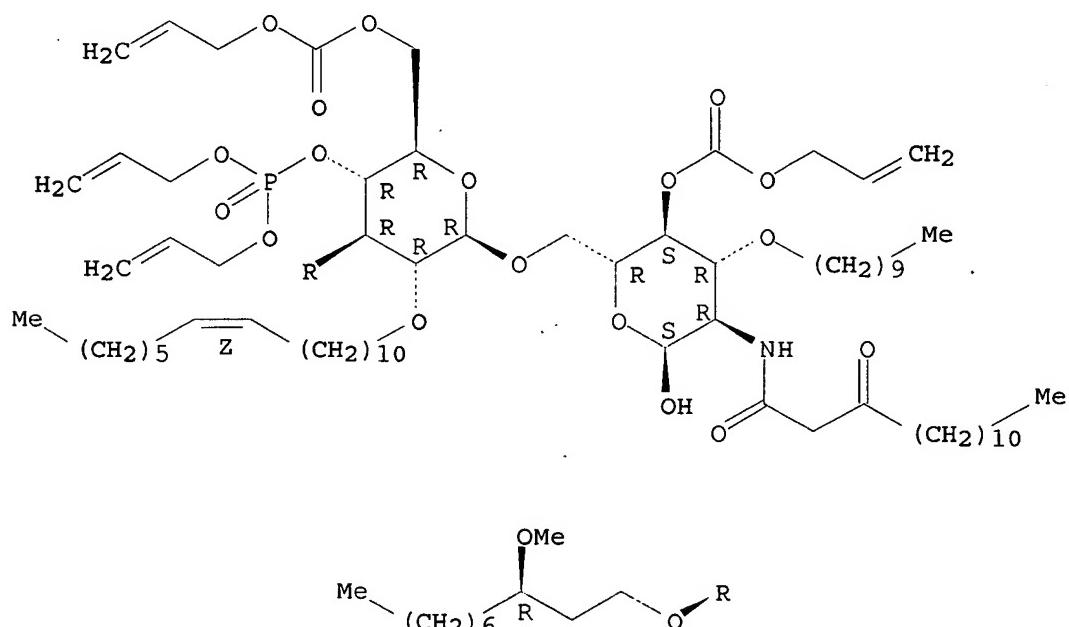


RN 859508-59-1 HCAPLUS

CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyl)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-11-octadecenyl]-6-O-[(2-propenyl)carbonyl]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonyl) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as shown.



RN 874472-48-7 HCPLUS

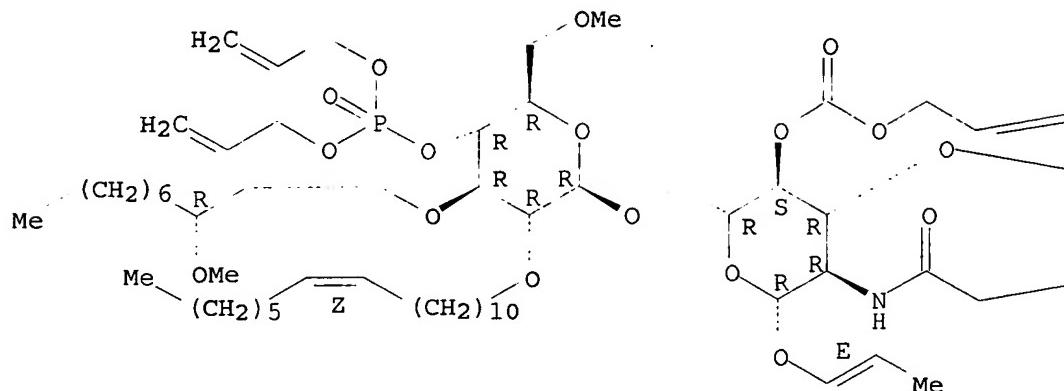
CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 6-O-[4-O-[bis(2-propenoxy)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-(11Z)-11-octadecenyl- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-

dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

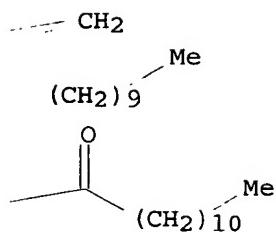
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



IT 874472-41-0P

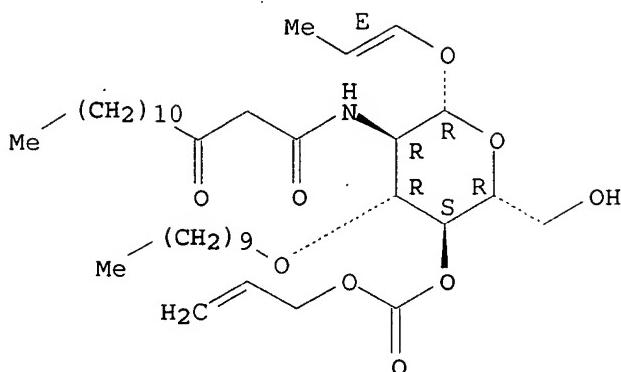
RL: SPN (Synthetic preparation); PREP (Preparation)  
(syntheses of glucose-containing E5564 analogs and their LPS-antagonistic activities)

RN 874472-41-0 HCPLUS

CN β-D-Glucopyranoside, (1E)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

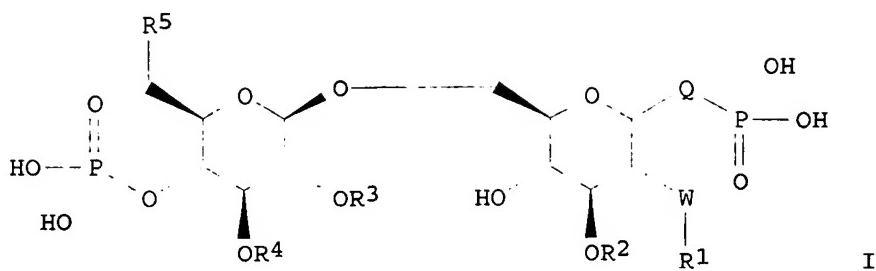
Double bond geometry as shown.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:638895 HCPLUS  
 DOCUMENT NUMBER: 143:153644  
 TITLE: preparation of levulose glucoselipid A derivatives as TNF $\alpha$  production inhibitors  
 INVENTOR(S): Shiozaki, Masao; Shimozato, Takaichi  
 PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan  
 SOURCE: PCT Int. Appl., 156 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066193	A1	20050721	WO 2005-JP434	20050107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2552218	A1	20050721	CA 2005-2552218	20050107
JP 2005220130	A	20050818	JP 2005-2028	20050107
EP 1702926	A1	20060920	EP 2005-703673	20050107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
PRIORITY APPLN. INFO.:			JP 2004-2902	A 20040108
			WO 2005-JP434	W 20050107
OTHER SOURCE(S):	MARPAT	143:153644		
GI				



**AB** Title compds. I [Q = O, etc.; W = O, NH; R1 = (un)substituted alkanoyl, etc. with the proviso that if W = NH; R1 (with the proviso that if W = O), R2, R3, R4 = H, (un)sunstituted alkyl, etc.; R5 = H, halo, etc.] were prepared. For example, phosphorylation of 4-O-(allyloxycarbonyl)-3-O-decyl-2-deoxy-6-O-[4-O-diallylphosphono-3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-O-[(Z)-11-octadecenoyl]- $\beta$ -D-glucopyranosyl]-2-(3-oxotetradecanoylamino)- $\alpha$ -D-glucopyranose, e.g., prepared from 1,2:5,6-di-O-isopropylidene- $\alpha$ -D-glucofuranose in 15 steps, with diallyl diisopropylphosphoramidate followed by deallylation using Pd(PPh<sub>3</sub>)<sub>4</sub> afforded phosphono 3-O-decyl-2-deoxy-6-O-[3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-O-[(Z)-11-octadecenoyl]-4-O-phosphono- $\beta$ -D-glucopyranosyl]-2-(3-oxotetradecanoylamino)- $\alpha$ -D-glucopyranose (II). In TNF $\alpha$  production inhibition assays, the IC<sub>50</sub> value of compound II was 0.49 nM. Compds. I are claimed useful for the treatment of inflammation, septicemia, etc.

**IT** 859508-42-2P 859508-59-1P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of levulose glucoselipid A derivs. as TNF $\alpha$  production inhibitors for treatment of inflammation, septicemia, etc.)

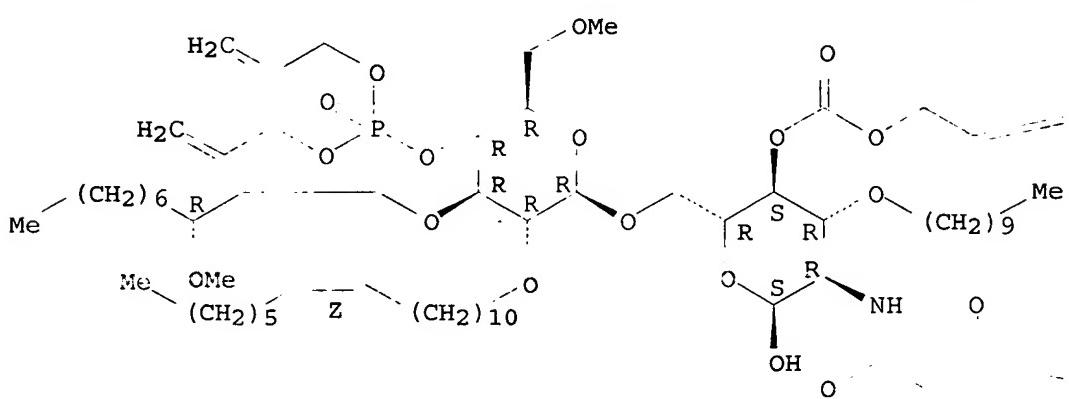
**RN** 859508-42-2 HCPLUS

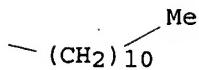
**CN**  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-(11Z)-11-octadecenyl- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

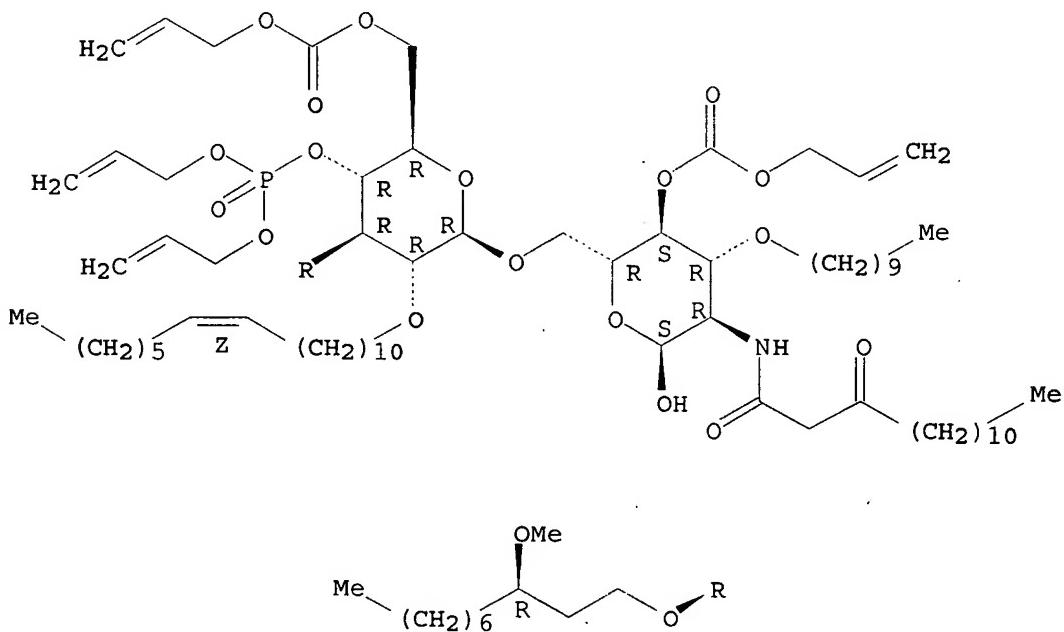
PAGE 1-A



$\equiv \text{CH}_2$ 

RN 859508-59-1 HCPLUS  
 CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-11-octadecenyl]-6-O-[(2-propenyloxy)carbonyl]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

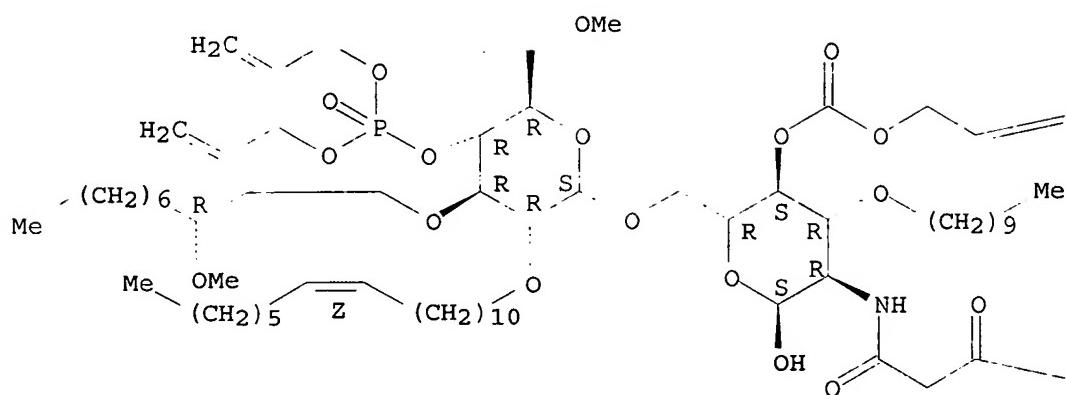


IT 859508-41-1P 859508-58-0P  
 RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of levulose glucoselipid A derivs. as TNF $\alpha$  production inhibitors for treatment of inflammation, septicemia, etc.)

RN 859508-41-1 HCPLUS  
 CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphinyl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-[(11Z)-11-octadecenyl]- $\alpha$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A



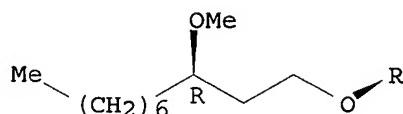
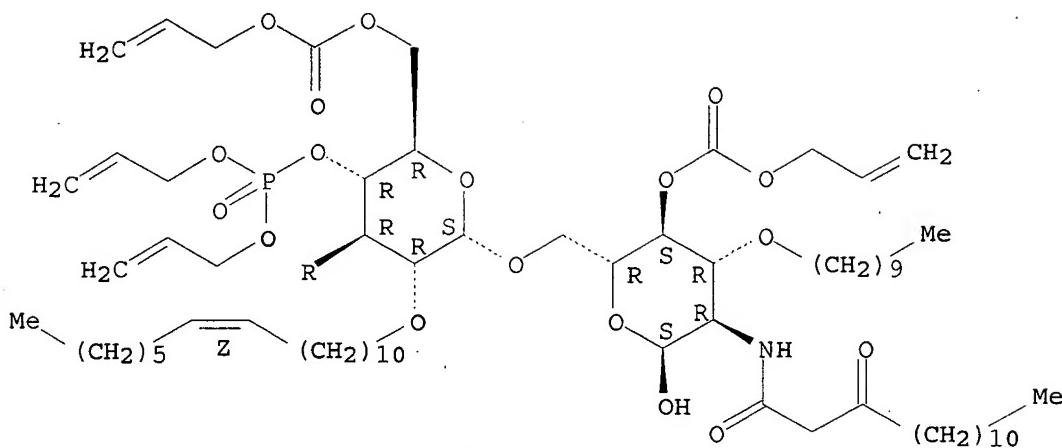
PAGE 1-B

 $\equiv \text{CH}_2$ 

$\text{Me}$   
 $\text{---} (\text{CH}_2)^{10}$

RN 859508-58-0 HCPLUS  
 CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyl)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-11-octadecenyl]-6-O-[(2-propenyl)carbonyl]- $\alpha$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



IT 859508-28-4P 859508-29-5P 859508-30-8P

859508-31-9P 859508-52-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

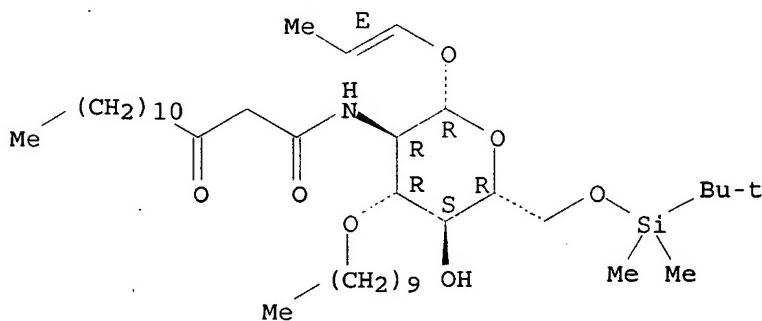
(preparation of levulose glucoselipid A derivs. as TNF $\alpha$  production inhibitors for treatment of inflammation, septicemia, etc.)

RN 859508-28-4 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 3-O-decyld-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

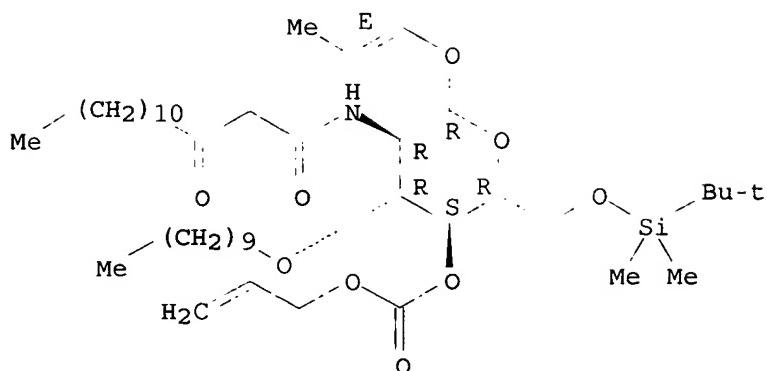


RN 859508-29-5 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 3-O-decyld-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

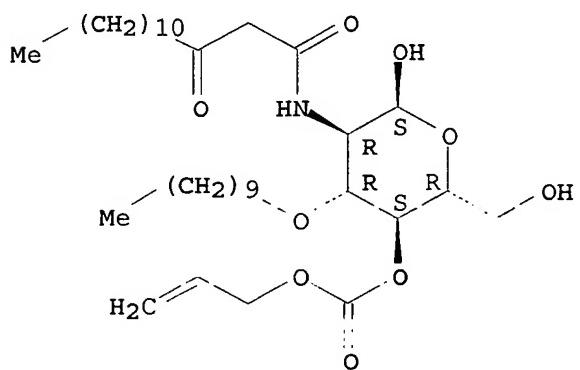
Double bond geometry as shown.



RN 859508-30-8 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



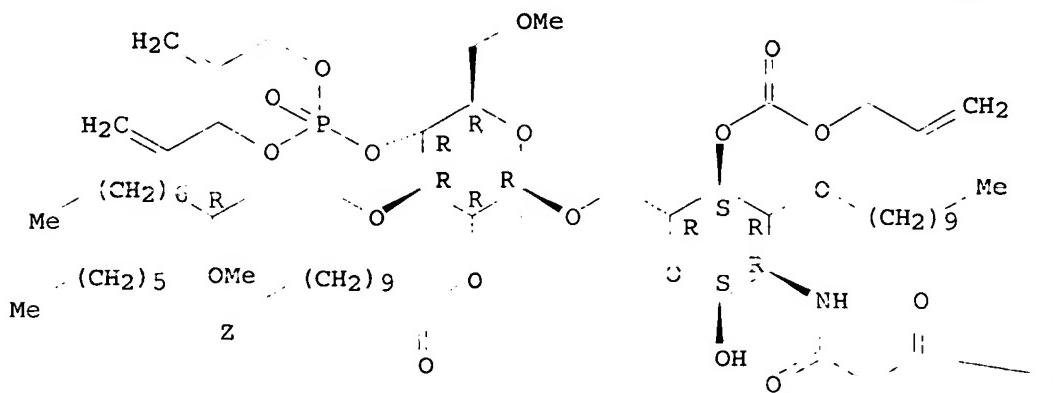
RN 859508-31-9 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenyloxy)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-O-[(11Z)-1-oxo-11-octadecenyl]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

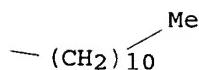
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



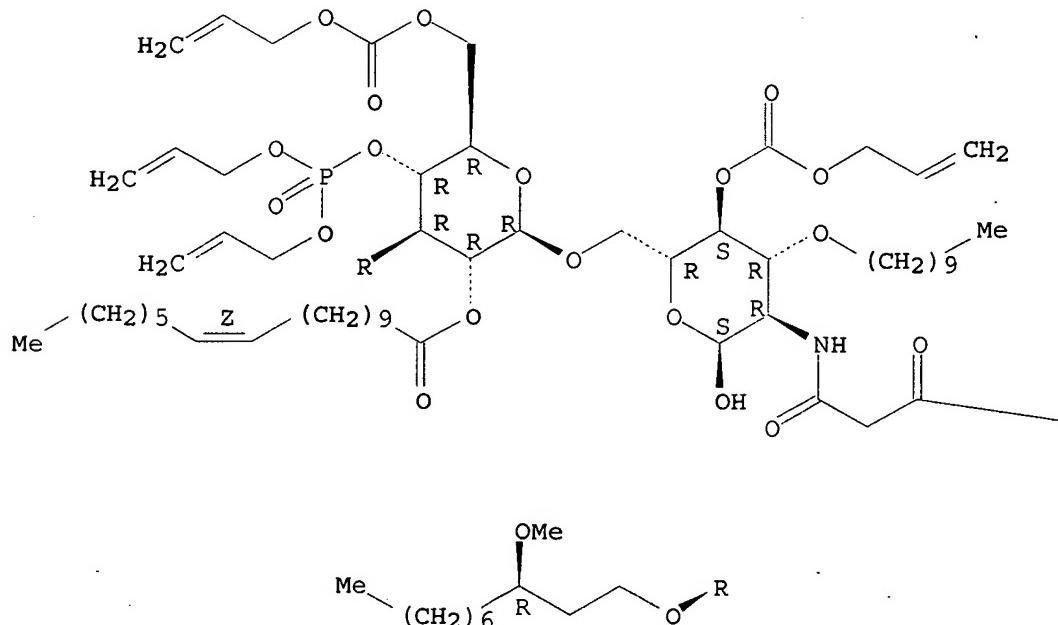
RN 859508-52-4 HCPLUS

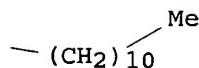
CN α-D-Glucopyranose, 6-O-[4-O-[bis(2-propenyl)phosphoryl]-3-O-[(3R)-3-methoxydecyl]-2-O-[(11Z)-1-oxo-11-octadecenyl]-6-O-[(2-propenyl)carbonyl]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A

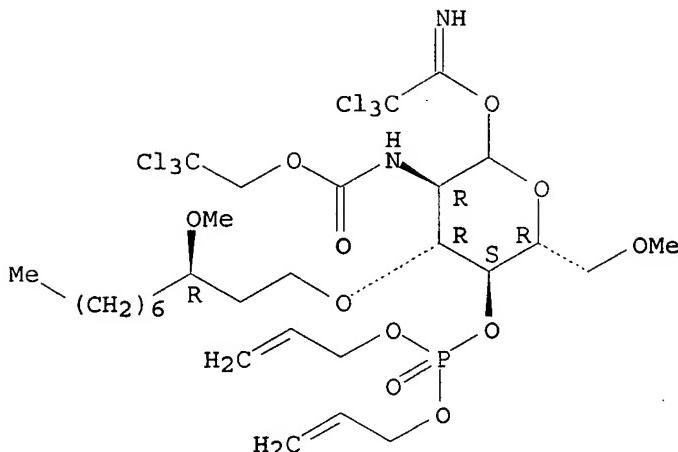




REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:574972 HCPLUS  
 DOCUMENT NUMBER: 143:230114  
 TITLE: Syntheses of glucose-containing lipid A analogs and their LPS-antagonistic activities  
 AUTHOR(S): Shiozaki, Masao; Doi, Hiromi; Tanaka, Daisuke;  
 Shimozato, Takaichi; Kurakata, Shin-ichi  
 CORPORATE SOURCE: Chemistry Department, Chemtech Labo., Inc., Tokyo,  
 140-8710, Japan  
 SOURCE: Bulletin of the Chemical Society of Japan (2005),  
 78(6), 1091-1104  
 CODEN: BCSJA8; ISSN: 0009-2673  
 PUBLISHER: Chemical Society of Japan  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 143:230114  
 AB Three anomeric pairs of lipid A-type disaccharides containing a glucose on their reducing end were synthesized and their LPS-antagonistic activities were measured. The inhibitory activities (IC50) on the LPS-induced TNF $\alpha$  production of title compds. toward human whole blood cells were 0.35-7.70 nM.  
 IT 185954-85-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (syntheses of glucose-containing lipid A analogs and their LPS-antagonistic activities)  
 RN 185954-85-2 HCPLUS  
 CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:378813 HCAPLUS

DOCUMENT NUMBER: 143:78381

TITLE: Synthesis of lipid A analogues containing glucose instead of glucosamine and their LPS-antagonistic activities

AUTHOR(S): Shiozaki, Masao; Watanabe, Yukiko; Iwano, Yuji; Kaneko, Toshio; Doi, Hiromi; Tanaka, Daisuke; Shimozato, Takaichi; Kurakata, Shin-Ichi

CORPORATE SOURCE: Chemistry Department, Chemtech Labo Inc., Hiromachi 1-2-58, Shinagawa-ku, Tokyo, 140-8710, Japan

SOURCE: Tetrahedron (2005), 61(21), 5101-5122  
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:78381

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Lipid A analogs containing glucose in substitution for glucosamine on the reducing end were synthesized, and the inhibitory activities on LPS-induced TNF $\alpha$  production (LPS-antagonistic activity) in vitro using human whole blood cells were measured. The IC<sub>50</sub> value (nM) of the prepared compds., e.g., I, was 2.7. And also inhibitory activities (ID<sub>50</sub>) on TNF $\alpha$  production toward galactosamine loaded C3H/HeN mice in vivo were measured. The value for I was 0.29 mg/kg, resp.

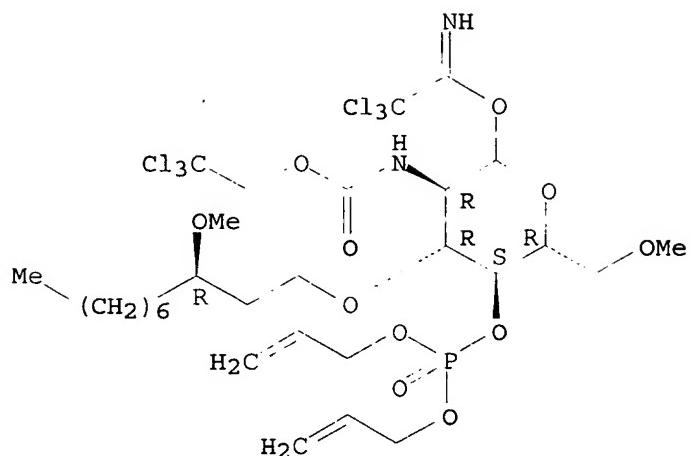
IT 185954-85-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of lipid A analogs containing glucose instead of glucosamine and their LPS-antagonistic activities)

RN 185954-85-2 HCAPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 855181-00-9P 855181-04-3P 855181-08-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

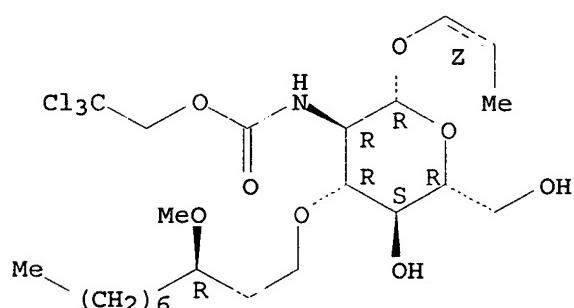
(preparation of lipid A analogs containing glucose instead of glucosamine and their LPS-antagonistic activities)

RN 855181-00-9 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

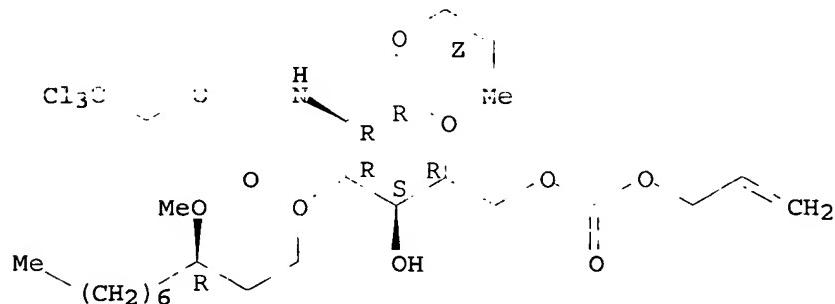


RN 855181-04-3 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

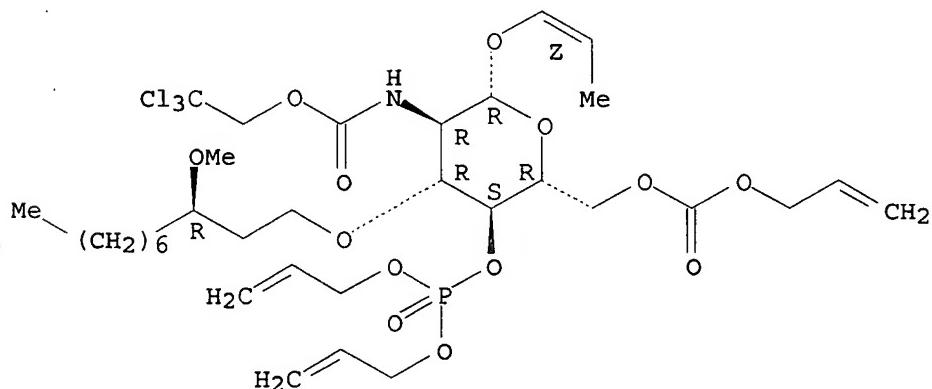


RN 855181-08-7 HCAPLUS

CN  $\beta$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:718552 HCAPLUS

DOCUMENT NUMBER: 141:225771

TITLE: Reagents and methods for preparing lipopolysaccharides antagonist B1287 and stereoisomers thereof for treatment of various forms of septic shock

INVENTOR(S): Fan, Rulin

PATENT ASSIGNEE(S): Eisai Co, Ltd., Japan

SOURCE: PCT Int. Appl., 175 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074303	A2	20040902	WO 2004-US4921	20040218
WO 2004074303	A3	20041229		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2006518394	T	20060810	JP 2006-503710	20040218
US 2006160999	A1	20060720	US 2005-546132	20051212
PRIORITY APPLN. INFO.:			US 2003-448839P	P 20030220
			WO 2004-US4921	W 20040218

OTHER SOURCE(S): CASREACT 141:225771; MARPAT 141:225771

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention provides methods for preparing lipopolysaccharides (LPS) antagonist lipo-disaccharide B1287 and stereoisomers thereof, which compds. are useful as in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia and various forms of septic shock (no biol. data). Also provided are synthetic intermediates useful for implementing the inventive methods. Thus, lipo-disaccharide B1287 I was prepared for treatment of various forms of septic shock.

IT 185955-22-0P 185955-28-6P 185955-29-7P  
 185955-32-2P 748165-17-5P 748165-18-6P  
 748165-20-0P 748165-23-3P 748165-24-4P  
 748165-25-5P 748165-26-6P

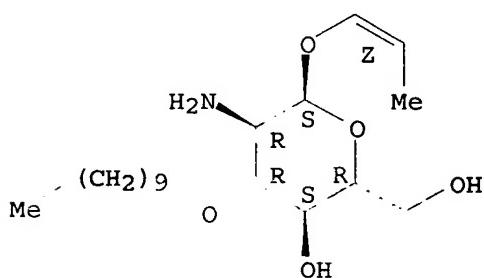
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (reagents and methods for preparing lipopolysaccharides antagonist b and stereoisomers thereof for treatment of various forms of septic shock)

RN 185955-22-0 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

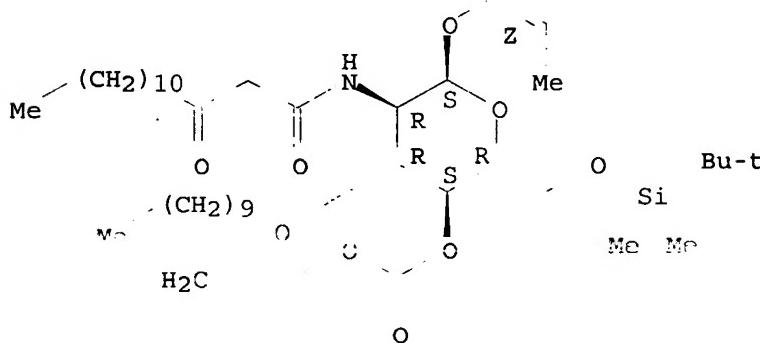


RN 185955-28-6 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



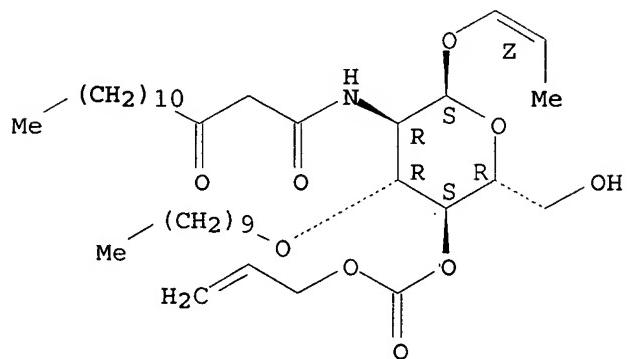
RN 185955-29-7 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-

dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



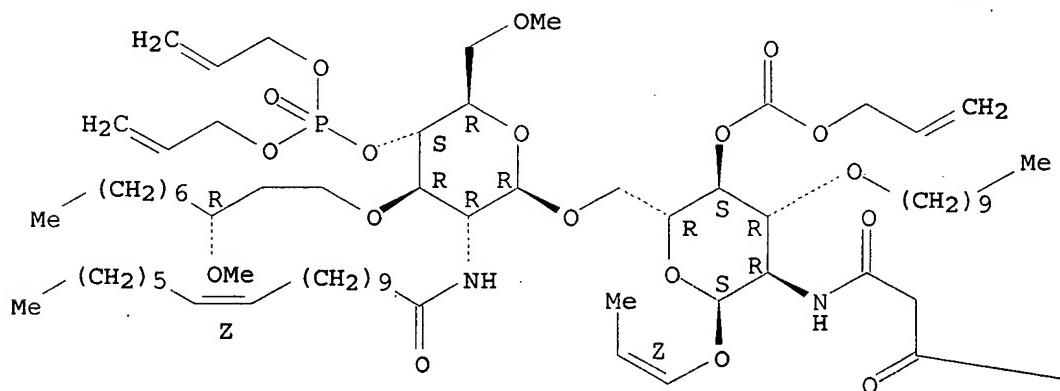
RN 185955-32-2 HCPLUS

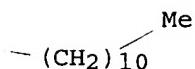
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[bis(2-propenyl)phosphoryl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(11Z)-1-oxo-11-octadecenyl]amino]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A

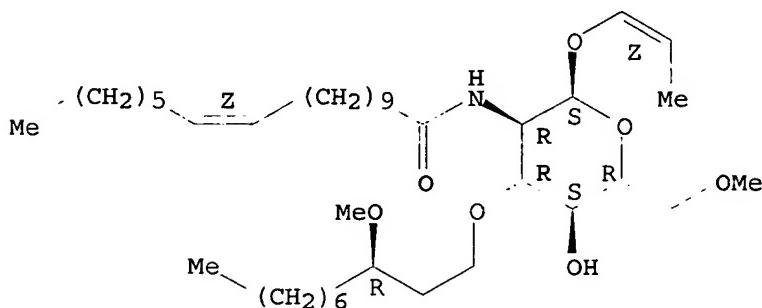




RN 748165-17-5 HCPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(11Z)-1-oxo-11-octadecenyl]amino]- (9CI) (CA INDEX NAME)

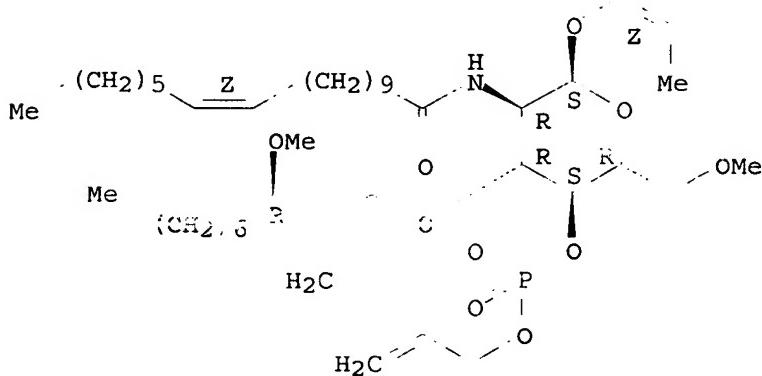
Absolute stereochemistry.  
Double bond geometry as shown.



RN 748165-18-6 HCPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(11Z)-1-oxo-11-octadecenyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



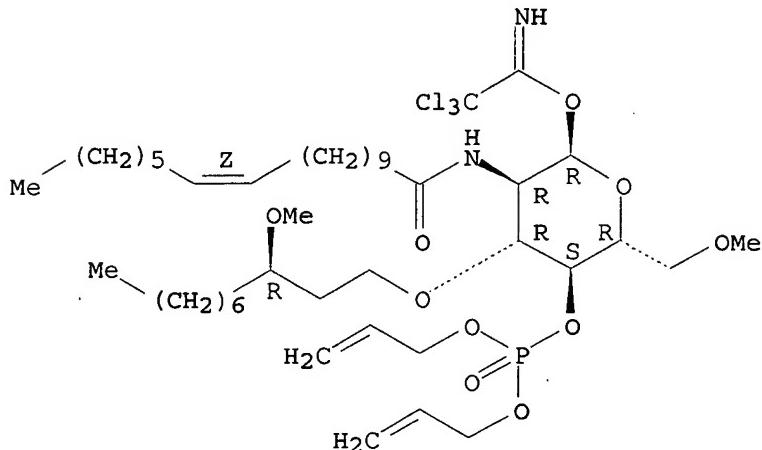
10/546, 132 > 11/02/2007

RN 748165-20-0 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(11Z)-1-oxo-11-octadecenyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

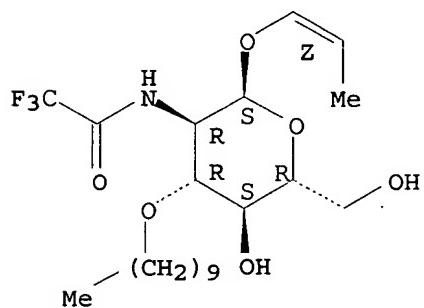


RN 748165-23-3 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

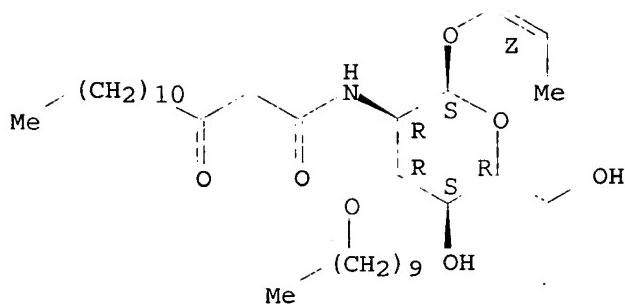


RN 748165-24-4 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

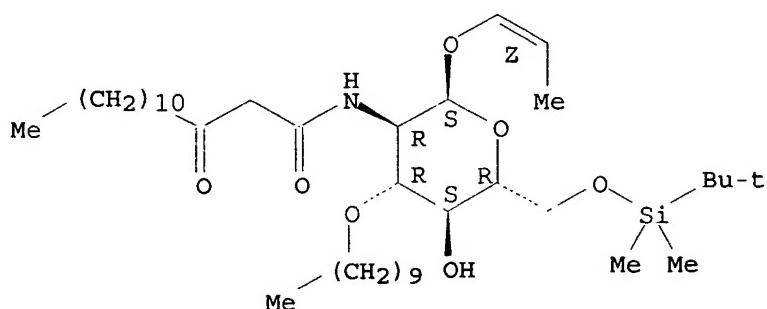


RN 748165-25-5 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



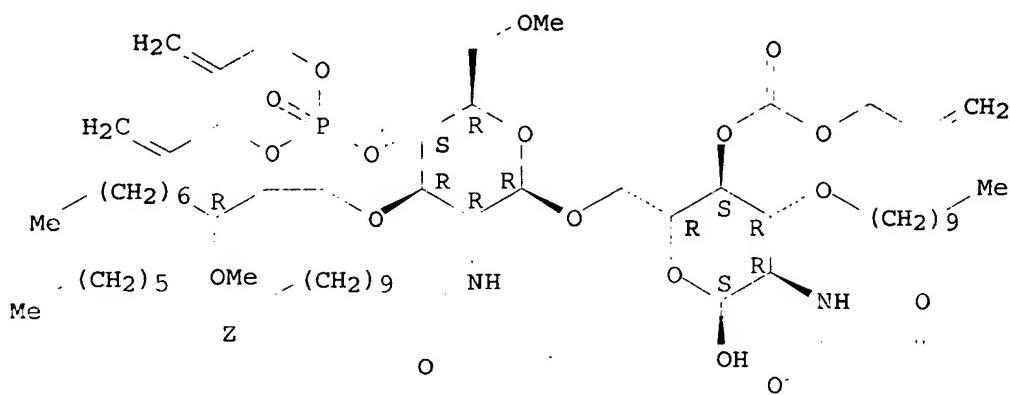
RN 748165-26-6 HCPLUS

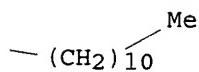
CN  $\alpha$ -D-Glucopyranose, 6-O-[4-O-[bis(2-propenylphosphoryloxy)phosphoryl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[((11Z)-1-oxo-11-octadecenyl)amino]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

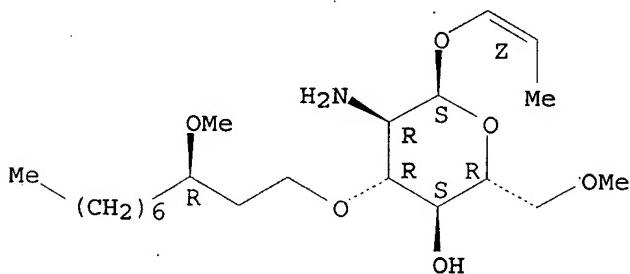
PAGE 1-A





IT 185955-17-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reagents and methods for preparing lipopolysaccharides antagonist b and  
 stereoisomers thereof for treatment of various forms of septic shock)  
 RN 185955-17-3 HCPLUS  
 CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



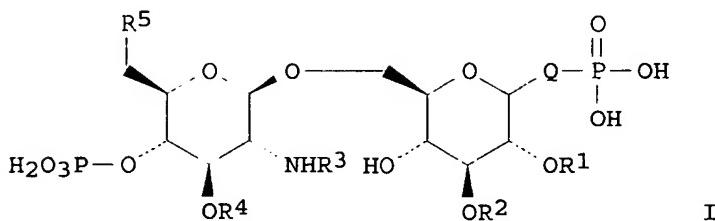
L11 ANSWER 7 OF 24 HCPLUS COPYRIGHT 2007 ACS, on STN  
 ACCESSION NUMBER: 2004:566631 HCPLUS  
 DOCUMENT NUMBER: 141:123863  
 TITLE: Preparation of lipid A analogs having glucose as the right-side sugar  
 INVENTOR(S): Shiozaki, Masao; Shimozato, Takaichi  
 PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan; Watanabe, Yukiko; Mochizuki, Takashi  
 SOURCE: PCT Int. Appl., 211 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058788	A1	20040715	WO 2003-JP16483	20031222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,  
 NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,  
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 JP 2004217630 A 20040805 JP 2003-421912 20031219  
 AU 2003289493 A1 20040722 AU 2003-289493 20031222  
 PRIORITY APPLN. INFO.: JP 2002-372336 A 20021224  
 WO 2003-JP16483 W 20031222

OTHER SOURCE(S) : MARPAT 141:123863

GI



AB Compds. represented by the general formula (I) or pharmocol. acceptable salts thereof [wherein Q is oxygen, C1-3 alkylene, -O-Alk-, or -O-Alk-O- (wherein Alk is C1-3 alkylene); R1, R2, and R4 are each independently hydrogen, or each optionally substituted C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, C1-20 alkanoyl, C3-20 alkenoyl, or C3-20 alkynoyl; R3 is each optionally substituted C1-20 alkanoyl, C3-20 alkenoyl, or C3-20 alkynoyl; and R5 is hydrogen, halogeno, hydroxyl, or each optionally oxo-substituted C1-6 alkoxy, C2-6 alkenyloxy, or C2-6 alkynyloxy] are prepared. These compds. possess excellent macrophage activation-inhibitory activity and are useful as preventives or remedies for inflammations, autoimmune diseases, and septicemia or as immunosuppressants and improvers after coronary artery bypass surgery. Thus, glycosidation of 2-deoxy-4-O-diallylphosphono-3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxycarbonyl)amino]-D-glucopyranosyl trichloroacetimidate with 2-[(diallylphosphono)oxy]ethyl 3-O-dodecyl-2-O-[(R)-3-hydroxytetradecyl]- $\alpha$ -D-glucopyranoside in the presence of trimethylsilyl triflate, AgOTf, and mol. sieve 4A in CH<sub>2</sub>Cl<sub>2</sub> at room temperature overnight gave 2-[(diallylphosphono)oxy]ethyl 6-O-[2-deoxy-4-O-diallylphosphono-3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxycarbonyl)amino]- $\beta$ -D-glucopyranosyl]-3-O-dodecyl-2-O-[(R)-3-hydroxytetradecyl]- $\alpha$ -D-glucopyranoside which was treated with Zn powder in a solution of AcOH in THF at room temperature for 4 h, amidated with cis-vaccenoyl chloride at room temperature for 2 h, and then underwent deprotection of allyl group by treatment with HCO<sub>2</sub>H in the presence of Pd(PPh<sub>3</sub>)<sub>4</sub> and Et<sub>3</sub>N in th at 54° for 20 h to give, after purification using a DEAE cellulose column, 2-(phosphonoxy)ethyl 6-O-[2-deoxy-4-O-phosphono-3-O-[(R)-3-methoxydecyl]-6-O-methyl-2-[(Z)-11-octadecenylamino]- $\beta$ -D-glucopyranosyl]-3-O-dodecyl-2-O-[(R)-3-hydroxytetradecyl]- $\alpha$ -D-glucopyranoside (II). II in vitro inhibited the production of TNF- $\alpha$  in human whole blood after stimulation by  $\gamma$ -irradiated polysaccharide with IC<sub>50</sub> of c.019 nM.

IT 185954-85-2

RL: RCT (Reactant); RACT (Reactant or reagent)

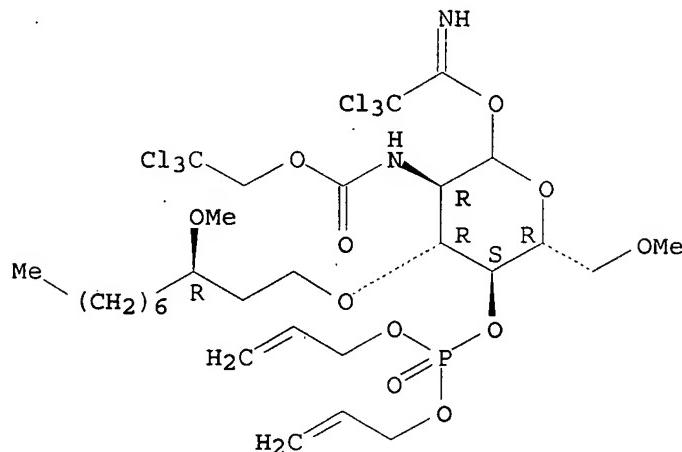
(preparation of lipid A analogs having glucose as right-side sugar as preventives or remedies for inflammations, autoimmune diseases, and septicemia)

RN 185954-85-2 HCPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-

trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate)  
1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 721456-24-2P 721456-25-3P 721456-26-4P  
721456-27-5P 721456-30-0P 721456-31-1P  
721456-32-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

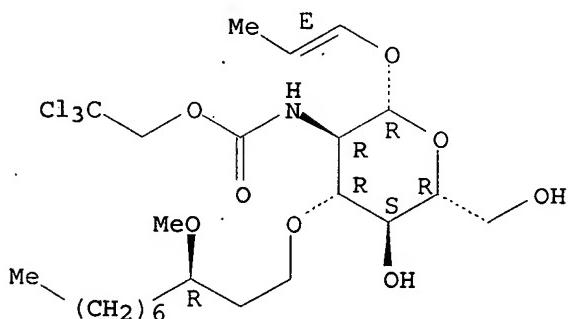
(preparation of lipid A analogs having glucose as right-side sugar as preventives or remedies for inflammations, autoimmune diseases, and septicemia)

RN 721456-24-2 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

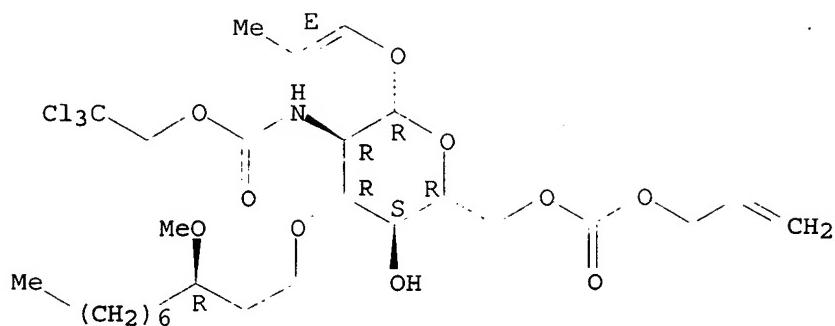


RN 721456-25-3 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

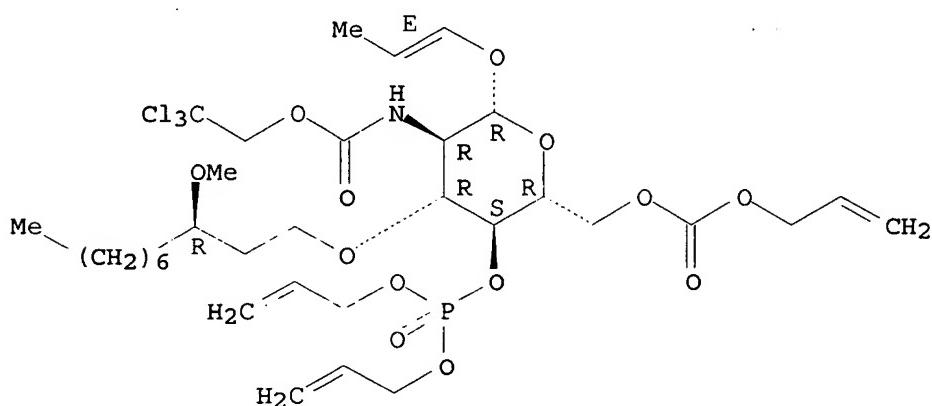


RN 721456-26-4 HCPLUS

CN  $\beta$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

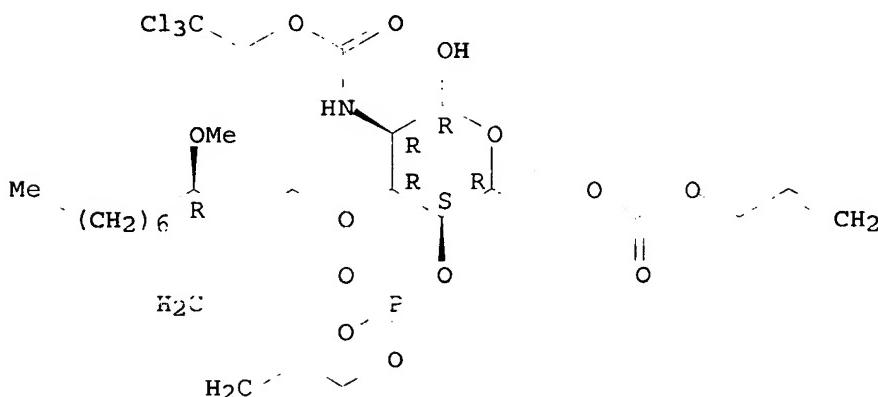
Double bond geometry as shown.



RN 721456-27-5 HCPLUS

CN  $\beta$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

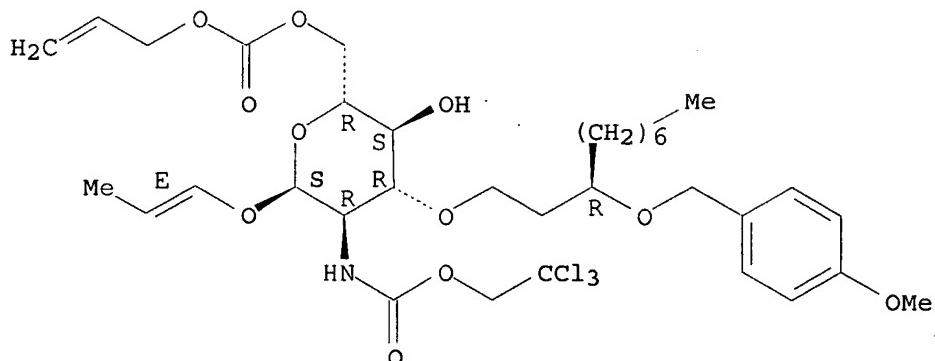


RN 721456-30-0 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-

methoxyphenyl)methoxy]decyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

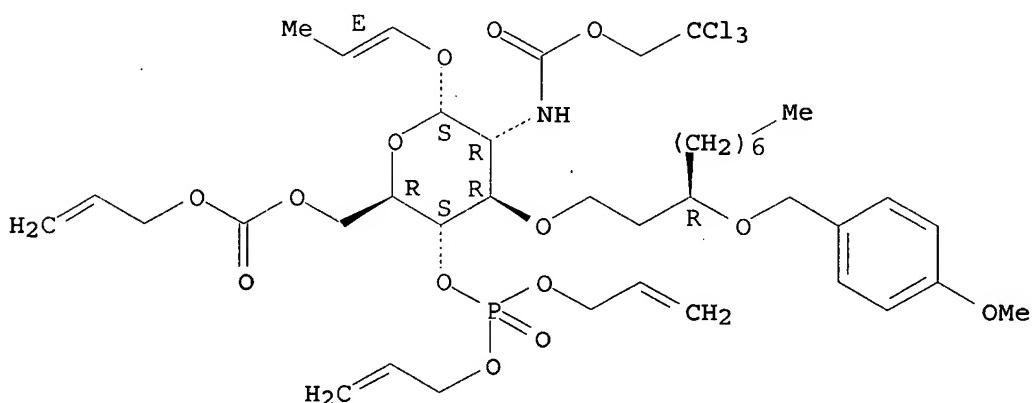
Absolute stereochemistry.  
Double bond geometry as shown.



RN 721456-31-1 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1E)-1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

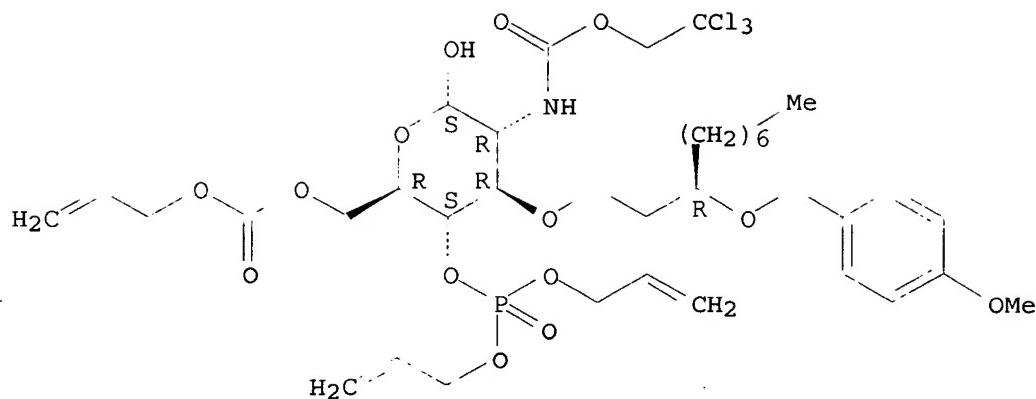
Absolute stereochemistry.  
Double bond geometry as shown.



RN 721456-32-2 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 8 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:411558 HCPLUS

DOCUMENT NUMBER: 140:400064

TITLE: Pharmaceuticals containing lipid A analogs as macrophage activation inhibitors and their uses

INVENTOR(S): Watanabe, Yukiko; Shiozaki, Masao

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 164 pp.

CODEN: JKXXAF

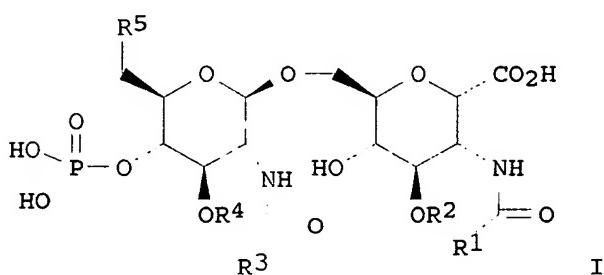
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004143046	A	20040520	JP 2002-306516	20021022
PRIORITY APPLN. INFO.:			JP 2002-306516	20021022
OTHER SOURCE(S):	MARPAT	140:400064		
GI				



AB Pharmaceuticals, useful as macrophage activation inhibitors, inflammation inhibitors, drugs for autoimmune diseases, immunosuppressants, and drugs for septicemia, contain lipid A analogs I (one of R1 and R3 = C9-15 alkyl and the other = H, C1-6 alkyl; (i) one of R2 and R4 = C10-16 alkoxy-C10-16 alkyl and the other = C10-16 alkenyl, (ii) one of R2 and R4 = C10-16 alkoxy-C10-16 alkenyl and the other = C10-16 alkyl, or (iii) one of R2 and R4 = C10-16 alkenyloxy-C10-16 alkyl and the other = C10-16 alkyl; R5 = OH, C1-6 alkoxy, halo, wherein alkyl, alkenyl, alkoxy, and alkenyloxy may be substituted with oxo, halo, OH, or C1-6 alkoxy; except a case where R2 and R4 are substituted with oxo) or their pharmaceutically-acceptable esters or salts. 2,6-Anhydro-3-deoxy-7-O-[2-deoxy-2-formamido-6-O-methyl-4-O-

phosphono-3-O-[(R)-3-[(Z)-7-tetradecenyl]tetradecyl]- $\beta$ -D-glucopyranosyl]-4-O-dodecyl-3-(3-oxo-tetradecanamido)-D-glycero-D-ido-heptonic acid (preparation given) inhibited LPS-stimulated TNF $\alpha$  production by TPA-treated U937 cells at IC<sub>50</sub> 0.017 nM. Cytotoxicity of the compound was  $\geq$ 5000 nM.

IT 366805-74-5P 475129-97-6P 475130-01-9P  
 475130-05-3P 475130-15-5P 475130-19-9P  
 475130-26-8P 475130-30-4P 475131-63-6P  
 475131-67-0P 475131-72-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of lipid A analogs as macrophage activation inhibitors for treatment of inflammation, autoimmune diseases, septicemia, etc.)

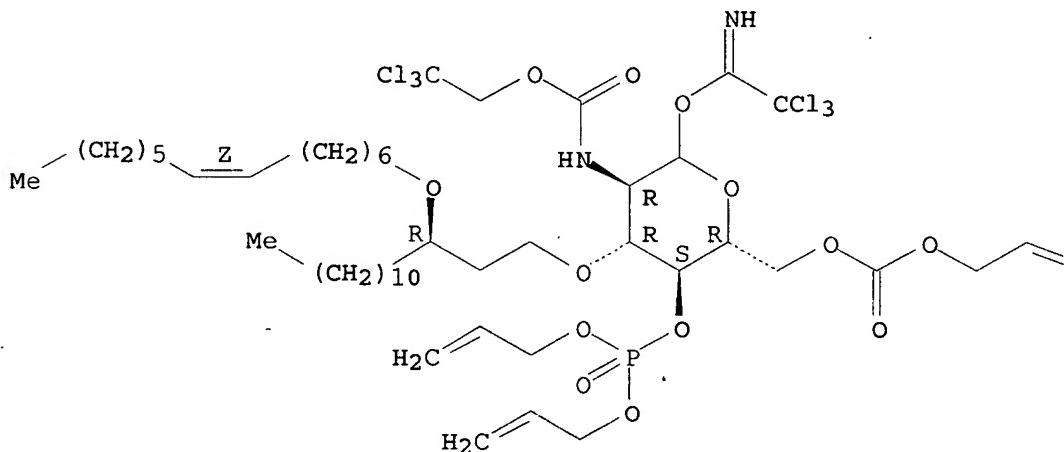
RN 366805-74-5 HCPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

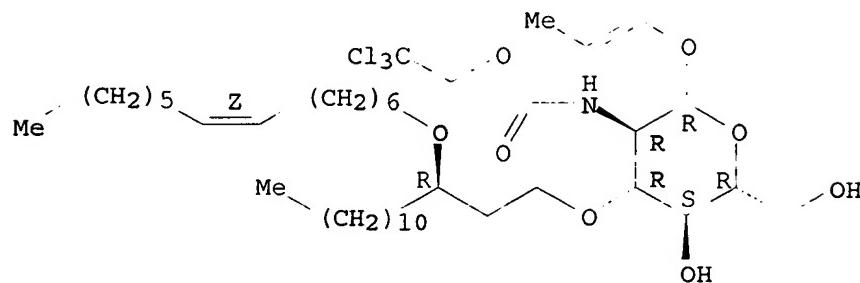
$\equiv$  CH<sub>2</sub>

RN 475129-97-6 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.



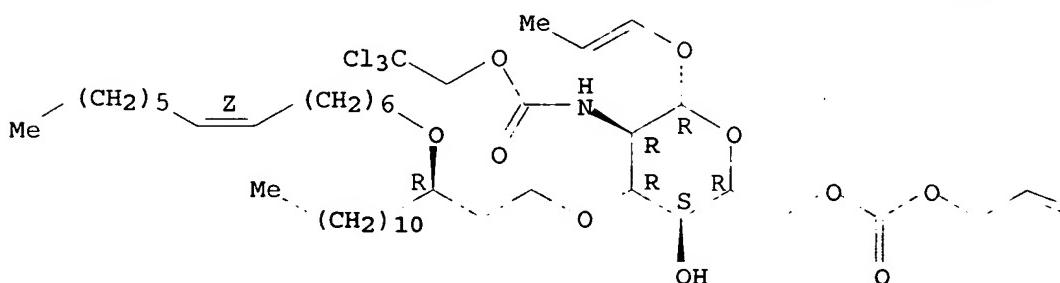
RN 475130-01-9 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

CH<sub>2</sub>

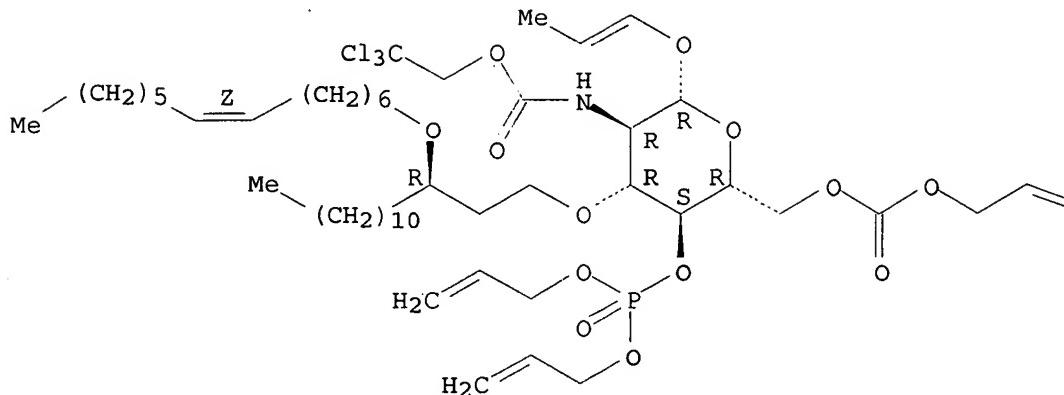
RN 475130-05-3 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

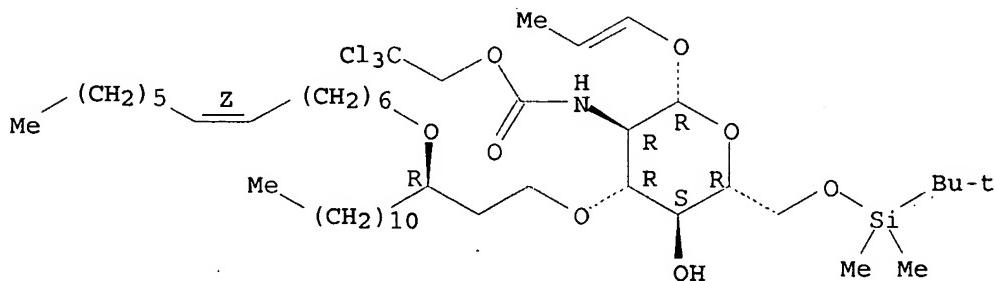
 $\equiv \text{CH}_2$ 

RN 475130-15-5 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

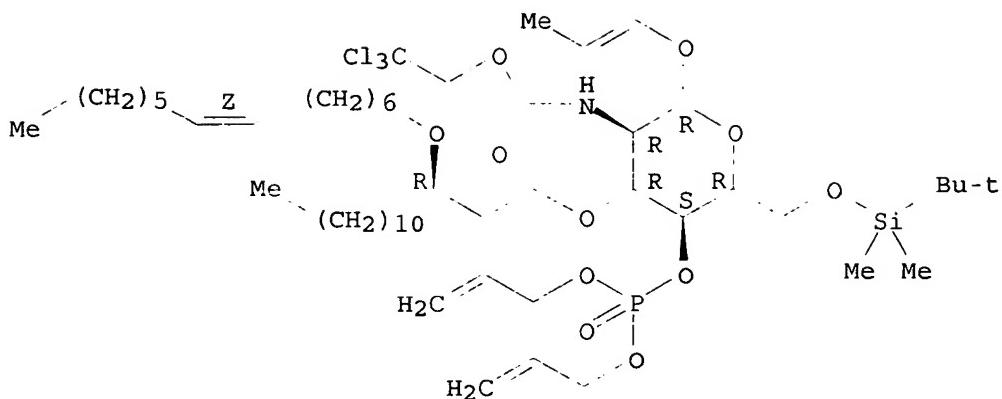


RN 475130-19-9 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

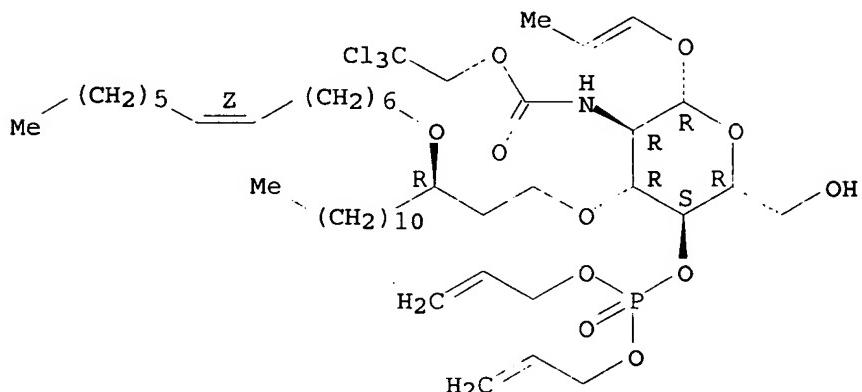


RN 475130-26-8 HCPLUS

CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

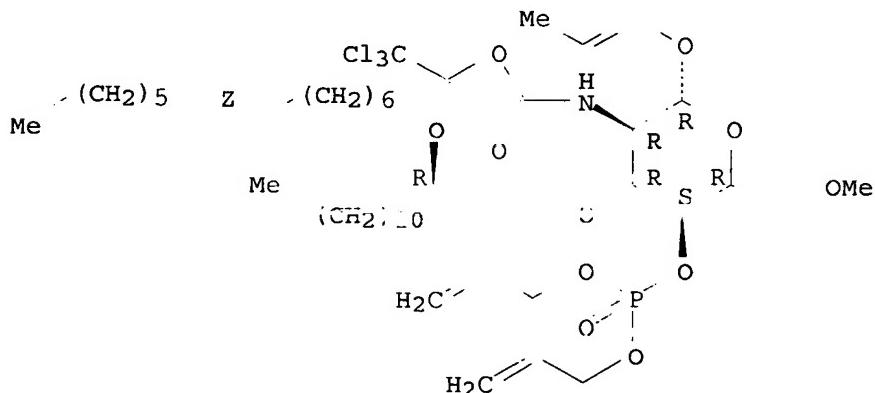


RN 475130-30-4 HCPLUS

CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

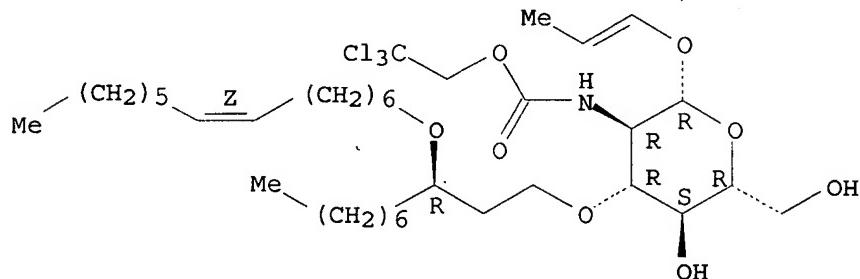


RN 475131-63-6 HCAPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]decyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI)  
(CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as described by E or Z.



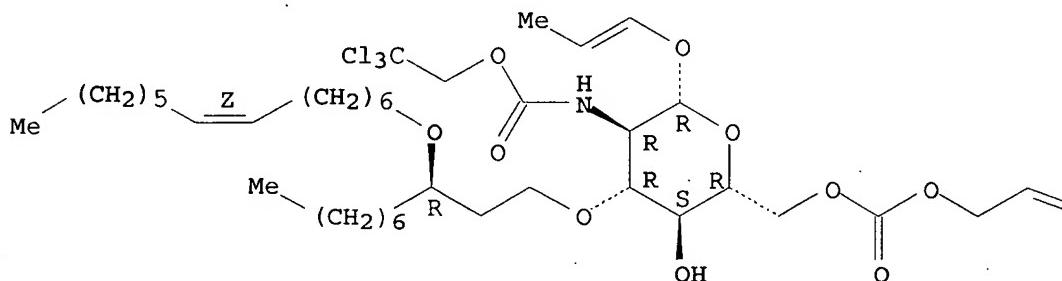
RN 475131-67-0 HCAPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]decyl]-2-[[{(2,2,2-trichloroethoxy)carbonyl}amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

$$= \text{CH}_2$$

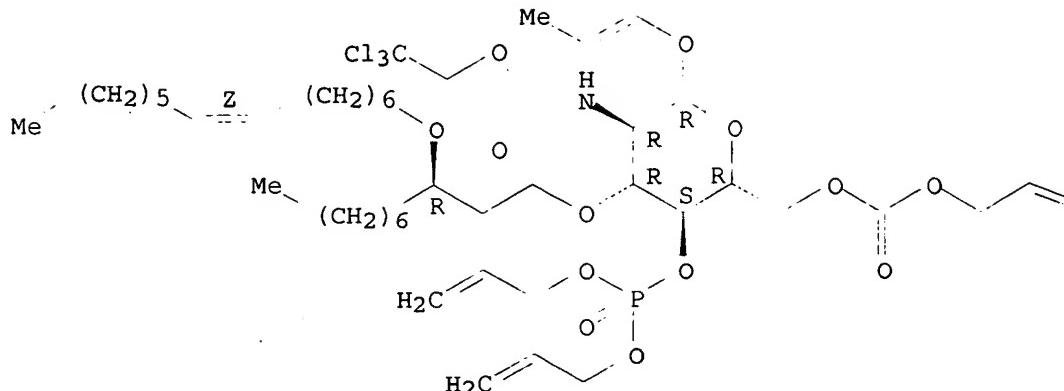
RN 475131-72-7 HCAPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]decyl]-2-[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

CH<sub>2</sub>

L11 ANSWER 9 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:1006997 HCAPLUS

DOCUMENT NUMBER: 140:59901

TITLE: Preparation of 1-carboxymethyl analogs of glucosyl lipid A as macrophage activation inhibitors

INVENTOR(S): Shiozaki, Masao; Mochizuki, Takashi; Watanabe, Yukiko; Shimozato, Takaichi

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 151 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106473	A1	20031224	WO 2003-JP7748	20030618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NC, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004075670	A	20040311	JP 2003-170264	20030616

AU 2003242470  
PRIORITY APPLN. INFO.:

A1 20031231

AU 2003-242470

20030618

JP 2002-176511

A 20020618

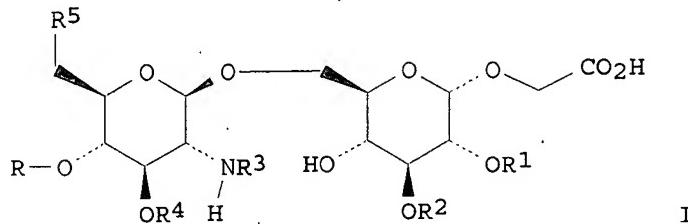
WO 2003-JP7748

W 20030618

OTHER SOURCE(S):

MARPAT 140:59901

GI



AB The title compds. I [R is (HO)<sub>2</sub>(O)P; R1 is hydrogen, C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, or the like; R2 and R4 are each independently, hydrogen, C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, C1-20 alkanoyl, C3-20 alkenoyl, C3-20 alkynoyl, or the like; R3 is C1-20 alkanoyl, C3-20 alkenoyl, C3-20 alkynoyl, or the like; and R5 is hydrogen, halogeno, hydroxyl, C1-6 alkoxy, C2-6 alkenyloxy, C2-6 alkynyloxy, or the like] are prepared In an in vitro test using human blood and lipopolysaccharide, compds. of this invention showed IC<sub>50</sub> values of 4.8 nM to 24 nM against TNF $\alpha$  production

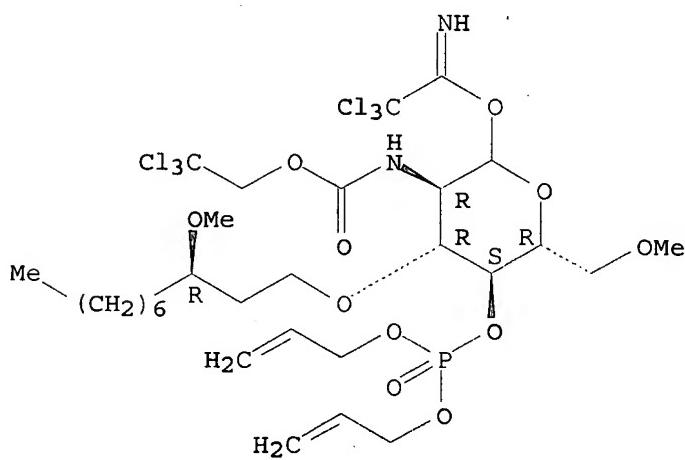
IT 185954-85-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 1-carboxymethyl analogs of glucosyl lipid A as macrophage activation inhibitors)

RN 185954-85-2 HCPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 637354-92-8P 637354-93-9P 637354-94-0P  
637355-02-3P 637355-03-4P 637355-11-4P  
637355-12-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 1-carboxymethyl analogs of glucosyl lipid A as macrophage activation inhibitors)

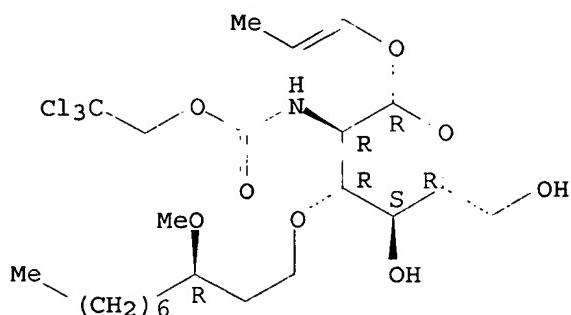
10/546, 132 > 11/02/2007

RN 637354-92-8 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

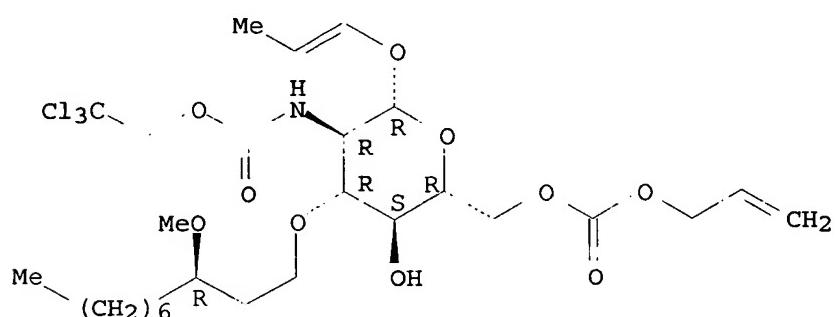


RN 637354-93-9 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

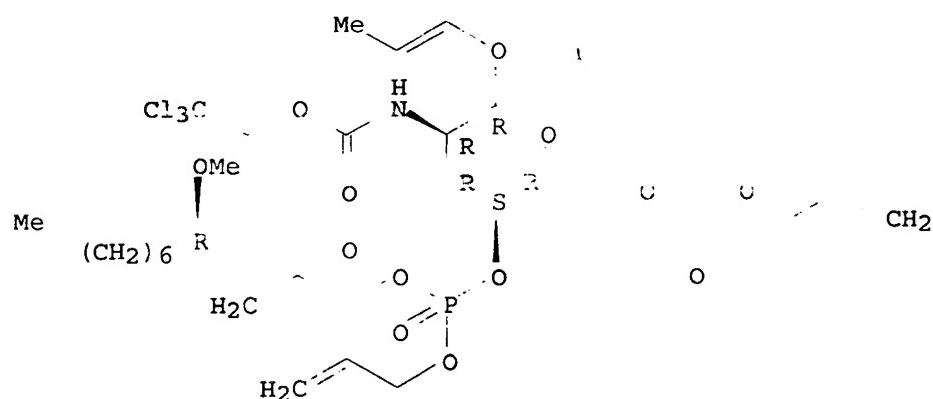


RN 637354-94-0 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate)-6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

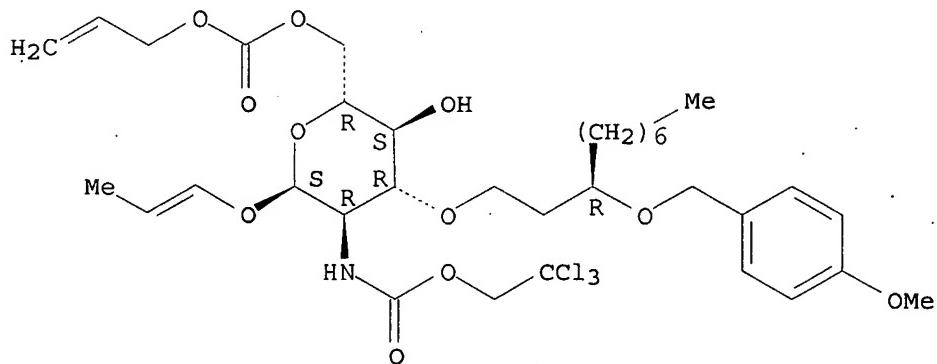


RN 637355-02-3 HCAPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

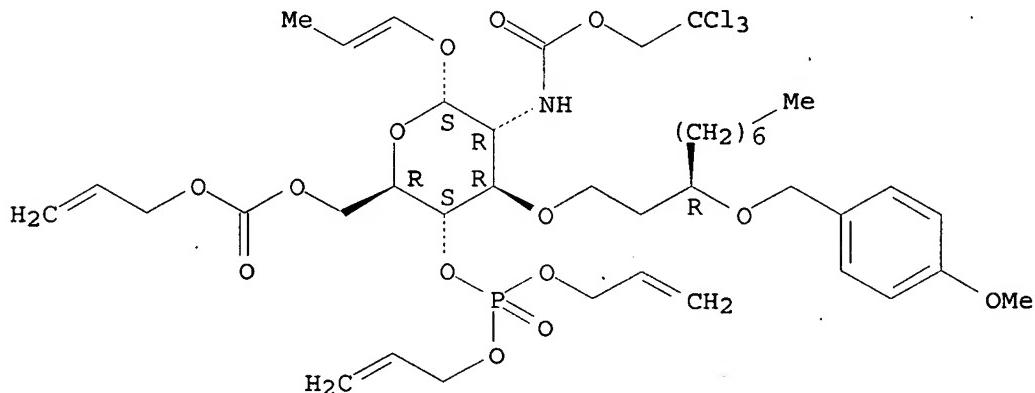


RN 637355-03-4 HCAPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

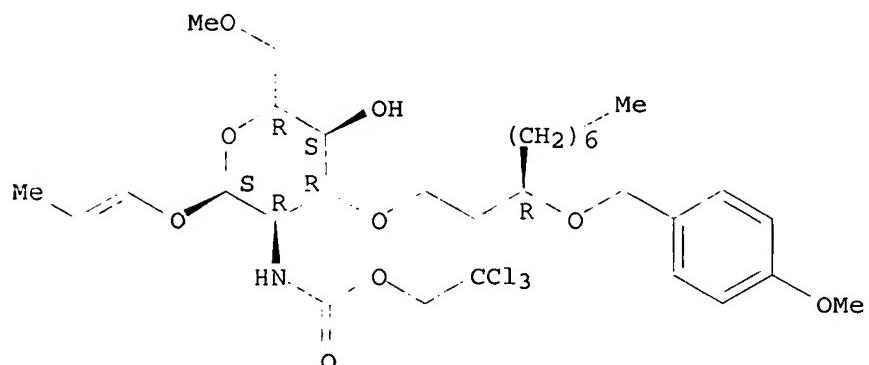


RN 637355-11-4 HCAPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-6-O-methyl-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

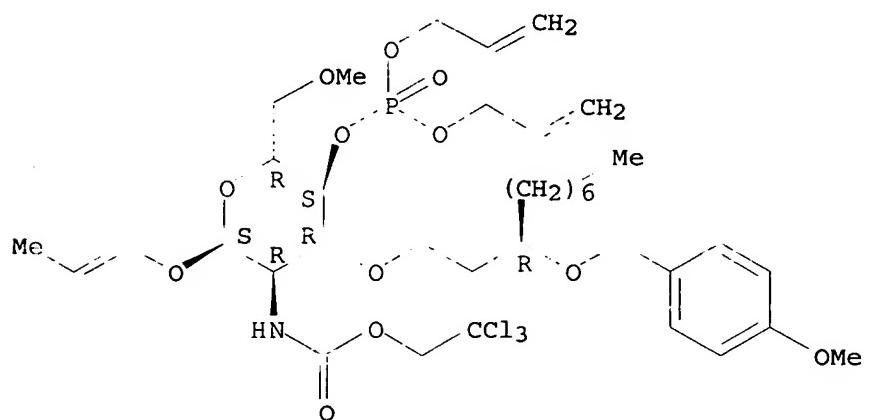


RN 637355-12-5 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(4-methoxyphenyl)methoxy]decyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:1005619 HCPLUS

DOCUMENT NUMBER: 140:199546

TITLE: Synthesis of tetrahydropyran-2-carboxylic acid derivatives of lipid a containing an olefin in their chains and their LPS-antagonistic activities

AUTHOR(S): Watanabe, Yukiko; Shiozaki, Masao; Tanaka, Daisuke; Shimozato, Takaichi; Kanai, Saori; Kurakata, Shin-ichi

CORPORATE SOURCE: Exploratory Chemistry Research Laboratories, Sankyo Co. Ltd., Tokyo, 140-8710, Japan

SOURCE: Bulletin of the Chemical Society of Japan (2003), 76(12), 2341-2352

PUBLISHER: CODEN: BCSJA8; ISSN: 0009-2673  
Chemical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:199546

AB Four tetrahydropyran-2-carboxylic acid derivs. with 3-(tetradec-7-enyloxy)tetradecyl chains instead of 3-(tetradecanoyloxy)tetradecanoyl

chains in lipid A were synthesized and their biol. activities toward human U937 cells, human whole blood cells and mouse peritoneal resident macrophages were measured. These compds. showed LPS-antagonistic activity toward these three kinds of cells. The IC<sub>50</sub> values (nM) (1 M = 1 mol dm<sup>-3</sup>) of these four compds. toward human monoblastic U937 cells were between 0.017 and 2.2. However, the LPS-antagonistic activities (IC<sub>50</sub> values) of these four compds. toward human whole blood cells were only in the range of 0.21 to 0.81 μM. The IC<sub>50</sub> values (μM) toward mouse peritoneal resident macrophages were in the range of 0.49 to 2.49.

IT 475129-97-6P 475130-01-9P 475130-05-3P  
475130-15-5P 475130-19-9P 475130-26-8P  
475130-30-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

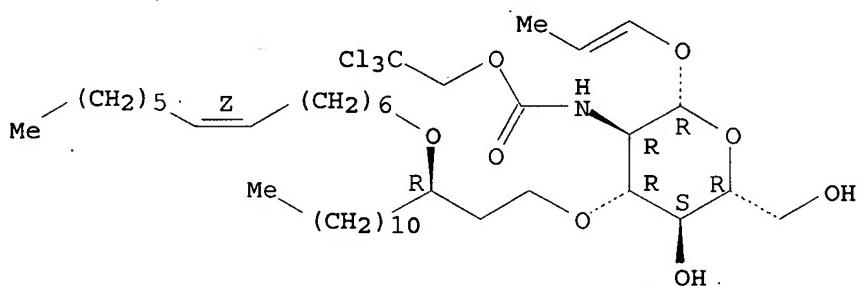
(preparation and LPS-antagonistic activity of oligosaccharide tetrahydropyran-2-carboxylic acid derivs. of lipid A containing an olefin in the side chains)

RN 475129-97-6 HCPLUS

CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.



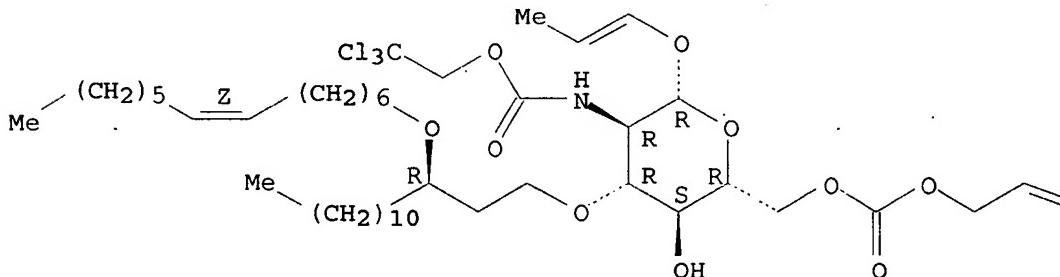
RN 475130-01-9 HCPLUS

CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



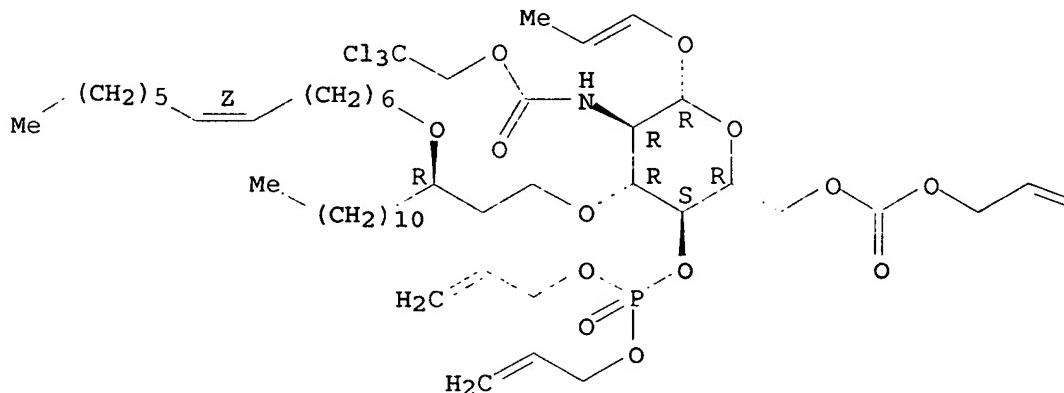
$\equiv \text{CH}_2$ 

RN 475130-05-3 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

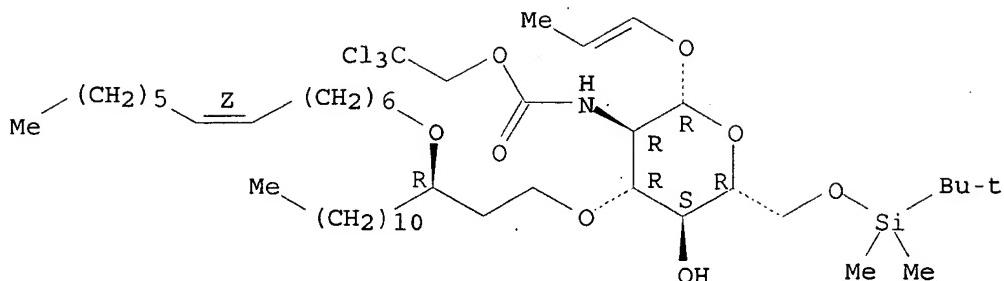
 $\equiv \text{CH}_2$ 

RN 475130-15-5 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy 6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

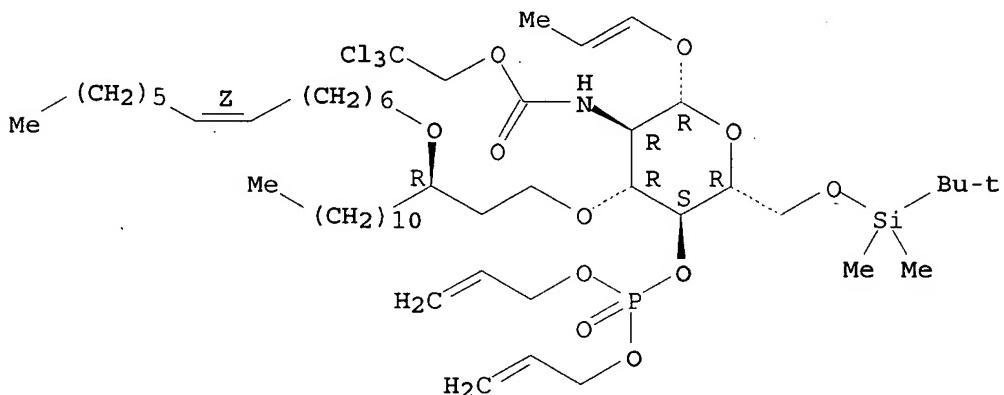


RN 475130-19-9 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

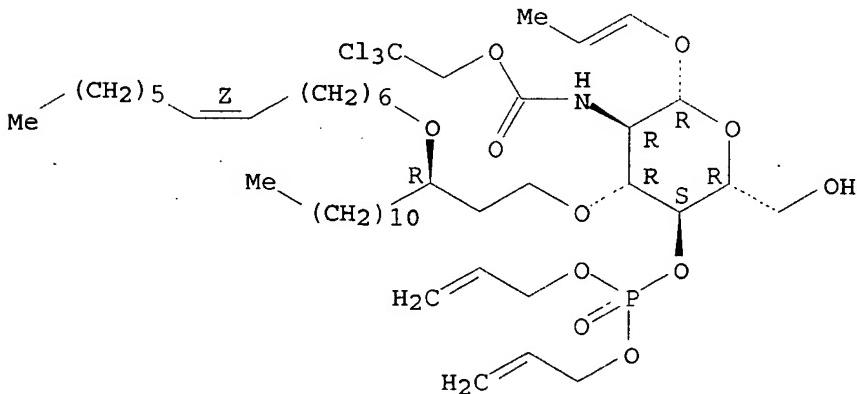


RN 475130-26-8 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

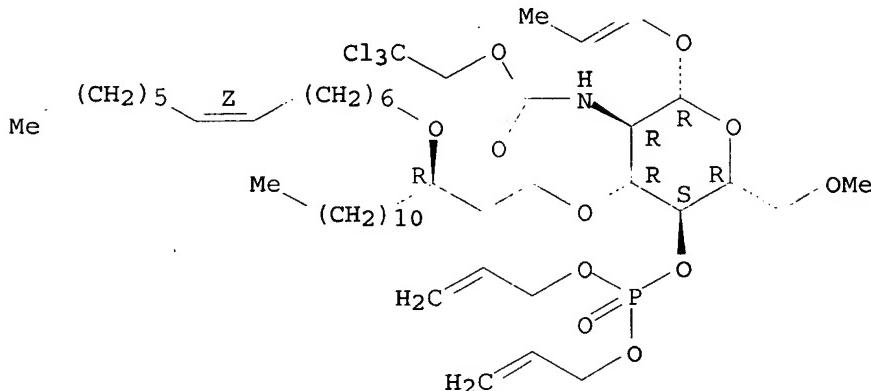


RN 475130-30-4 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-

7-tetradecenyloxy]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as described by E or Z.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:481792 HCAPLUS

DOCUMENT NUMBER: 139:47149

TITLE: 1-Carboxymethyl lipid A analogs as antiinflammatory and immunosuppressant agents

INVENTOR(S): Kazama, Yukiko; Shiozaki, Masao

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 98 pp.

CODEN: JKXXAF

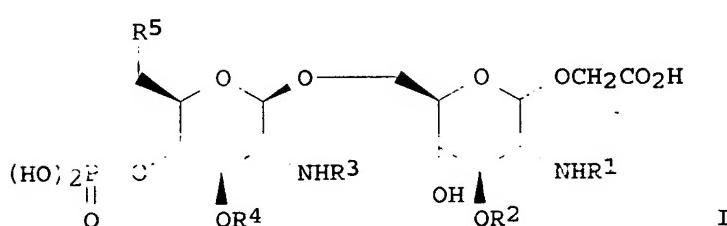
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003176229	A	20030624	JP 2002-276597	20020924
PRIORITY APPLN. INFO.:			JP 2001-307421	A 20011003
OTHER SOURCE(S): MARPAT 139:47149				
GI				



AB 1-Carboxymethyl lipid A analogs (I; R1, R3 = C1-C20 alkanoyl; R2, R4 = C1-C20 alkyl, alkanoyl; R5 = H, halogen, OH, alkoxy, etc.) and their pharmacol. acceptable salts and esters are claimed as antiinflammatory and immunosuppressant agents by inhibiting macrophage activity and are useful for treatment of autoimmune diseases and septicemia.

IT 366805-42-7P 366805-43-8P 366805-45-0P  
 366805-46-1P 366805-47-2P 366805-48-3P  
 366805-63-2P 366805-64-3P 366805-65-4P  
 366805-68-7P 366805-69-8P 366805-70-1P  
 366805-71-2P

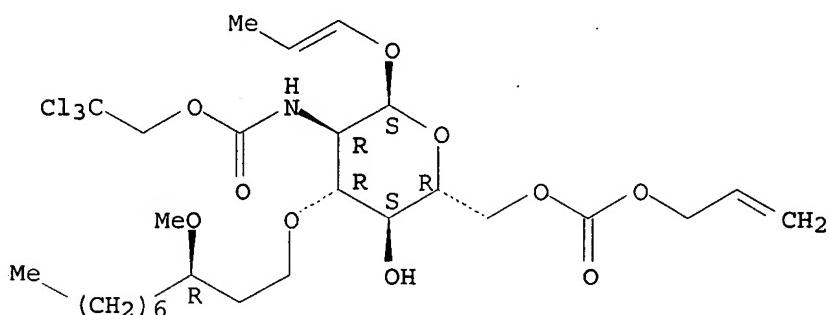
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (1-carboxymethyl lipid A analogs as antiinflammatory and immunosuppressant agents)

RN 366805-42-7 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

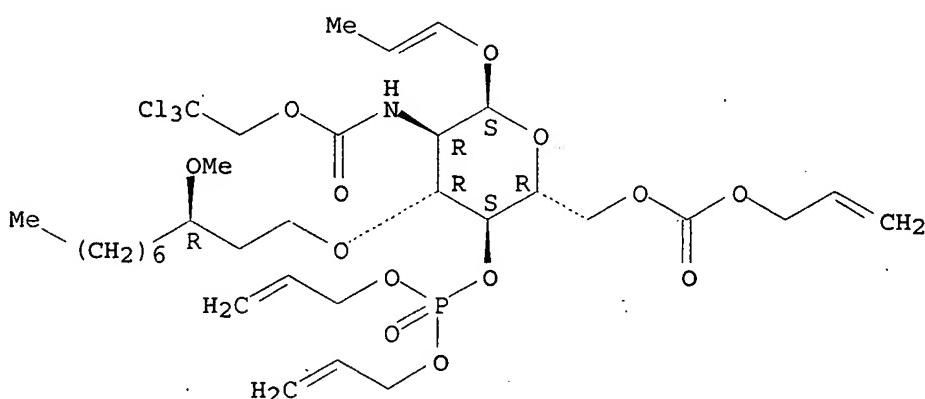


RN 366805-43-8 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

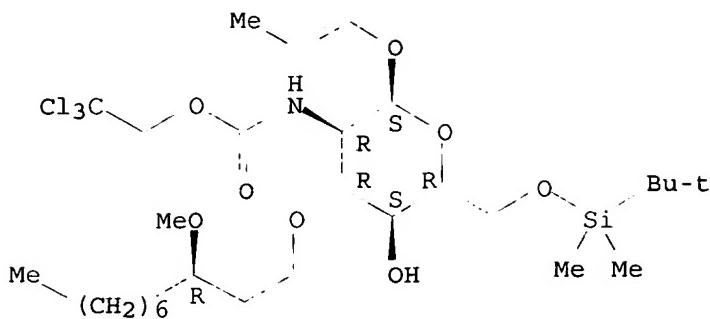


RN 366805-45-0 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-methoxydecyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

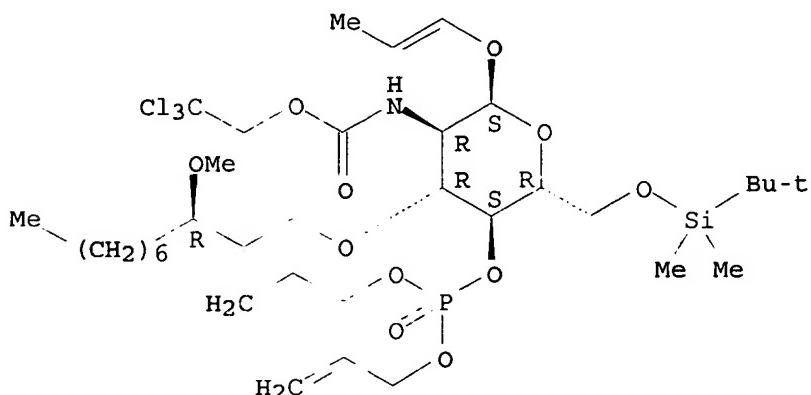
Double bond geometry unknown.



RN 366805-46-1 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

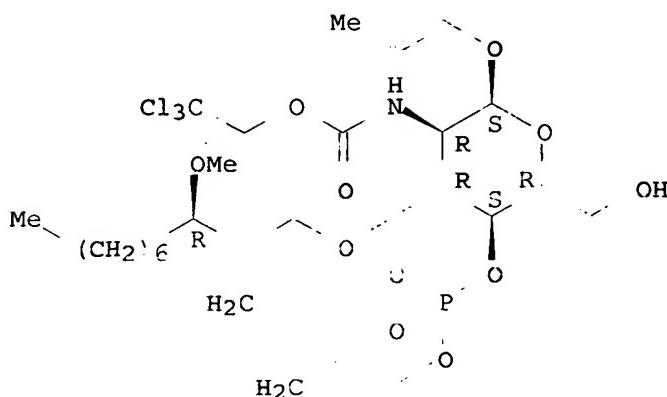
Absolute stereochemistry.  
Double bond geometry unknown.



RN 366805-47-2 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

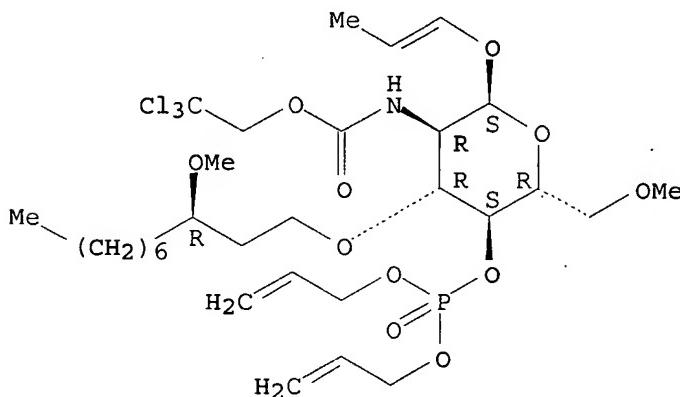


RN 366805-48-3 HCPLUS

10/546, 132 > 11/02/2007

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

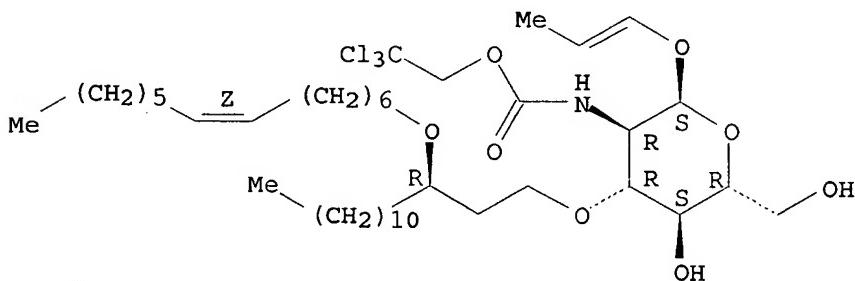
Absolute stereochemistry.  
Double bond geometry unknown.



RN 366805-63-2 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as described by E or Z.

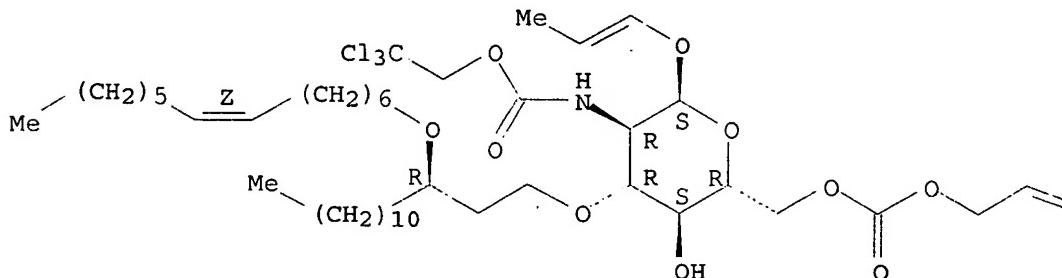


RN 366805-64-3 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

 $\equiv \text{CH}_2$ 

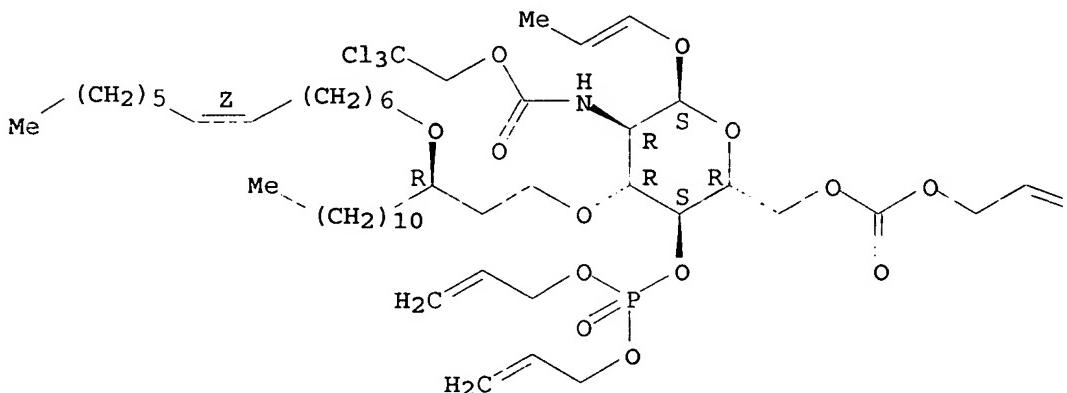
RN 366805-65-4 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[2,2,2-trichloroethoxy]carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

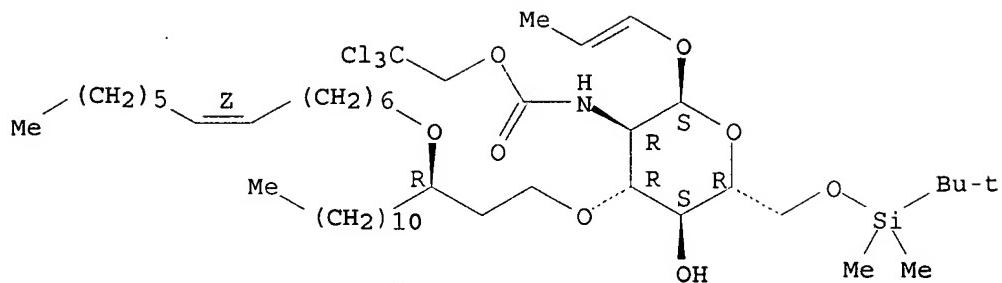
 $\text{CH}_2$ 

RN 366805-68-7 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[2,2,2-trichloroethoxy]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

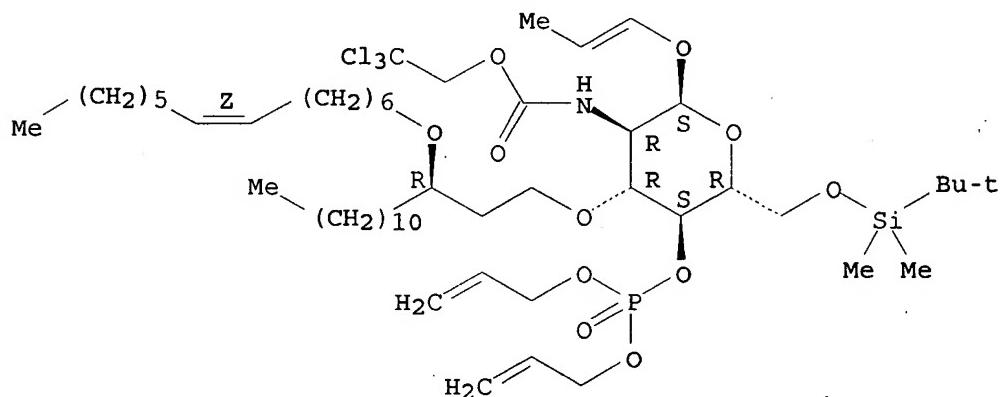


RN 366805-69-8 HCAPLUS

CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[[{(2,2,2-trichloroethoxy)carbonyl}amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as described by E or Z.

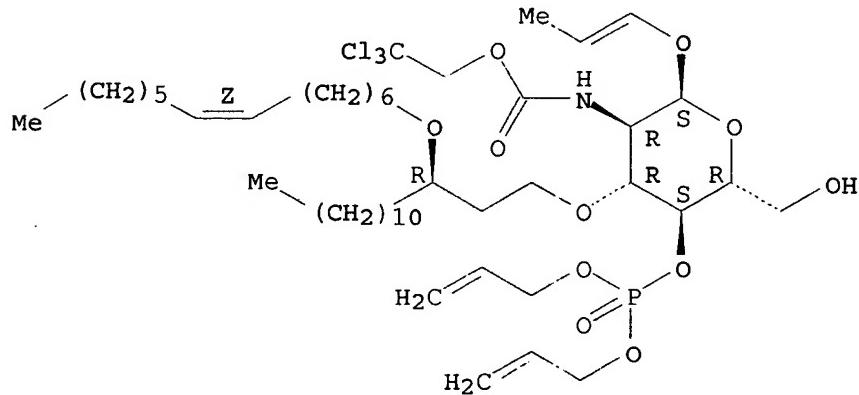


RN 366805-70-1 HCAPLUS

CN α-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as described by E or Z.

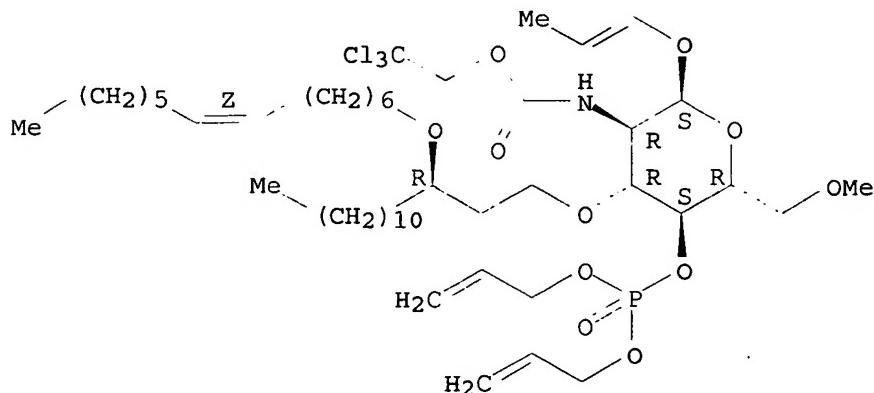


RN 366805-71-2 HCAPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.



L11 ANSWER 12 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:905701 HCPLUS

DOCUMENT NUMBER: 138:1271

TITLE: Highly purified antiendotoxin compound

INVENTOR(S): Orr, John D.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094019	A1	20021128	WO 2002-US16203	20020522
WO 2002094019	A9	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004531552	T	20041014	JP 2002-590748	20020522
US 2004235786	A1	20041125	US 2004-478459	20040601

PRIORITY APPLN. INFO.:

AB	The invention provides compns. containing a highly purified antiendotoxin compound E5564 and methods of preparing and using such compns.
----	--

IT 476426-79-6P

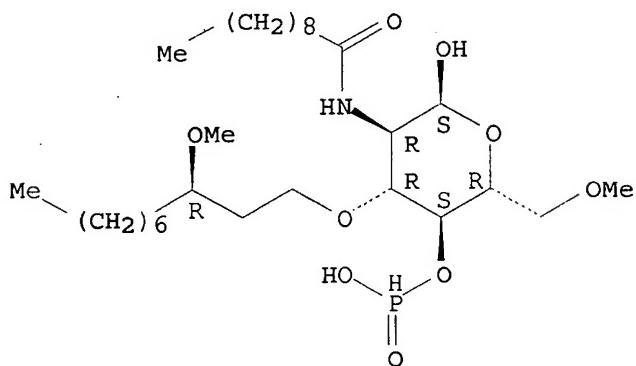
RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(highly purified antiendotoxin compound)

RN 476426-79-6 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-

[(1-oxodecyl)amino]-, 4-(hydrogen phosphonate) (9CI) (CA INDEX NAME)

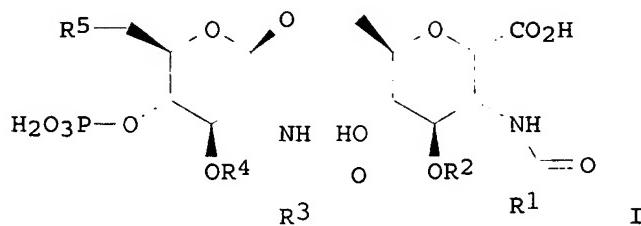
Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:849654 HCAPLUS  
 DOCUMENT NUMBER: 137:370316  
 TITLE: Preparation of lipid A 1-carboxylic acid derivatives as macrophage inhibitors  
 INVENTOR(S): Watanabe, Yukiko; Shiozaki, Masao  
 PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan  
 SOURCE: PCT Int. Appl., 196 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088156	A1	20021107	WO 2002-JP4032	20020423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003012685	A	20030115	JP 2002-121957	20020424
PRIORITY APPLN. INFO.:			JP 2001-129660	A 20010426
OTHER SOURCE(S): GI		MARPAT 137:370316		



**AB** 2,6-Anhydro-7-O-(2-amino-2-deoxy-4-O-phosphono- $\beta$ -D-glucopyranosyl)-3-amino-3-deoxy-D-glycero-D-ido-heptonic acid derivs. represented by the general formula (I) or pharmaco. acceptable esters or salts thereof [wherein one of R1 and R3 represents C9-15 alkyl and the other represents hydrogen or C1-6 alkyl; one of R2 and R4 represents C10-16 alkoxy-C9-15 alkyl, C10-16 alkoxy-C10-16 alkenyl, or C10-16 alkenyloxy-C10-16 alkyl and the other represents C10-16 alkyl; and R5 represents hydroxy, C1-6 alkoxy, or halogeno; wherein the above alkyl, alkenyl, alkoxy, or alkenyloxy is optionally substituted; provided that the alkyl, alkenyl, alkoxy, or alkenyloxy substituted by oxo is excluded in R2 and R4] are prepared. These compds. have excellent macrophage inhibitory activity and are useful as anti-inflammatory agents, anti-autoimmune disease agents, immunosuppressants, or antiseptic agents. For example, 2,6-anhydro-3-deoxy-7-O-[2-deoxy-2-formamido-6-O-methyl-4-O-phosphono-3-O-[(R)-3-[(Z)-7-tetradecenyl]tetradecyl]- $\beta$ -D-glucopyranosyl]-4-O-dodecyl-3-(3-oxotetradecanamido)-D-glycero-D-ido-heptonic acid showed IC50 of 0.017 nM for inhibiting the lipopolysaccharide-stimulated production of TNF- $\alpha$  in human monocyte cell U937 pretreated with TPA.

**IT**  
 366805-74-5P 475129-97-6P 475130-01-9P  
 475130-05-3P 475130-15-5P 475130-19-9P  
 475130-26-8P 475130-30-4P 475131-63-6P  
 475131-67-0P 475131-72-7P

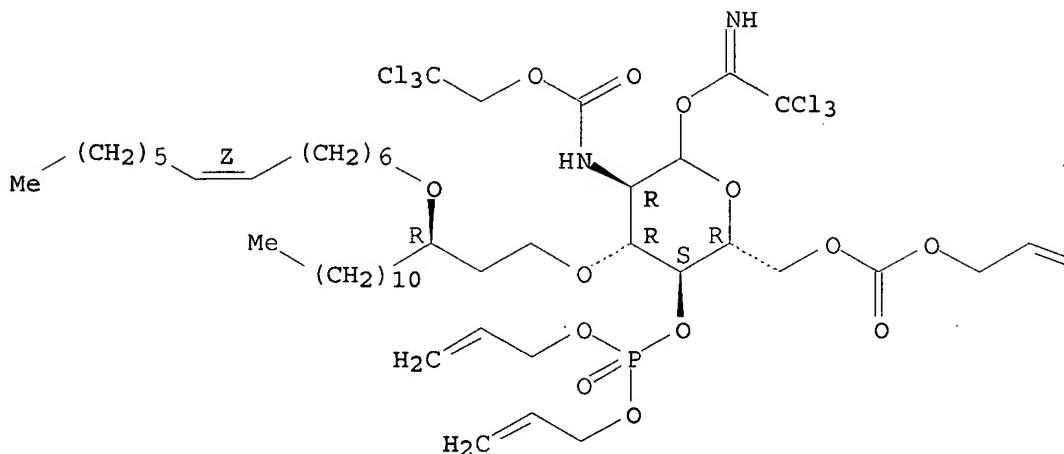
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of lipid A-carboxylic acid derivs. having excellent macrophage inhibitory activity as anti-inflammatory agents, anti-autoimmune disease agents, immunosuppressants, or antiseptic agents)

**RN** 366805-74-5 HCPLUS

**CN** D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

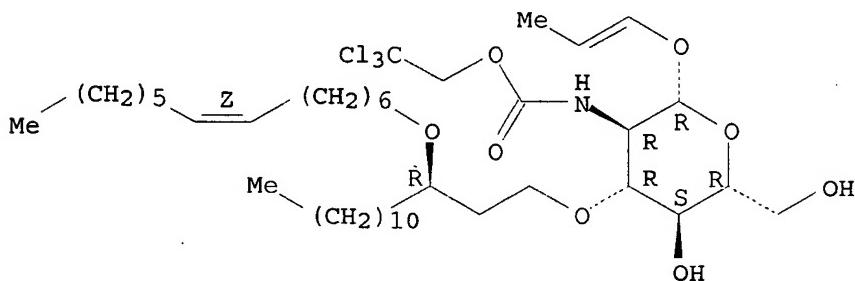
 $\equiv \text{CH}_2$ 

RN 475129-97-6 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.



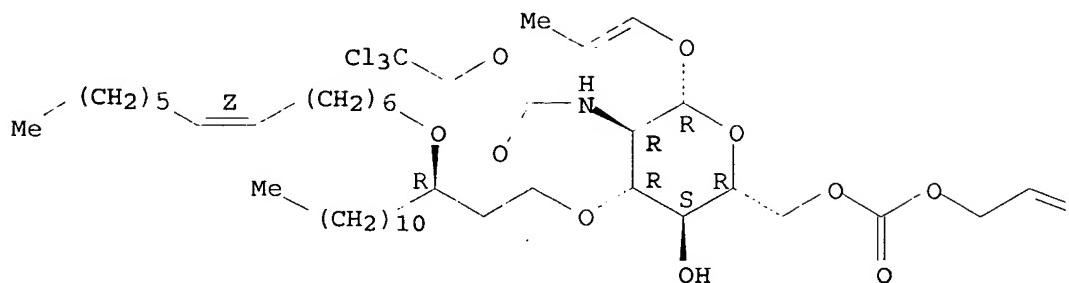
RN 475130-01-9 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

 $\rightleftharpoons \text{CH}_2$ 

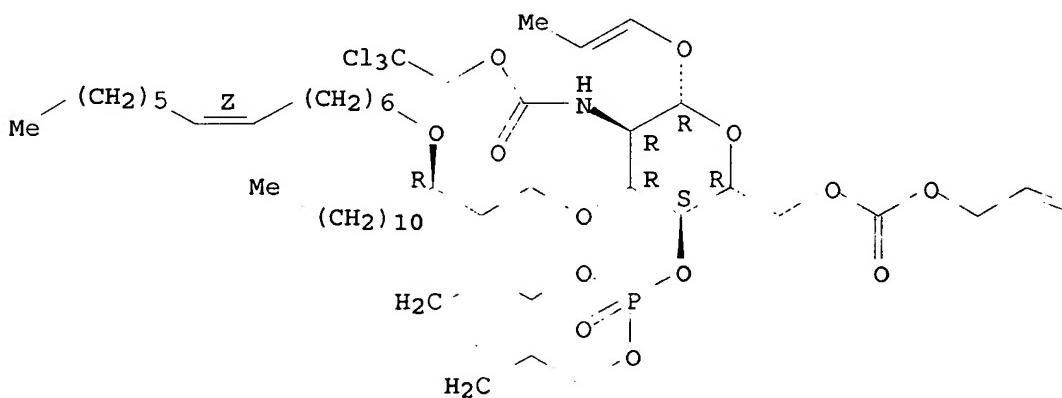
RN 475130-05-3 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[((2,2,2-trichloroethoxy)carbonyl)amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



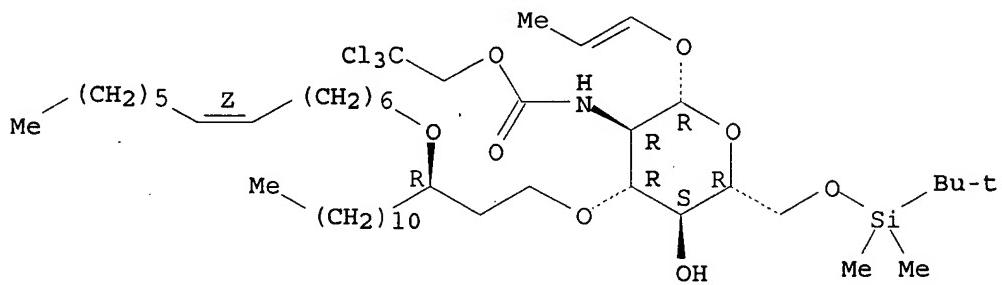
$\equiv \text{CH}_2$ 

RN 475130-15-5 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

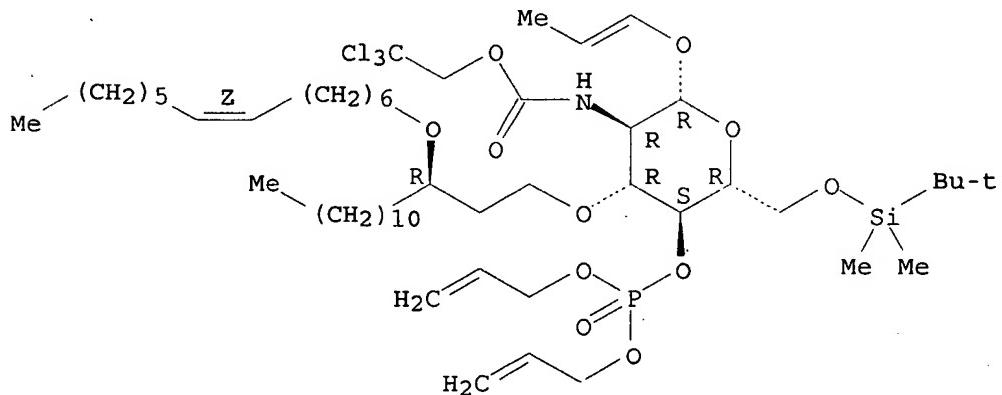


RN 475130-19-9 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

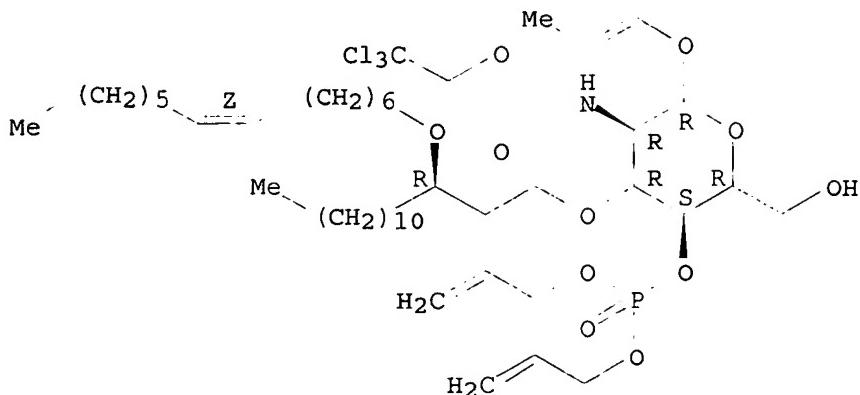
Double bond geometry as described by E or Z.



RN 475130-26-8 HCPLUS

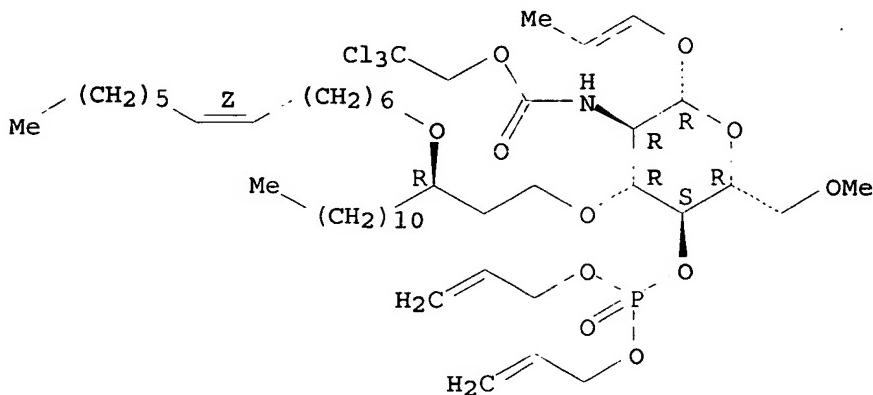
CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as described by E or Z.



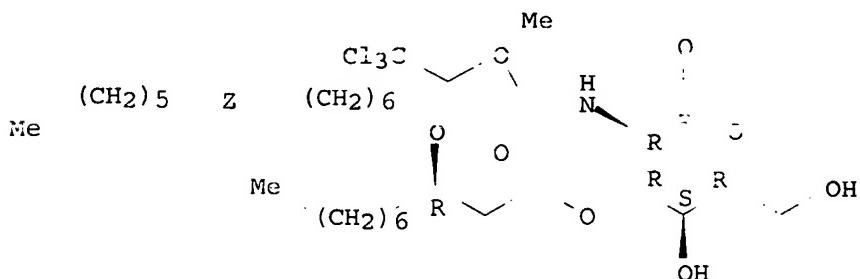
RN 475130-30-4 HCPLUS  
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as described by E or Z.



RN 475131-63-6 HCPLUS  
CN β-D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]decyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as described by E or Z.



10/546, 132 > 11/02/2007

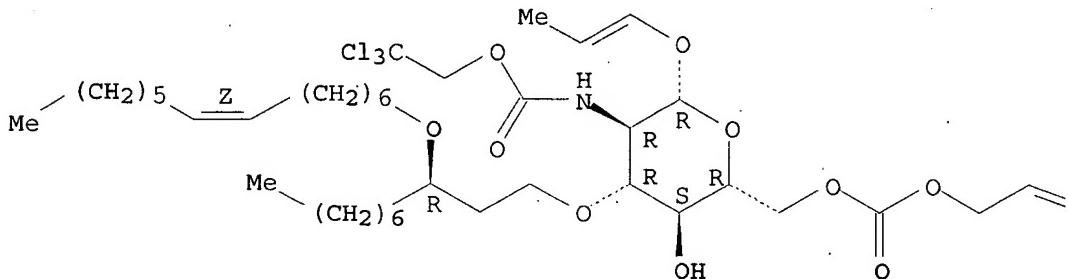
RN 475131-67-0 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyoxy]decyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

$\equiv CH_2$

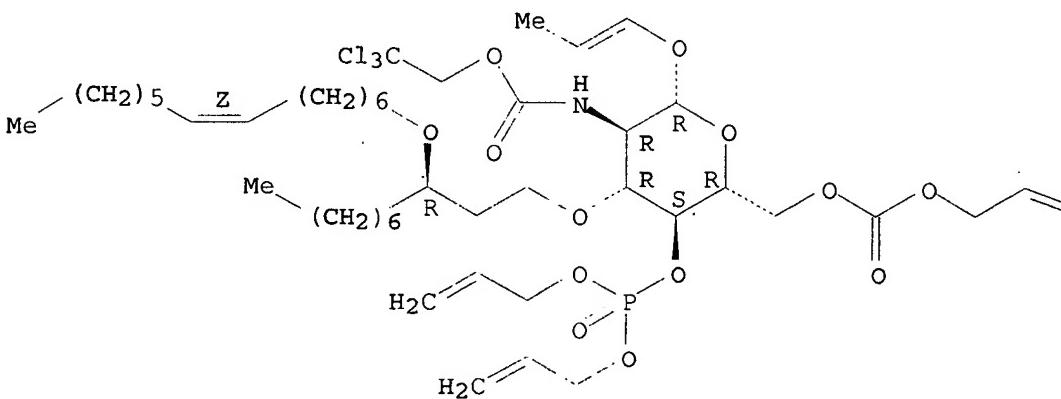
RN 475131-72-7 HCPLUS

CN  $\beta$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyoxy]decyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



$\equiv\text{CH}_2$ 

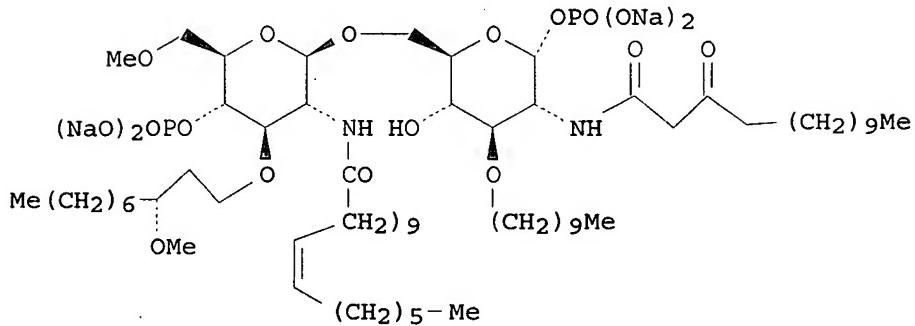
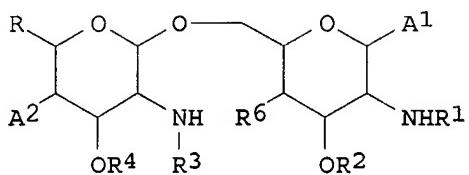
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:516677 HCPLUS  
 DOCUMENT NUMBER: 137:57599  
 TITLE: Prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of anti-endotoxin drugs  
 INVENTOR(S): Rossignol, Daniel P.; Vermeulen, Mary W.  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 293,856.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6417172	B1	20020709	US 1999-449601	19991123
US 5750664	A	19980512	US 1995-461675	19950605
US 5935938	A	19990810	US 1996-658656	19960605
US 6184366	B1	20010206	US 1999-293856	19990416
CA 2392356	A1	20010531	CA 2000-2392356	20001122
WO 2001037843	A1	20010531	WO 2000-US32177	20001122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1248629	A1	20021016	EP 2000-980723	20001122
EP 1248629	B1	20050126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003514862	T	20030422	JP 2001-539457	20001122
AT 287719	T	20050215	AT 2000-980723	20001122
ES 2237475	T3	20050801	ES 2000-980723	20001122
US 2003134805	A1	20030717	US 2002-167222	20020611
US 6683063	B2	20040127		
HK 1051490	A1	20050422	HK 2003-102773	20030416
PRIORITY APPLN. INFO.:				
		US 1995-461675	A2	19950605
		US 1996-658656	A1	19960605
		US 1999-293856	A2	19990416
		US 1999-449601	A	19991123
		WO 2000-US32177	W	20001122

OTHER SOURCE(S) :  
GI

MARPAT 137:57599



**AB** Disaccharide compds. I, wherein R is H, CH<sub>2</sub>OH, alkoxide; R<sub>1</sub> is acyl; R<sub>2</sub> is C<sub>5</sub>-C<sub>15</sub> alkyl R<sub>3</sub> is C<sub>5</sub>-C<sub>18</sub> alkyl, acyl, R<sub>4</sub> is C<sub>4</sub>-C<sub>20</sub> alkyl, oxyalkyl; A<sub>1</sub> and A<sub>2</sub> are independently OH, phosphate, phosphonate, ester; were prepared for and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin. The invention provides methods of preventing and treating pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin and related conditions in a patient by administering to the patient anti-endotoxin compds. by inhalation. The invention provides methods of preventing and treating pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin and related conditions in a patient by administering to the patient anti-endotoxin compds. by inhalation. Thus, disaccharide lipid II was prepared and tested in mice and suppressed the production of TNF following administration of LPS.

**IT**  
185954-85-2P 185955-17-3P 185955-18-4P  
185955-19-5P 185955-22-0P 185955-26-4P  
185955-28-6P 185955-29-7P 234088-12-1P  
234088-13-2P 234088-14-3P

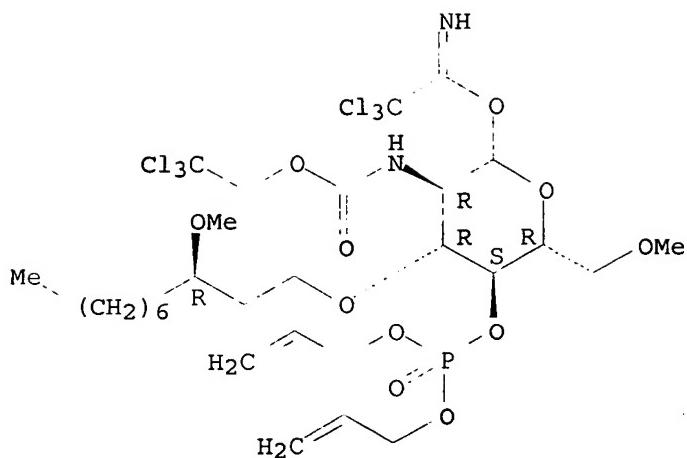
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of anti-endotoxin drugs such as disaccharide lipid A analogs in relation to inhibition of cytokine production)

**RN** 185954-85-2 HCPLUS

**CN** D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

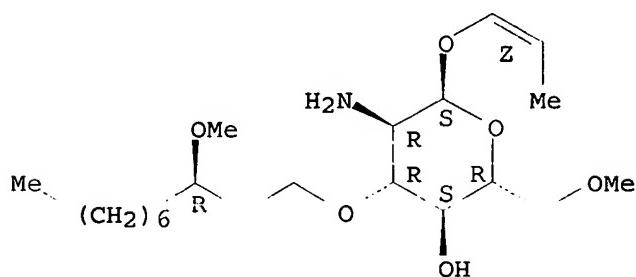


RN 185955-17-3 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

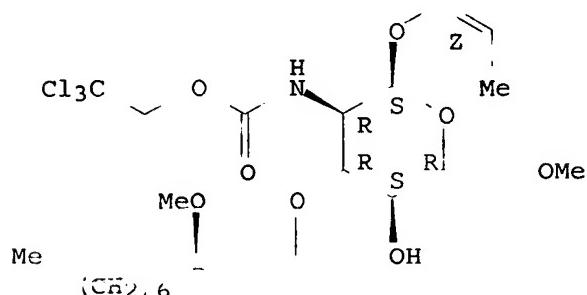


RN 185955-18-4 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

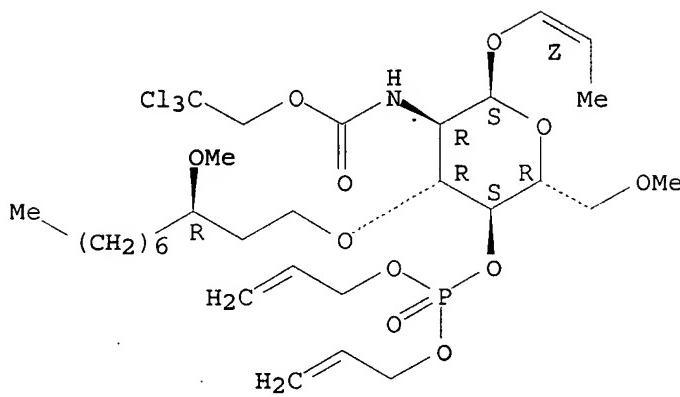
Double bond geometry as shown.



RN 185955-19-5 HCPLUS

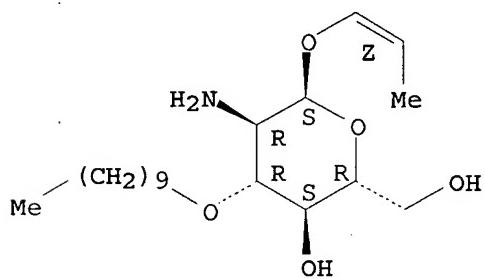
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



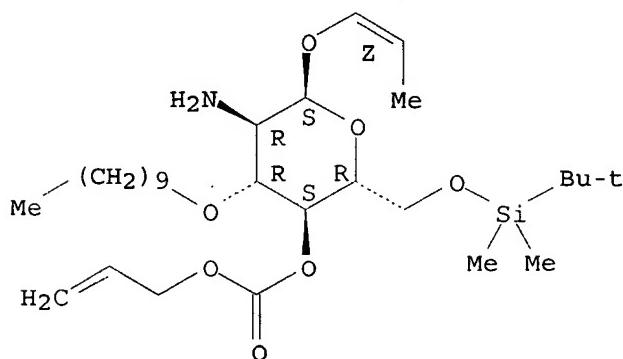
RN 185955-22-0 HCPLUS  
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 185955-26-4 HCPLUS  
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

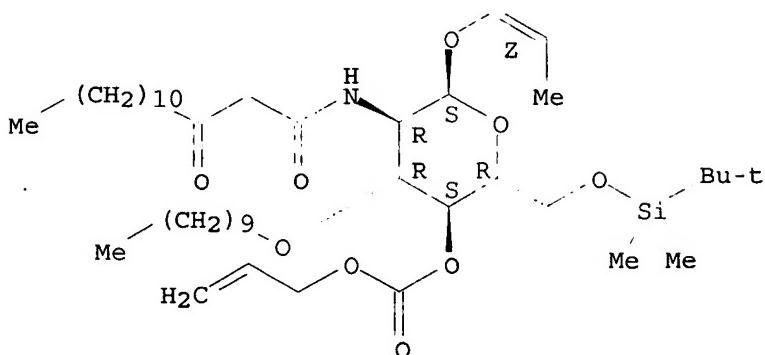
Absolute stereochemistry.  
Double bond geometry as shown.



RN 185955-28-6 HCPLUS  
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-

dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-,  
4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

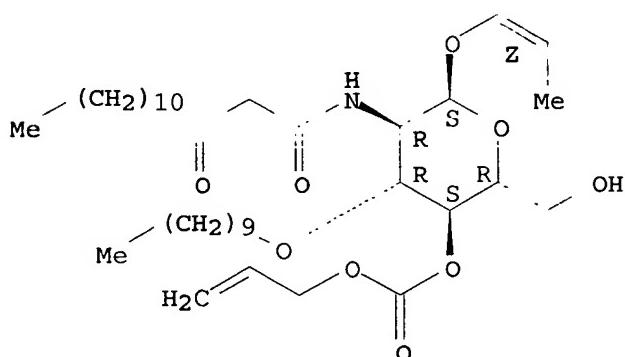
Absolute stereochemistry.  
Double bond geometry as shown.



RN 185955-29-7 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

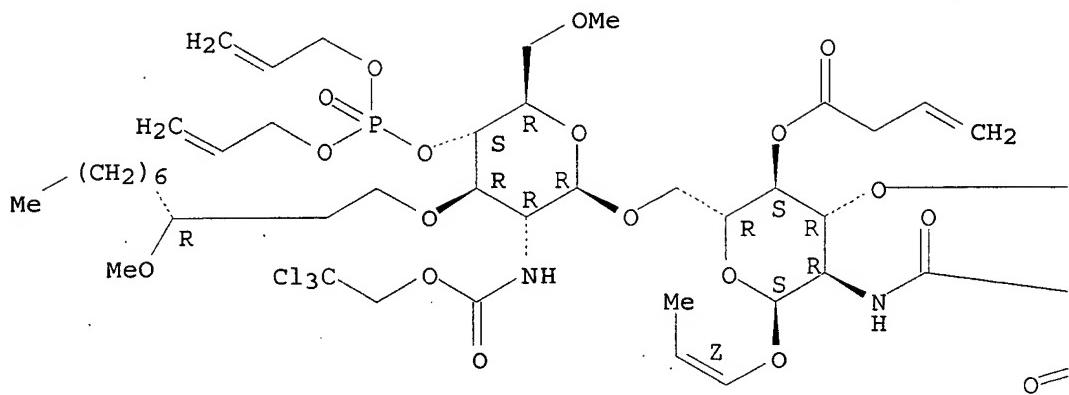


RN 234088-12-1 HCPLUS

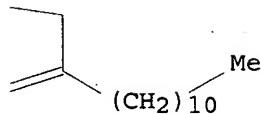
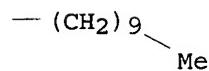
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyl)phosphoryl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[2,2,2-trichloroethoxy]carbonyl]amino]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



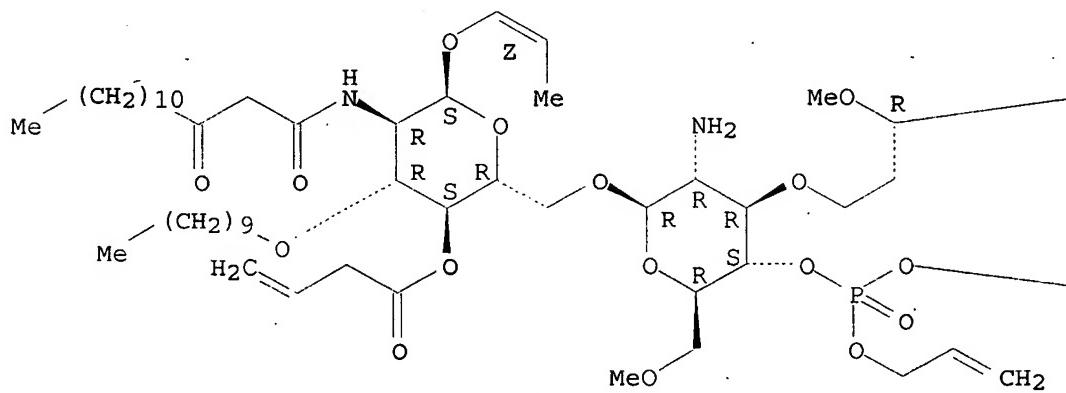
RN 234088-13-2 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[2-amino-4-O-[bis(2-propenyl)phosphoryl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

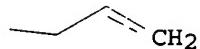
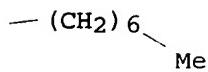
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

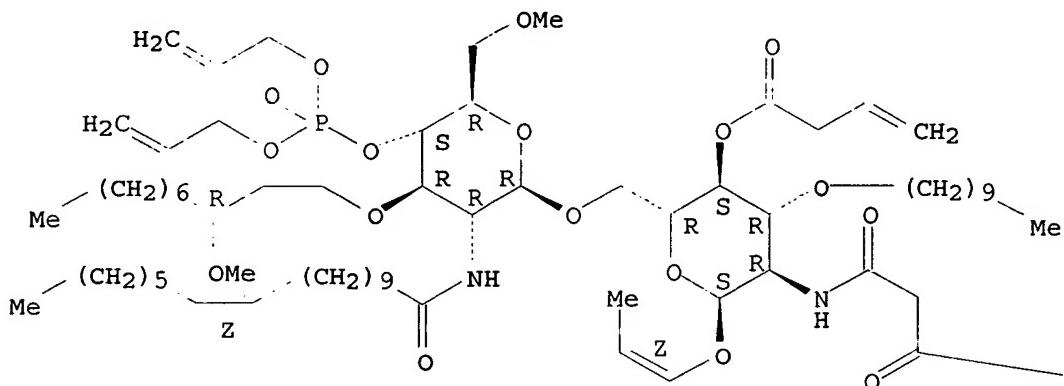


RN 234088-14-3 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyl)phosphoryl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(11Z)-1-oxo-11-octadecenyl]amino]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A

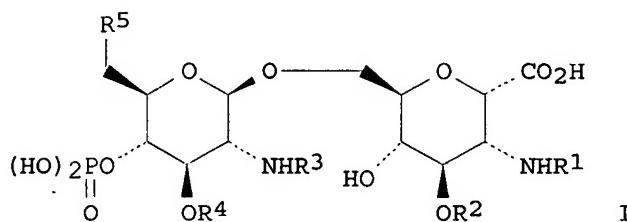


Me  
 $\text{---} (\text{CH}_2)_{10}$

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:301727 HCPLUS  
 DOCUMENT NUMBER: 136:335233  
 TITLE: Ether-type lipid A carboxylate analogs for inhibiting macrophage functions  
 INVENTOR(S): Kazama, Yukiko; Shiozaki, Masao; Kurakata, Shinichi; Kanai, Saori  
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 55 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002121137	A	20020423	JP 2001-237591	20010806
PRIORITY APPLN. INFO.:			JP 2000-239271	A 20000808
OTHER SOURCE(S):	MARPAT	136:335233		
GI				



AB Ether-type lipid A carboxylate analogs (I; R1, R3 = C1-20 alkanoyl; R2, R4 = (substituted)C1-20 alkyl; R5 = H, halogen, OH, C1-6 alkoxy) and their pharmaco. acceptable salts are claimed for inhibiting macrophage functions and are useful for prevention and treatment of inflammatory diseases, autoimmune disease, and septicemia..

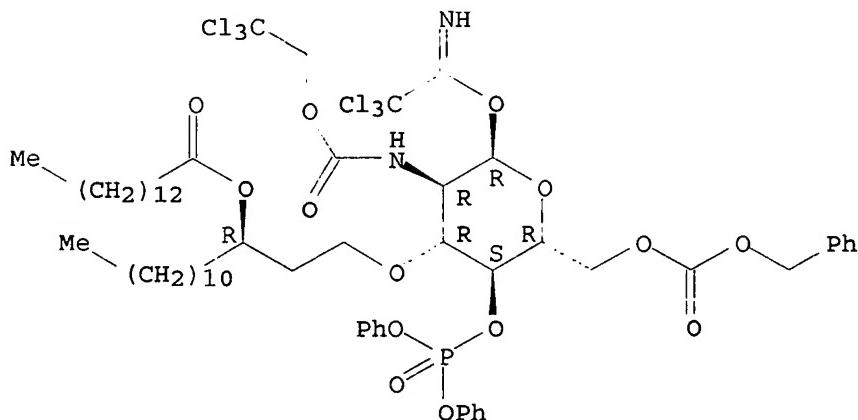
IT 288403-08-7P 288403-19-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(ether-type lipid A carboxylate analogs for inhibiting macrophage functions)

RN 288403-08-7 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(1-oxotetradecyl)oxyltetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbamate) 1-(2,2,2-trichloroethanimide) (9CI) (CA INDEX NAME)

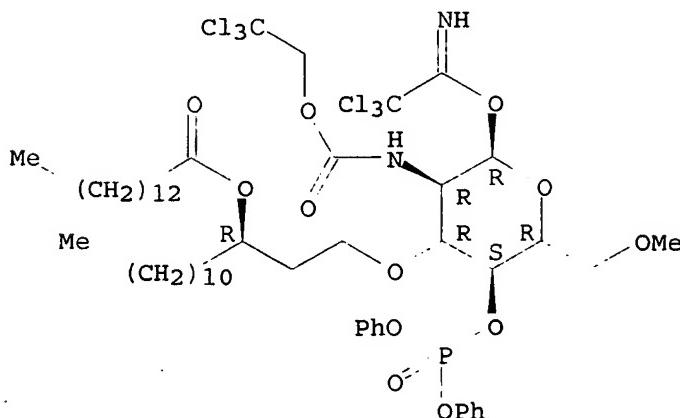
Absolute stereochemistry.



RN 288403-19-0 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(1-oxotetradecyl)oxyltetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 1-(2,2,2-trichloroethanimide) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 16 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:763021 HCPLUS

DOCUMENT NUMBER: 135:304099

TITLE: Preparation of 1-carboxymethyl analogues of lipid A

INVENTOR(S): Watanabe, Yukiko; Shiozaki, Masao

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 205 pp.

CODEN: PIXXD2

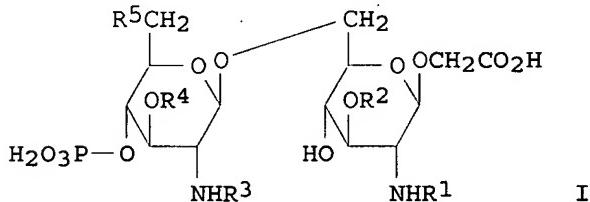
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077133	A1	20011018	WO 2001-JP3005	20010406
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, US, ZA RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
JP 2001348396	A	20011218	JP 2001-107614	20010405
PRIORITY APPLN. INFO.:			JP 2000-104700	A 20000406
OTHER SOURCE(S):	MARPAT	135:304099		
GI				



**AB** Hydroxymethyl 6-O-(4-O-phosphono-D-glucosaminyl)glucosaminide derivs. represented by the general formula [I; R1, R3 = (un) substituted C1-20 alkanoyl, C3-20 alkenoyl, or C3-20 alkynoyl; R2, R4 = (un) substituted C1-20 alkyl, C2-20 alkenyl, or C2-20 alkynyl; R5 = H, halo, OH, C1-6 alkoxy, C2-6 alkenyloxy, or C2-6 alkynyloxy each optionally having oxo group] or pharmaco. acceptable salts or esters thereof are prepared. Also claimed are drugs including anti-inflammatory drugs, drugs for autoimmune diseases, immunosuppressants, or antiseptic drugs containing the compds. I as the active ingredient. These compds. possess excellent effect on inhibiting macrophage activity. Thus, deprotection of (allyloxycarbonyl)methyl 6-O-[2-deoxy-4-O-diallylphosphono-2-formamido-6-O-methyl-3-O-[(R)-3-[(Z)-7-tetradecenyoxy]tetradecyl]-β-D-glucopyranosyl]-2-deoxy-3-O-dodecyl-2-(3-oxotetradecanamido)-α-D-glucopyranoside by treatment with Ph3P, Et3N, formic acid, and tetrakis(triphenylphosphine)palladium in THF at 50° for 5 h gave carboxymethyl 6-O-[2-deoxy-4-O-phosphono-2-formamido-6-O-methyl-3-O-[(R)-3-[(Z)-7-tetradecenyoxy]tetradecyl]-β-D-glucopyranosyl]-2-deoxy-3-O-dodecyl-2-(3-oxotetradecanamido)-α-D-glucopyranoside (II). II showed IC50 of 0.005 nM for inhibiting the lipopolysaccharide-stimulated production of TNF $\alpha$  in human monocyte U937 cells.

**IT**  
 366805-14-3P 366805-42-7P 366805-43-8P  
 366805-45-0P 366805-46-1P 366805-47-2P  
 366805-48-3P 366805-50-7P 366805-63-2P  
 366805-64-3P 366805-65-4P 366805-68-7P  
 366805-69-8P 366805-70-1P 366805-71-2P  
 366805-74-5P

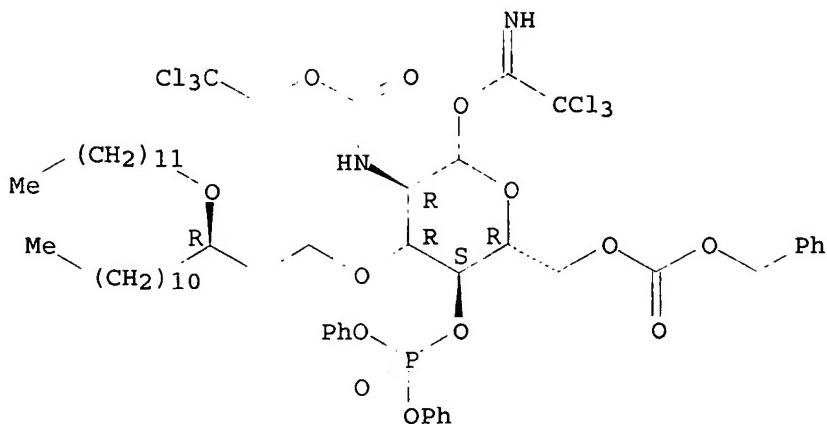
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carboxymethyl analogs of lipid A having macrophage activity-inhibitory activity as anti-inflammatory drugs, drugs for autoimmune diseases, immunosuppressants, or antiseptic drugs)

**RN** 366805-14-3 HCPLUS

**CN** D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-(dodecyloxy)tetradecyl]-2-[[{(2,2,2-trichloroethoxy)carbonyl}amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

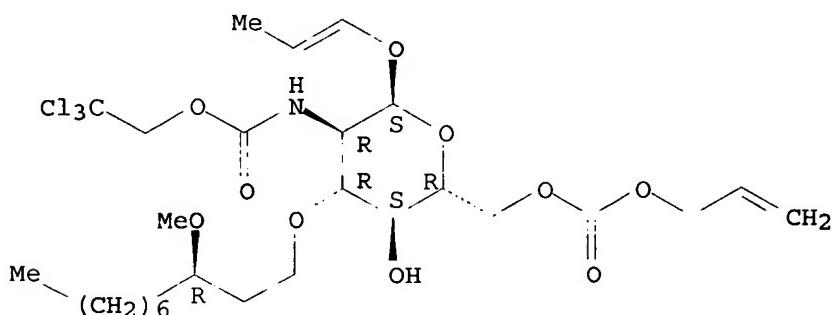
Absolute stereochemistry.



RN 366805-42-7 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI)  
(CA INDEX NAME)

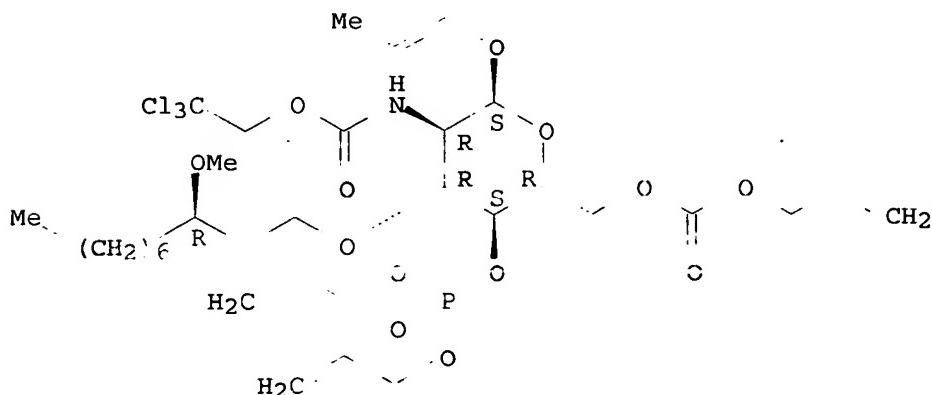
Absolute stereochemistry.  
Double bond geometry unknown.



RN 366805-43-8 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]-, 4-(di-2-propenyl phosphate)-6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

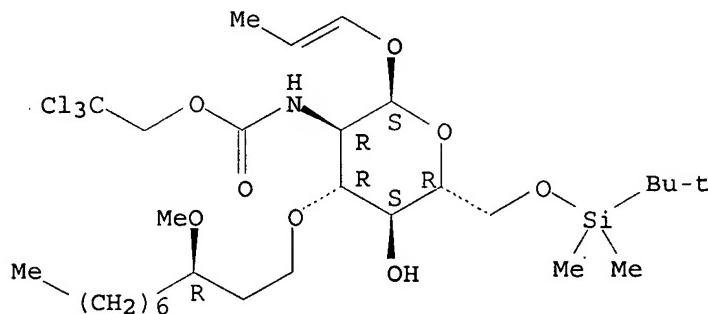
Absolute stereochemistry.  
Double bond geometry unknown.



RN 366805-45-0 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

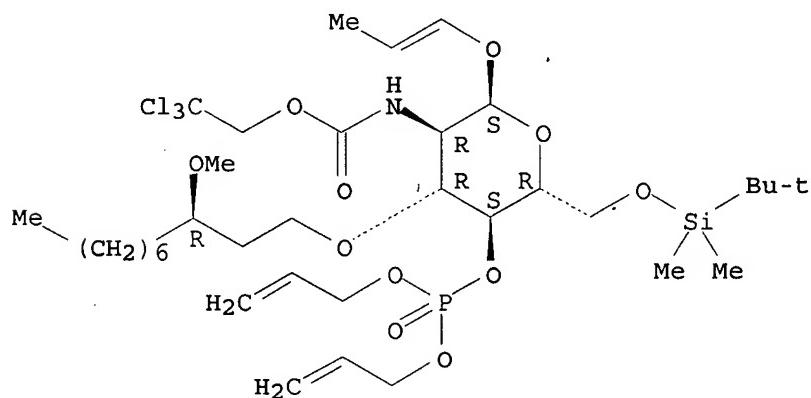
Absolute stereochemistry.  
Double bond geometry unknown.



RN 366805-46-1 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

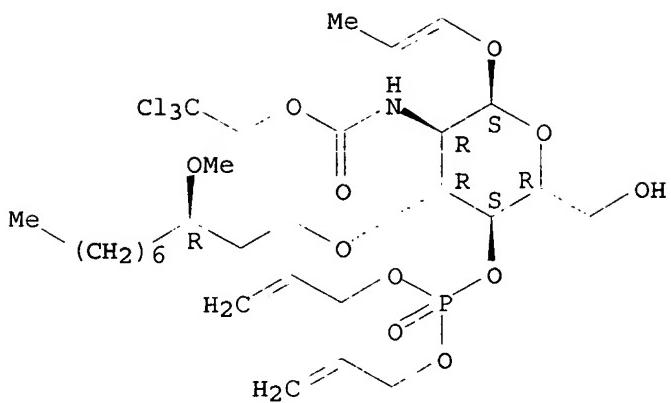
Absolute stereochemistry.  
Double bond geometry unknown.



RN 366805-47-2 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

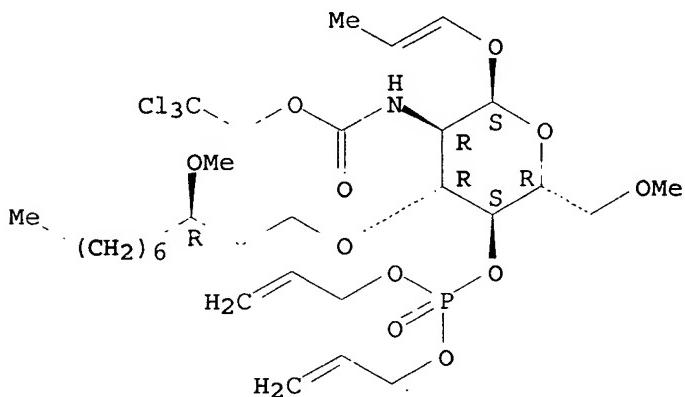


RN 366805-48-3 HCAPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

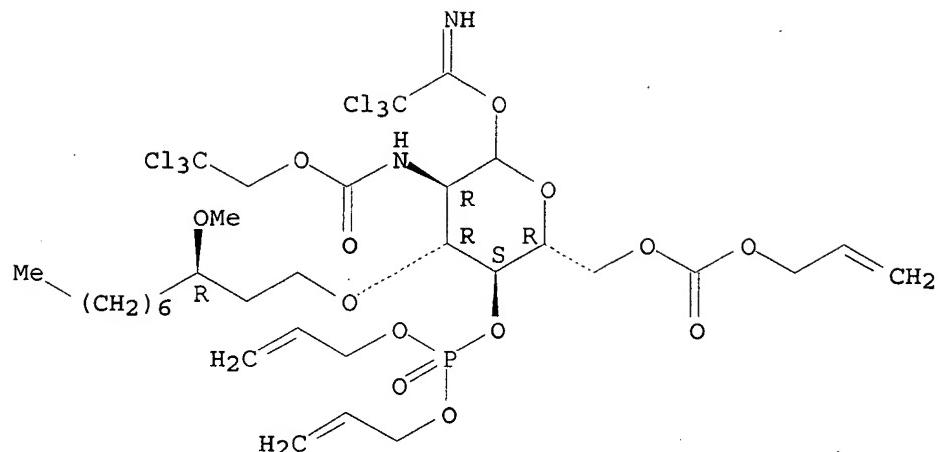
Double bond geometry unknown.



RN 366805-50-7 HCAPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

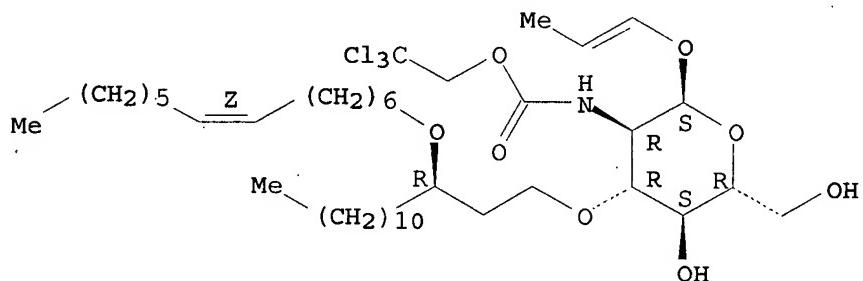


RN 366805-63-2 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.



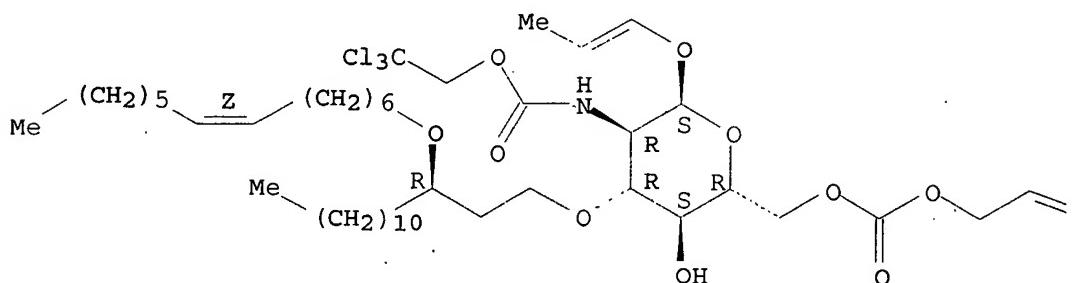
RN 366805-64-3 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

 $\rightleftharpoons \text{CH}_2$ 

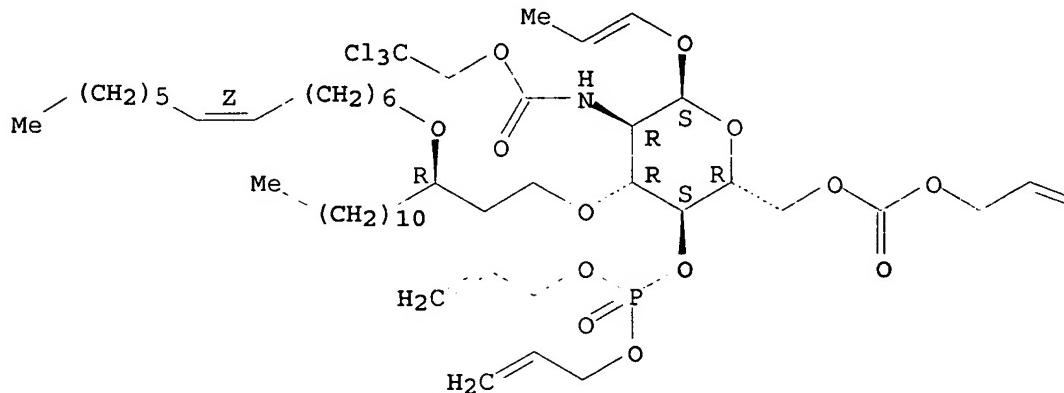
RN 366805-65-4 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

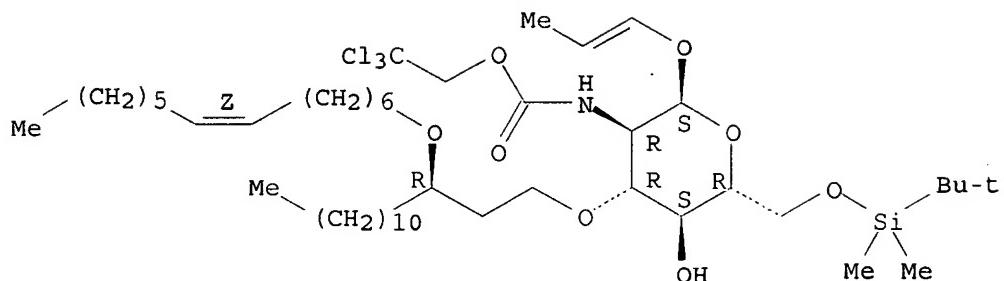
 $\rightleftharpoons \text{CH}_2$ 

RN 366805-68-7 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenyl]tetradecyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

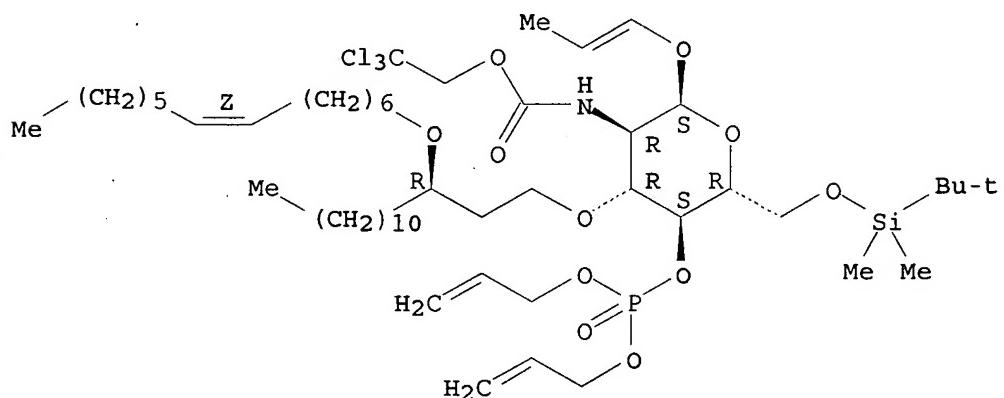


RN 366805-69-8 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

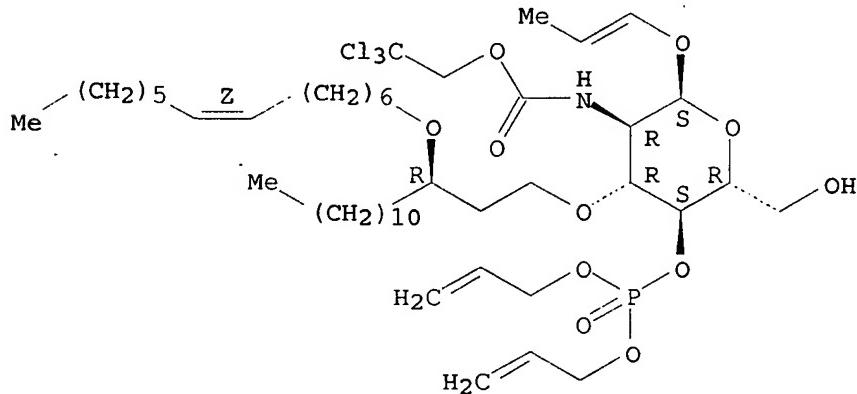


RN 366805-70-1 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenoxy]tetradecyl]-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.

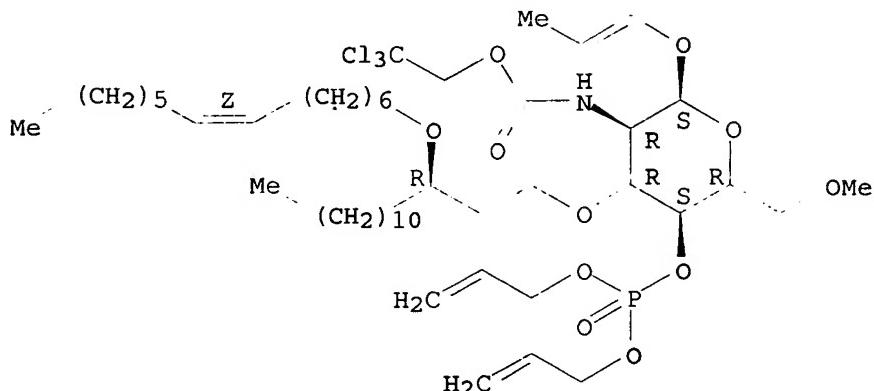


RN 366805-71-2 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, 1-propenyl 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(7Z)-

7-tetradecenyloxy]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as described by E or Z.

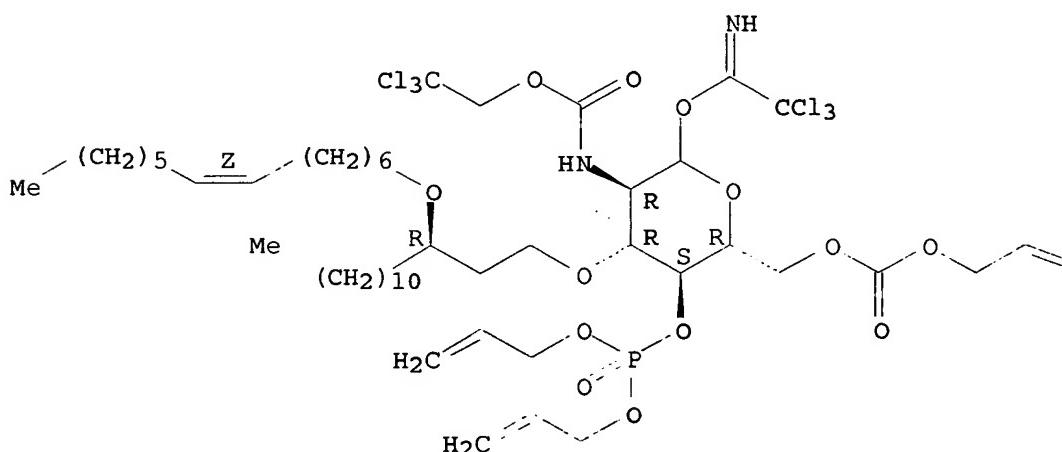


RN 366805-74-5 HCPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(7Z)-7-tetradecenyloxy]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 6-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A

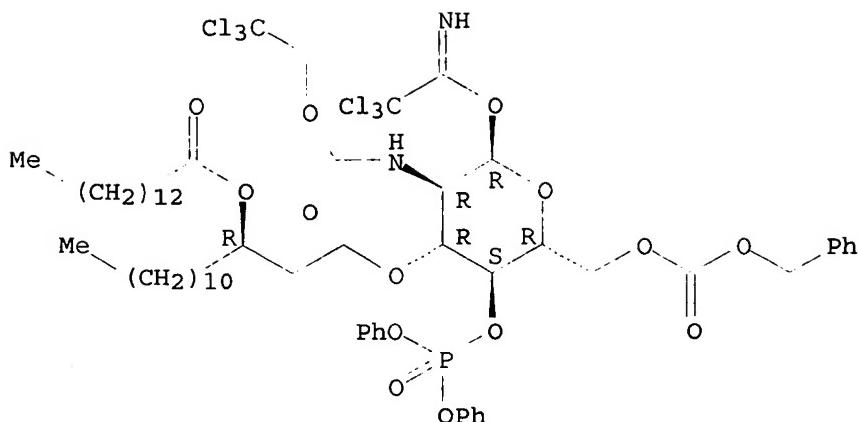


$\equiv \text{CH}_2$ 

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2001:491364 HCAPLUS  
 DOCUMENT NUMBER: 135:288992  
 TITLE: Synthesis of lipid A type pyran carboxylic acids with ether chains and their biological activities  
 AUTHOR(S): Watanabe, Y.; Mochizuki, T.; Shiozaki, M.; Kanai, S.; Kurakata, S.-i.; Nishijima, M.  
 CORPORATE SOURCE: Exploratory Chemistry Research Laboratories, Sankyo Co. Ltd., Shinagawa-ku, Tokyo, 140-8710, Japan  
 SOURCE: Carbohydrate Research (2001), 333(3), 203-231  
 CODEN: CRBRAT; ISSN: 0008-6215  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 135:288992  
 AB Glycolipid carboxylate lipid A type pyran having ether chains at both the C-3' and C-4 positions were prepared as TNF $\alpha$  inhibitors and their bio-activities toward human U937 cells. Nine compds. were synthesized and their biol. activities were evaluated.  
 IT 288403-08-7P 288403-19-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (Synthesis of lipid A type pyran carboxylic acids with ether chains as TNF $\alpha$  inhibitors)  
 RN 288403-08-7 HCAPLUS  
 CN  $\alpha$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

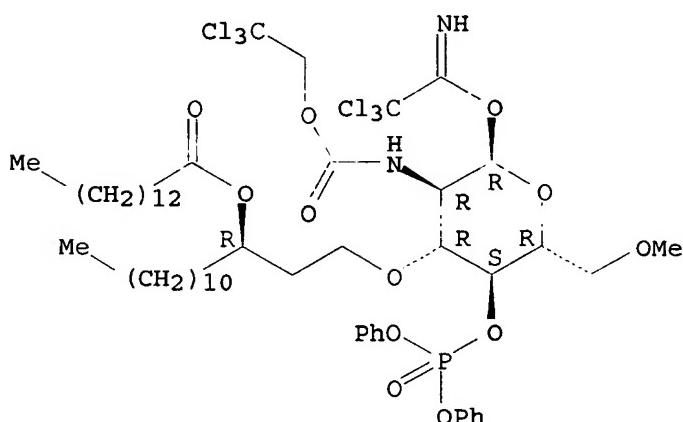
Absolute stereochemistry.



RN 288403-19-0 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 1-(2,2,2-trichloroethanimide) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

22

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:396678 HCPLUS

DOCUMENT NUMBER: 135:528

TITLE: Prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of antiendotoxin drugs

INVENTOR(S): Rossignol, Daniel P.; Vermeulen, Mary W.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 87 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037843	A1	20010531	WO 2000-US32177	20001122

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6417172 B1 20020709 US 1999-449601 19991123

CA 2392356 A1 20010531 CA 2000-2392356 20001122

EP 1248629 A1 20021016 EP 2000-980723 20001122

EP 1248629 B1 20050126

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003514862 T 20030422 JP 2001-539457 20001122

AT 287719 T 20050215 AT 2000-980723 20001122

HK 1051490 A1 20050422 HK 2003-102773 20030416

PRIORITY APPLN. INFO.: US 1999-449601 A2 19991123

US 1995-461675 A2 19950605

US 1996-658656 A1 19960605

US 1999-293856 A2 19990416

WO 2000-US32177 W 20001122

OTHER SOURCE(S): MARPAT 135:528

AB The invention provides methods of preventing and treating pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin and related conditions in a patient by administering to the patient antiendotoxin compds. by inhalation.

IT 185954-85-2P 185955-17-3P 185955-18-4P  
 185955-19-5P 185955-22-0P 185955-26-4P  
 185955-28-6P 185955-29-7P 234088-12-1P  
 234088-13-2P 234088-14-3P

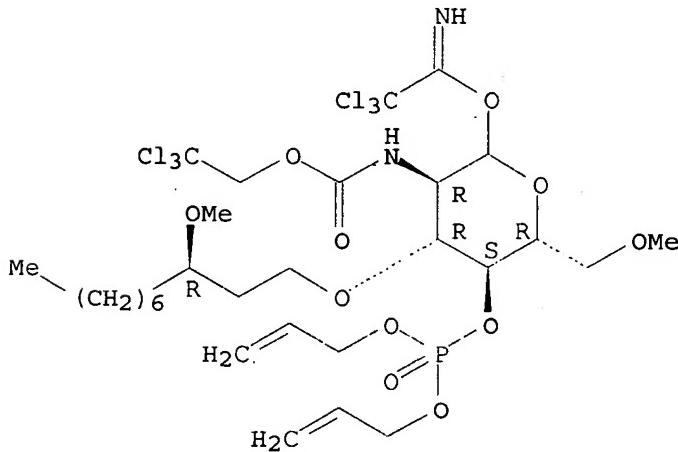
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prevention and treatment of pulmonary bacterial infection or symptomatic pulmonary exposure to endotoxin by inhalation of antiendotoxin drugs such as lipid A analogs in relation to inhibition of cytokine production)

RN 185954-85-2 HCPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI). (CA INDEX NAME)

Absolute stereochemistry.



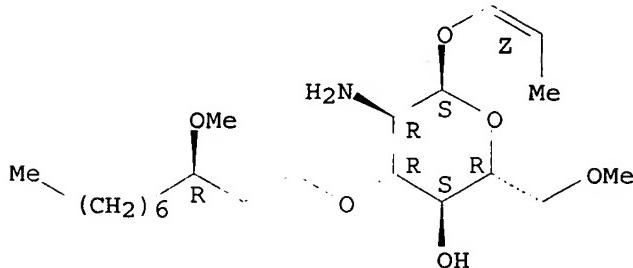
10/546, 132 > 11/02/2007

RN 185955-17-3 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

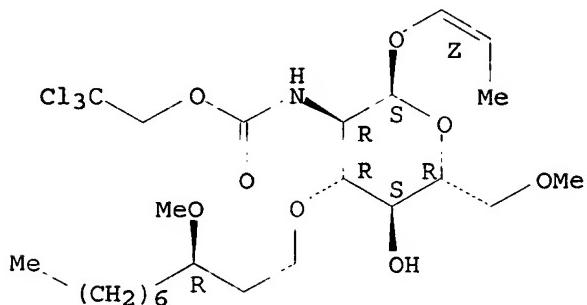


RN 185955-18-4 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

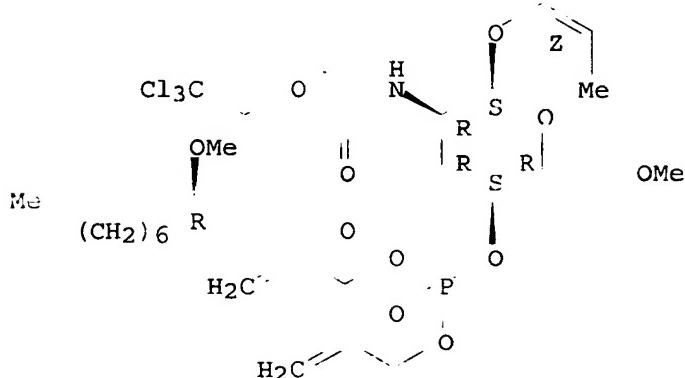


RN 185955-19-5 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

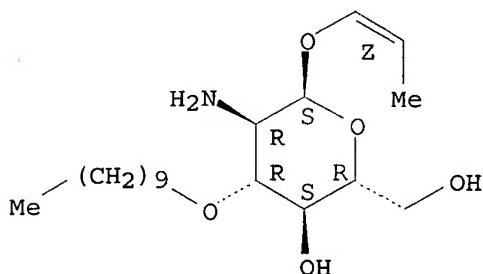


RN 185955-22-0 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

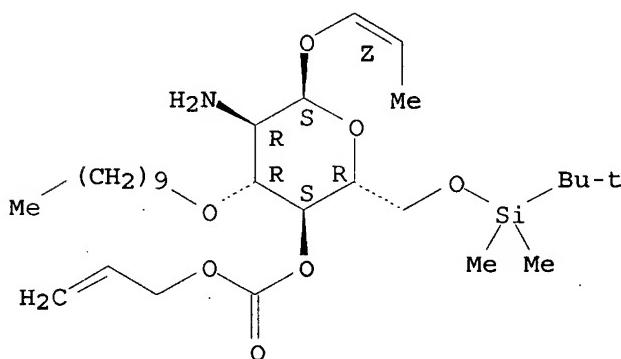


RN 185955-26-4 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

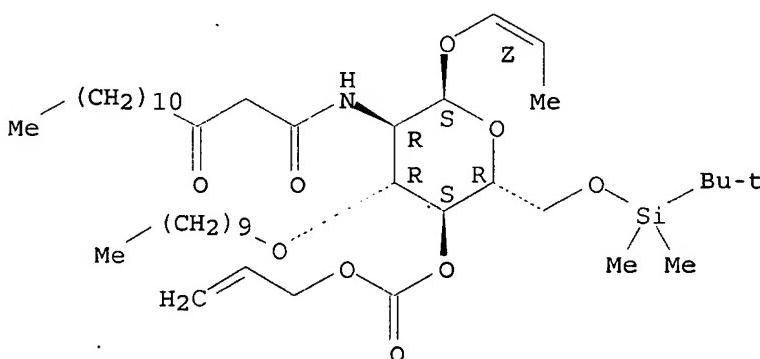


RN 185955-28-6 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



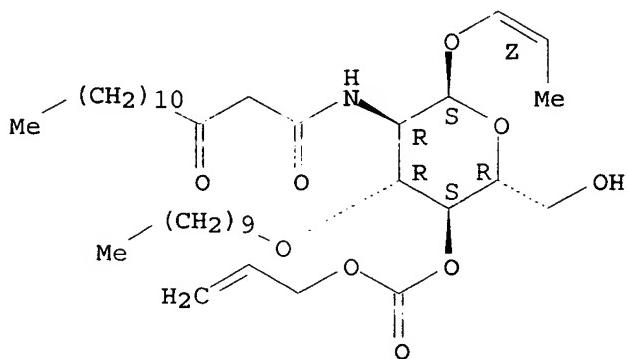
10/546, 132 > 11/02/2007

RN 185955-29-7 HCAPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



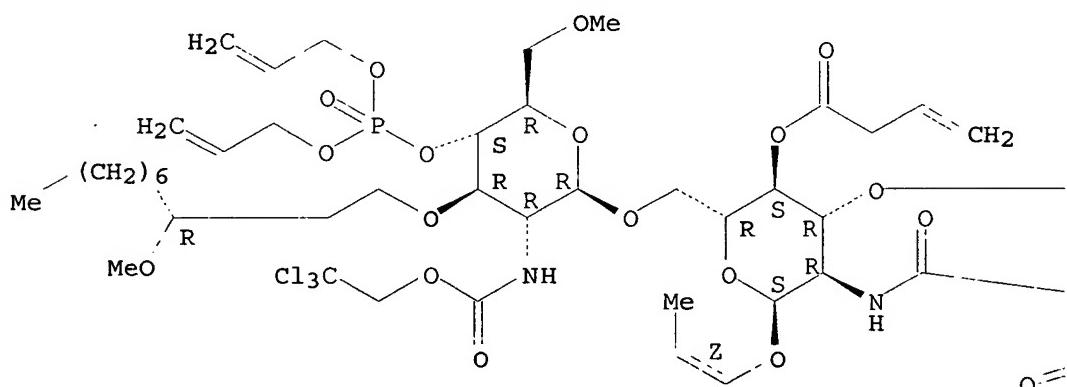
RN 234088-12-1 HCAPLUS

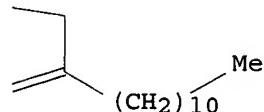
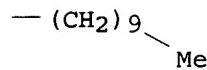
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyoxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[[2,2,2-trichloroethoxy]carbonyl]amino]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



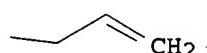
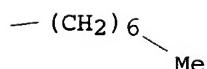
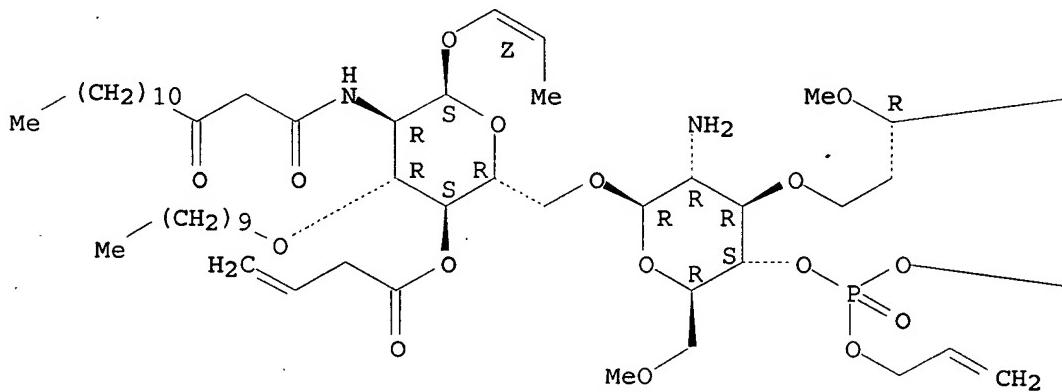


RN 234088-13-2 HCAPLUS

RN 234088-15-2 REALED  
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[2-amino-4-O-[bis(2-propenylphosphoryloxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as shown.

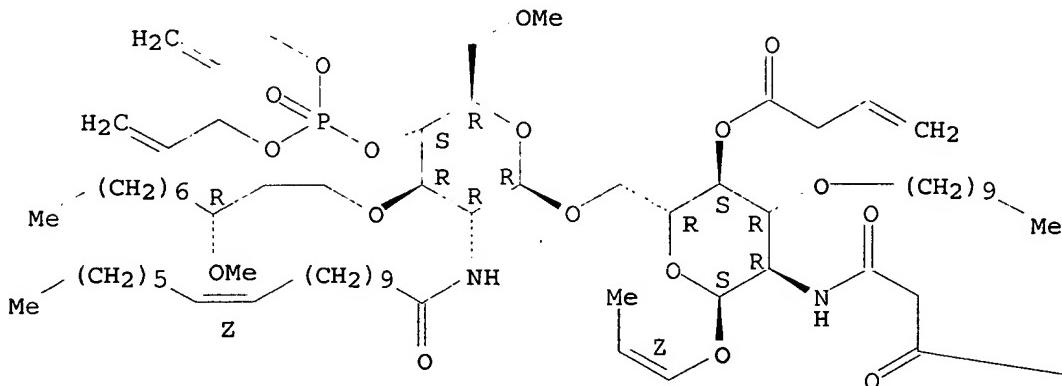


RN 234088-14-3 HCPLUS

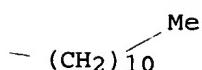
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenoxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(11Z)-1-oxo-11-octadecenyl]amino]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:573808 HCPLUS

DOCUMENT NUMBER: 133:193417

TITLE: Preparation of ether type lipid A-1-carboxylic acid analogues as macrophage inhibitors

INVENTOR(S): Kazama, Yukiko; Shiozaki, Masao; Kurakata, Shinichi; Kanai, Saori

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

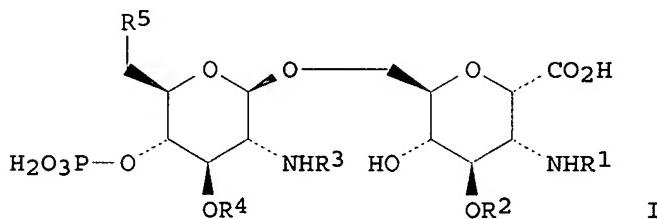
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047595	A1	20000817	WO 2000-JP726	20000210
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 2000024599	A	20000829	AU 2000-24599	20000210
AU 757507	B2	20030220		
JP 2000297096	A	20001024	JP 2000-33628	20000210
CA 2362246	A1	20010810	CA 2000-2362246	20000210
EP 1152007	A1	20011107	EP 2000-902888	20000210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 2000008146	A	20020115	BR 2000-8146	20000210
HU 200105115	A2	20020429	HU 2001-5115	20000210
TR 200102325	T2	20020821	TR 2001-2325	20000210
TW 527358	B	20030411	TW 2000-89102206	20000210
ZA 2001006547	A	20021108	ZA 2001-6547	20010808
NO 2001003884	A	20011009	NO 2001-3884	20010809
US 2002161221	A1	20021031	US 2001-925815	20010809
US 6511965	B2	20030128		
PRIORITY APPLN. INFO.:			JP 1999-32532	A 19990210
			WO 2000-JP726	W 20000210

OTHER SOURCE(S): MARPAT 133:193417

GI



AB Compds. represented by general formula [I; wherein R1 and R3 are each C1-20 alkanoyl, C3-20 alkenoyl, or C3-20 alkynoyl which may be substituted with one or more members selected from the group consisting of halogeno, hydroxyl, oxo, C1-20 (oxo)alkoxy, C2-20 (oxo)alkenyloxy, C2-20 (oxo)alkynyoxy, C1-20 (oxo)alkanoyloxy, C3-20 (oxo)alkenoyloxy, or C3-20 (oxo)alkynoyloxy; R2 and R4 are each C1-20 alkyl, C2-20 alkenyl, or C2-20 alkynyl which may be substituted with one or more members selected from the above group; and R5 is hydrogen, halogeno, hydroxyl, C1-6 (oxo)alkoxy, C2-6 (oxo)alkenyloxy, or C2-6 (oxo)alkynyoxy], which have excellent macrophage inhibiting effects and are thus useful in the prevention or treatment of inflammation, autoimmune diseases or septicemia (no data), are prepared. Thus, 6-O-benzylcarbonyl-2-deoxy-4-O-diphenylphosphono-3-O-[(R)-3-tetradecanoyloxytetradecyl]-2-[(2,2,2-trichloroethoxycarbonyl)amino]- $\alpha$ -D-glucopyranosyl 2,2,2-trichloroacetimidate was glycosidated with diphenylmethyl 2,6-anhydro-3-[(R)-3-benzylcarbonyltetradecanamido]-4-O-[(R)-3-benzylcarbonyltetradecyl]-3-deoxy-D-glycer-D-idoheptonate in the presence of trimethylsilyl trifluoromethanesulfonate and mol. sieve 4A in CH<sub>2</sub>Cl<sub>2</sub> at -78° for 2 h, followed by deprotection of 2,2,2-trichloroethoxycarbonyl with zinc treatment, N-acetylation with Ac<sub>2</sub>O in pyridine, hydrogenolysis over 20% Pd(OH)<sub>2</sub>/C, and hydrogenolysis over PtO<sub>2</sub> gave I [R1 = (R)-3-hydroxytetradecanoyl, R2 = (R)-hydroxytetradecyl,

R3 = Ac, R4 = (R)-3-tetradecanoyloxytetradecyl, R5 = OH] .

IT 288403-08-7P 288403-19-0P 288403-45-2P

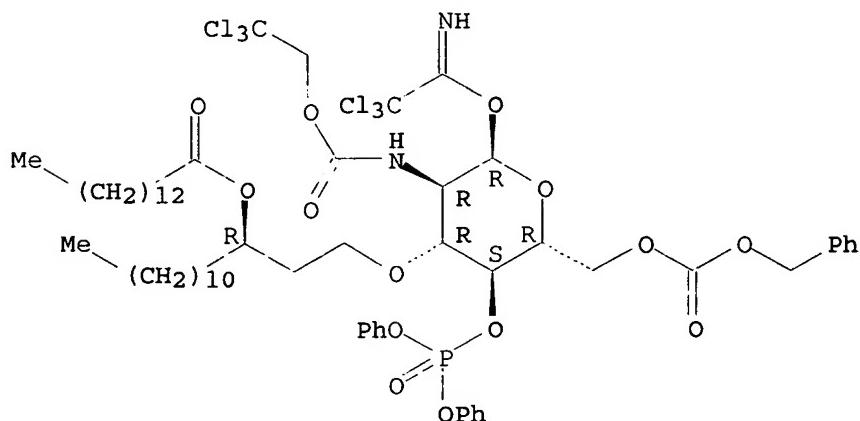
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of ether type lipid A-carboxylic acid analogs as macrophage inhibitors for prevention or treatment of inflammation, autoimmune diseases or septicemia)

RN 288403-08-7 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

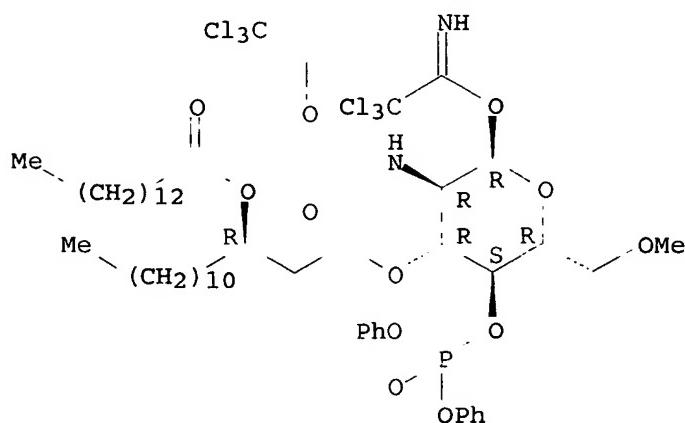
Absolute stereochemistry.



RN 288403-19-0 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-6-O-methyl-3-O-[(3R)-3-[(1-oxotetradecyl)oxy]tetradecyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

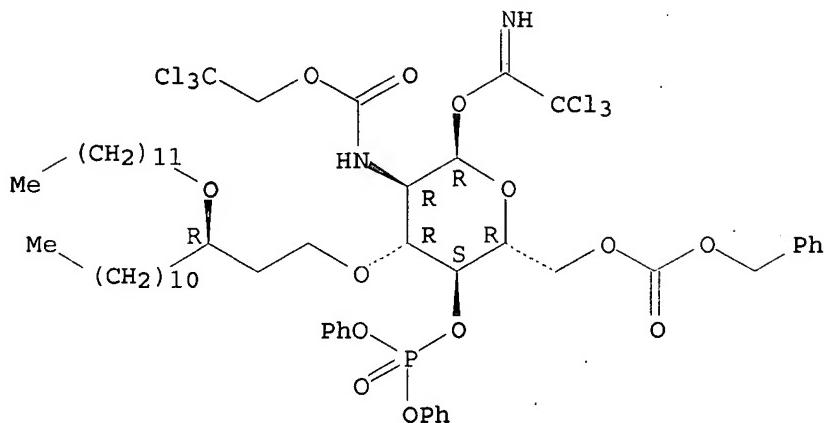
Absolute stereochemistry.



RN 288403-45-2 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-(dodecyloxy)tetradecyl]-6-O-[(phenylmethoxy)carbonyl]-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(diphenyl phosphate) 6-(phenylmethyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT.

L11 ANSWER 20 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1999:505657 HCAPLUS  
 DOCUMENT NUMBER: 131:130224  
 TITLE: Substituted liposaccharides useful in the treatment and prevention of endotoxemia  
 INVENTOR(S): Christ, William J.; Rossignol, Daniel P.; Kobayashi, Seiichi; Kawata, Tsutomu  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: U.S., 40 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5935938	A	19990810	US 1996-658656	19960605
US 5681824	A	19971028	US 1995-461677	19950605
US 5750664	A	19980512	US 1995-461675	19950605
CA 2223140	A1	19961212	CA 1996-2223140	19960605
ZA 9604666	A	19970311	ZA 1996-4666	19960605
CN 1192216	A	19980902	CN 1996-195890	19960605
CN 1067082	B	20010613		
PT 853627	T	20040531	PT 1996-923234	19960605
ES 2214543	T3	20040916	ES 1996-923234	19960605
US 6184366	B1	20010206	US 1999-293856	19990416
US 6417172	B1	20020709	US 1999-449601	19991123
US 2002028927	A1	20020307	US 2001-774541	20010130
US 2003144503	A1	20030731	US 2002-144670	20020513
US 2003134805	A1	20030717	US 2002-167222	20020611
US 6683063	B2	20040127		
PRIORITY APPLN. INFO.:				
		US 1995-461675	A2	19950605
		US 1996-658656	A1	19960605
		US 1999-293856	A2	19990416
		US 1999-449601	A1	19991123
		US 2001-774541	B1	20010130

OTHER SOURCE(S): MARPAT 131:130224  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Novel substituted liposaccharides I ( R1 = acyl; R2 = C5 to C15 alkyl; R3 = C5 to C18 acyl-alkenyl or acyl-alkynyl; R4 = C4 to C20 alkoxy-substituted alkyl; RA = CH2O-X where X is H or alkyl group; A1,A2 = OH, PO4H2, O-alkyl-OPO3H2, etc.) useful as in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia and various forms of septic shock are prepared. Also provided are processes for preparing the compds., e.g. II, and intermediates useful therein. The aminodeoxy disaccharide analogs inhibit tumor necrosis factor production in vivo, exhibiting IC50s between 1.5 nM and 159 nM.

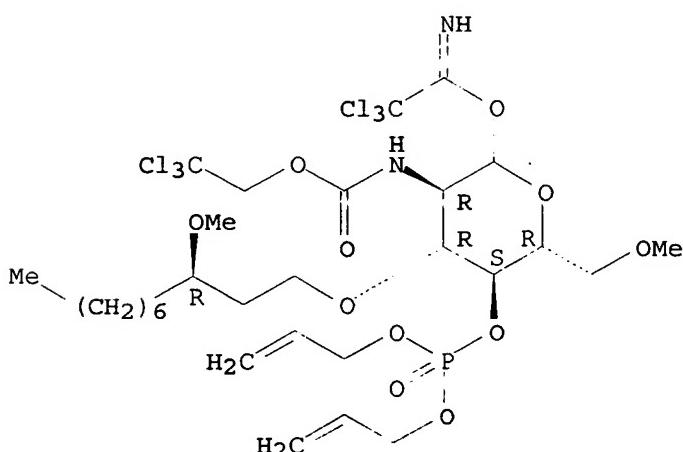
IT 185954-85-2P 185955-17-3P 185955-18-4P  
 185955-19-5P 185955-22-0P 234088-09-6P  
 234088-10-9P 234088-11-0P 234088-12-1P  
 234088-13-2P 234088-14-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of substituted lipodisaccharides useful in the treatment and prevention of endotoxemia)

RN 185954-85-2 HCPLUS

CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

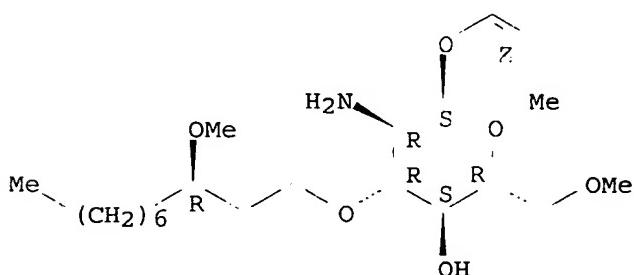


RN 185955-17-3 HCPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

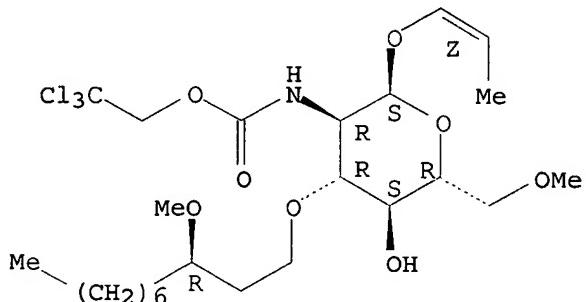


RN 185955-18-4 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

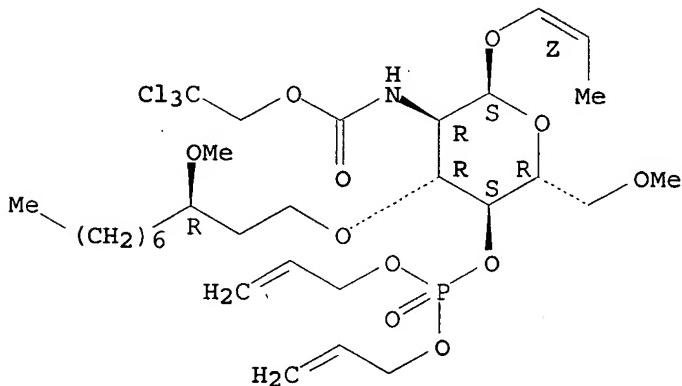


RN 185955-19-5 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

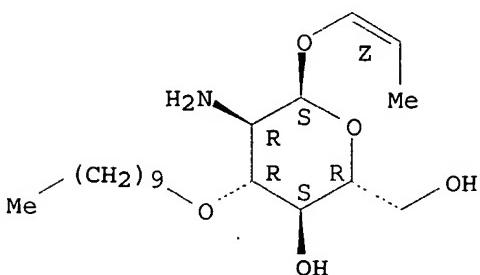


RN 185955-22-0 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

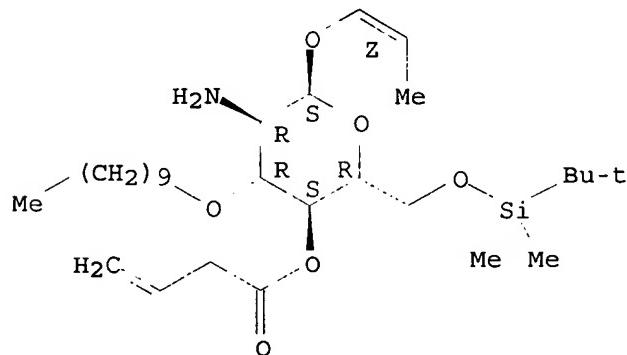


RN 234088-09-6 HCAPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-6-O-[  
[(1,1-dimethylethyl)dimethylsilyl]-, 4-(3-butenoate) (9CI) (CA INDEX  
NAME)

## Absolute stereochemistry.

Double bond geometry as shown.

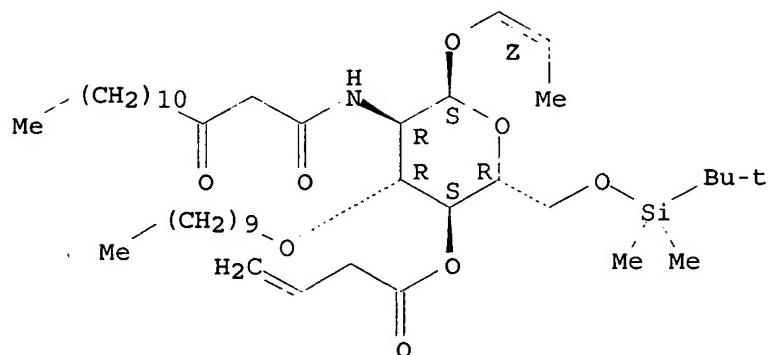


RN 234088-10-9 HCAPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as shown.

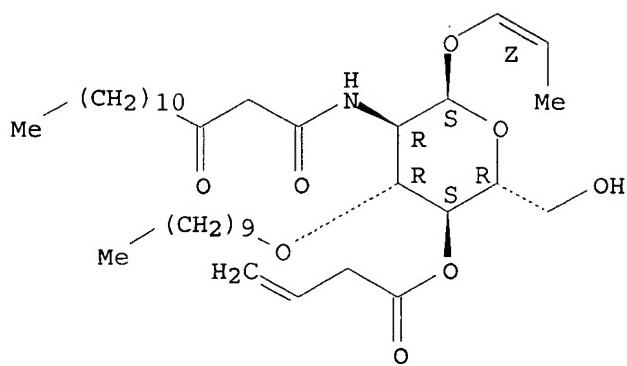


RN 234088-11-0 HCAPLUS

CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

Double bond geometry as shown.



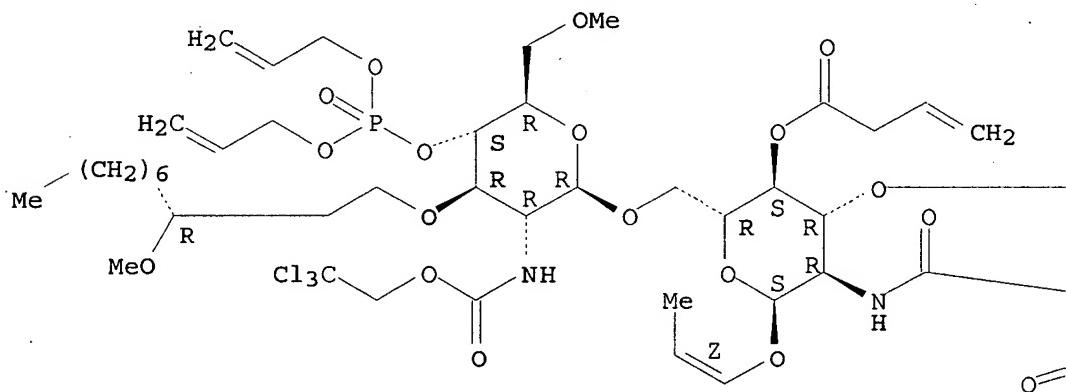
RN 234088-12-1 HCAPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyl)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

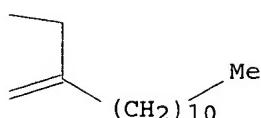
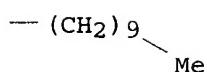
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



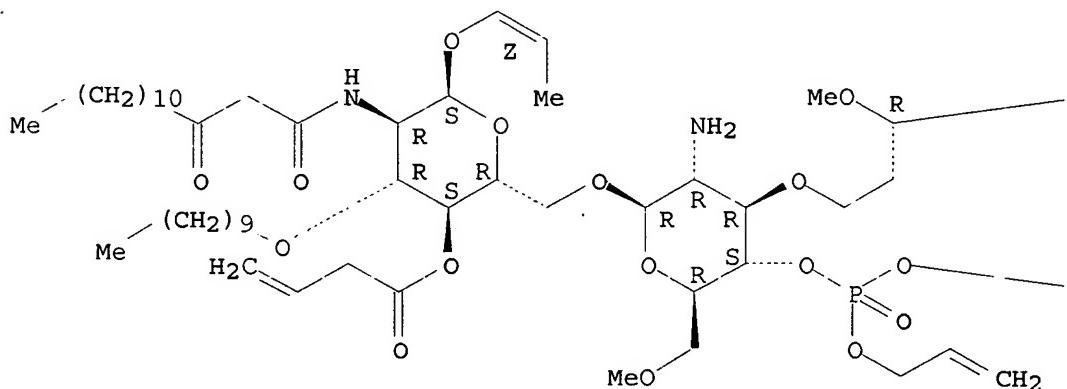
RN 234088-13-2 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[2-amino-4-O-[bis(2-propenoxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

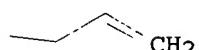
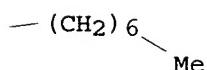
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

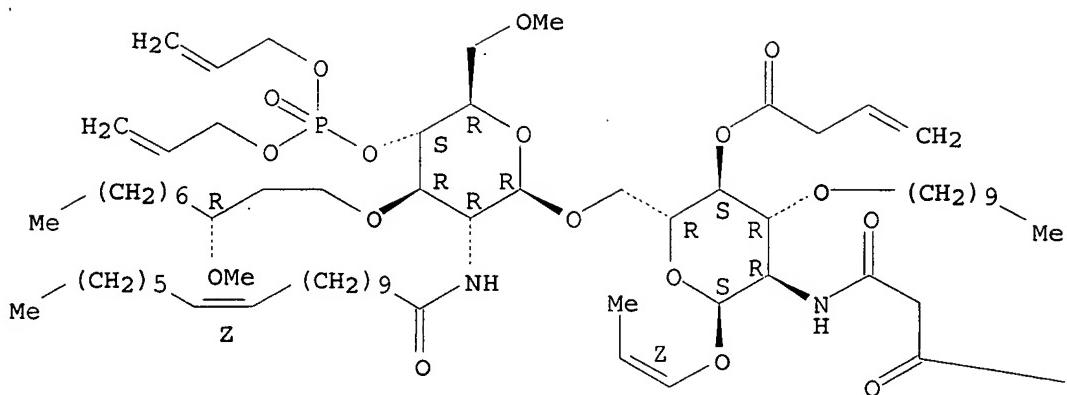


RN 234088-14-3 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenoxy)phosphinyl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(11Z)-1-oxo-11-octadecenyl]amino]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(3-butenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



Me  
—(CH<sub>2</sub>)<sub>10</sub>

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:344486 HCPLUS

DOCUMENT NUMBER: 126:317571

TITLE: Preparation of disaccharide lipid A analogs for treating alcoholic liver disease

INVENTOR(S): Rossignol, Daniel P.; Thurman, Ronald G.; Christ, William J.; Lewis, Michael D.

PATENT ASSIGNEE(S): Eisai Research Institute, USA

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

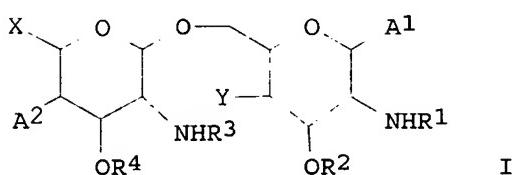
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711708	A1	19970403	WO 1996-US15861	19960927
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY,				

KG, KZ, MD, RU, TJ, TM  
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,  
 IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI  
 AU 9672543 A 19970417 AU 1996-72543 19960927  
 US 5952309 A 19990914 US 1996-720131 19960927  
 PRIORITY APPLN. INFO.: US 1995-4577P P 19950929  
 OTHER SOURCE(S): US 1995-4795P P 19951002  
 GI



AB Title lipid A analogs I (R1-R4 = unsatd. lipid acyl; A1, A2 = OPO<sub>3</sub>H<sub>2</sub>, OZPO<sub>3</sub>H<sub>2</sub>, ZPO<sub>3</sub>H<sub>2</sub>, OZCO<sub>2</sub>H; Z = alkyl; X = alkyl alkoxy; Y = H, OH, halo, alkoxy, acyloxy) were prepared as endotoxin antagonists for treating alc. liver disease. These antagonists compds. are found to inhibit the swift increase in alc. metabolism which typically accompanies ingestion of alc. and which may lead to the pathophysiol. abnormalities associated with alc. liver disease. Thus, disaccharide I [R1 = R3 = COCH<sub>2</sub>CO(CH<sub>2</sub>)<sub>10</sub>Me; R2 = CH<sub>2</sub>CH<sub>2</sub>CH(OH)(CH<sub>2</sub>)<sub>6</sub>Me, A1 = A2 = OPO(ONa)<sub>2</sub>; X = MeOCH<sub>2</sub>; Y = OH] was prepared and tested for the inhibition of tumor necrosis factor (TNF) in vivo in mice (ED<sub>50</sub> = 5 µg per mouse).

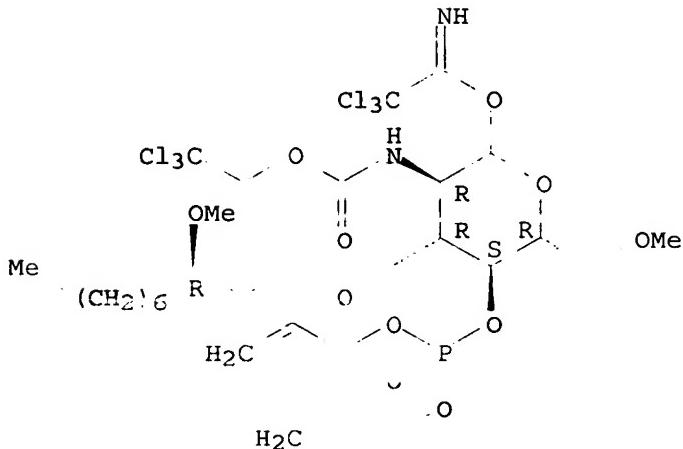
IT 185954-85-2 P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminodeoxy disaccharide lipid A analogs for treating alc. liver disease)

RN 185954-85-2 HCPLUS

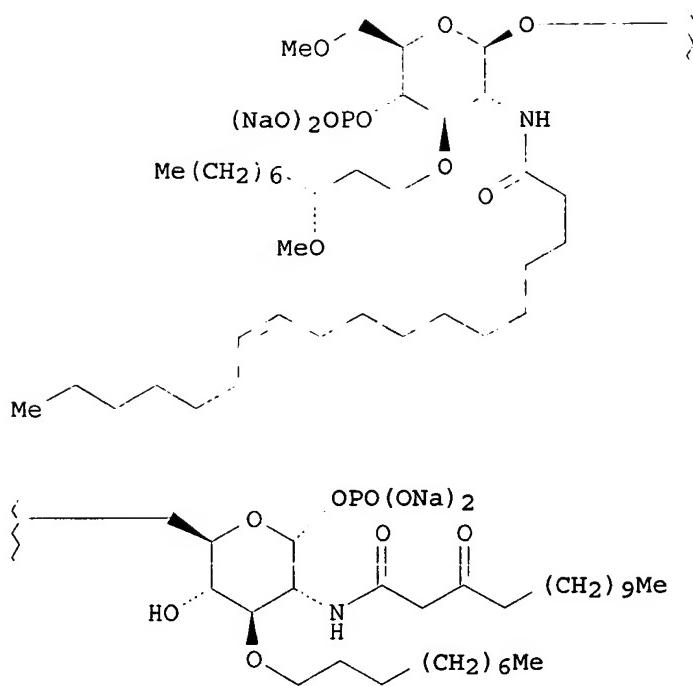
CN D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



DOCUMENT NUMBER: 126:104365  
 TITLE: Preparation of substituted liposaccharide analogs useful in the treatment and prevention of endotoxemia  
 INVENTOR(S): Christ, William J.; Rossignol, Daniel P.; Kobayashi, Seiichi; Kawata, Tsutomu  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 94 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639411	A1	19961212	WO 1996-US9578	19960605
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 5681824	A	19971028	US 1995-461677	19950605
US 5750664	A	19980512	US 1995-461675	19950605
CA 2223140	A1	19961212	CA 1996-2223140	19960605
AU 9663802	A	19961224	AU 1996-63802	19960605
AU 707779	B2	19990722		
ZA 9604666	A	19970311	ZA 1996-4666	19960605
EP 853627	A1	19980722	EP 1996-923234	19960605
EP 853627	B1	20040121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1192216	A	19980902	CN 1996-195890	19960605
CN 1067082	B	20010613		
JP 11506793	T	19990615	JP 1996-501868	19960605
RU 2170738	C2	20010720	RU 1998-100107	19960605
AT 258185	T	20040215	AT 1996-923234	19960605
PT 853627	T	20040531	PT 1996-923234	19960605
ES 2214543	T3	20040916	ES 1996-923234	19960605
NO 9705644	A	19980204	NO 1997-5644	19971204
NO 310239	B1	20010611		
PRIORITY APPLN. INFO.:			US 1995-461675	A1 19950605
			WO 1996-US9578	W 19960605
OTHER SOURCE(S): GI	MARPAT	126:104365		



**AB** Novel substituted liposaccharides in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia, and various forms of septic shock and methods of using these agents are provided. Also provided are method of preparing these agents and intermediates useful therein. Thus, total preparation of amidodeoxy oligosaccharide I is reported. I inhibited tumor-necrosis factor production in vivo in mice (ED<sub>50</sub> = 5 and 10.6 µg/ mouse).

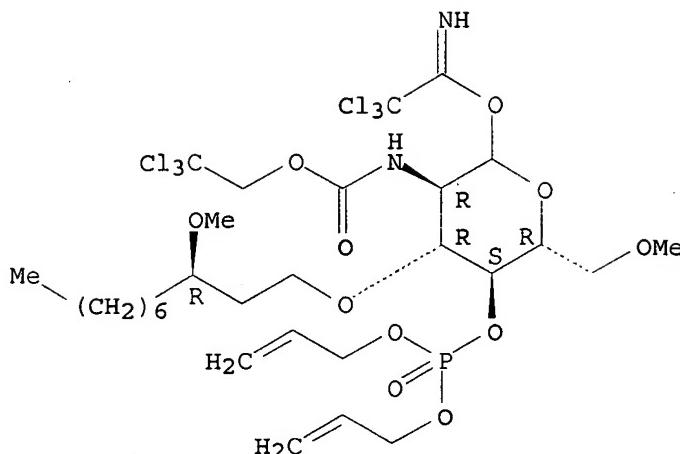
**IT** 185954-85-2P 185955-17-3P 185955-18-4P  
185955-19-5P 185955-22-0P 185955-26-4P  
185955-28-6P 185955-29-7P 185955-30-0P  
185955-31-1P 185955-32-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of substituted liposaccharide analogs useful in the treatment and prevention of endotoxemia)

**RN** 185954-85-2 HCPLUS

**CN** D-Glucopyranose, 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[[2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

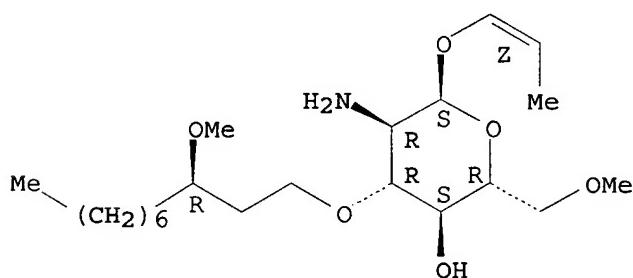


RN 185955-17-3 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

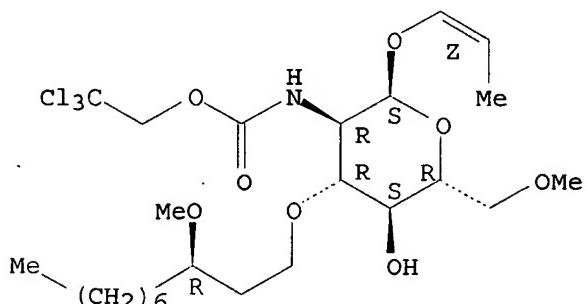


RN 185955-18-4 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

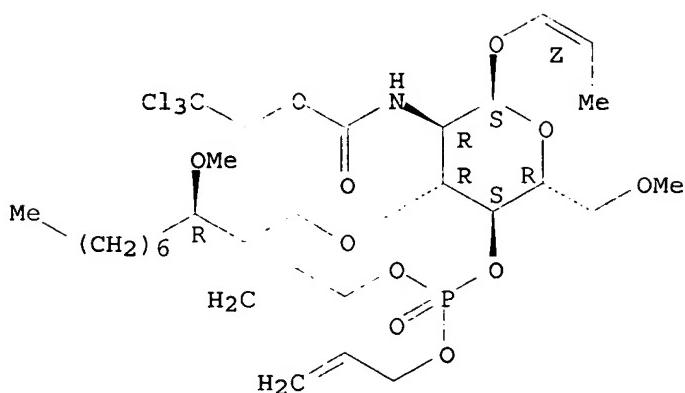
Double bond geometry as shown.



RN 185955-19-5 HCPLUS

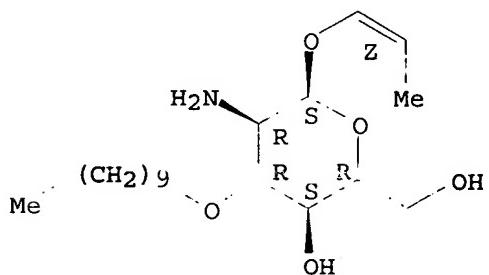
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-, 4-(di-2-propenyl phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



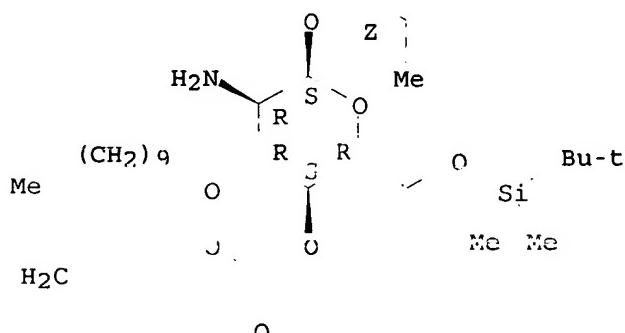
RN 185955-22-0 HCPLUS  
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 185955-26-4 HCPLUS  
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-O-decyl-2-deoxy-6-O-[  
(1,1-dimethylethyl)dimethylsilyl]-, 4-(2-propenyl carbonate) (9CI) (CA  
INDEX NAME)

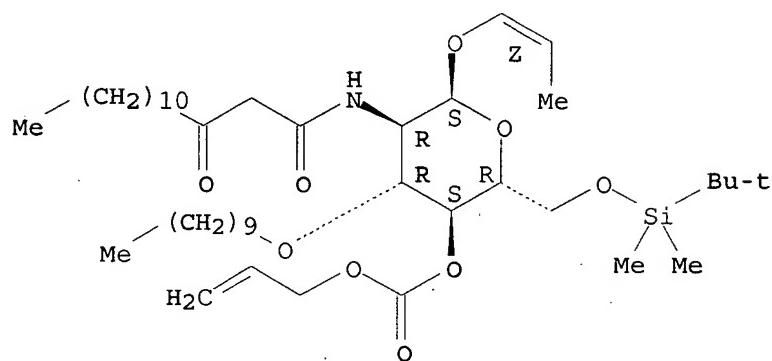
Absolute stereochemistry.  
Double bond geometry as shown.



RN 185955-28-6 HCPLUS  
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-6-O-[(1,1-

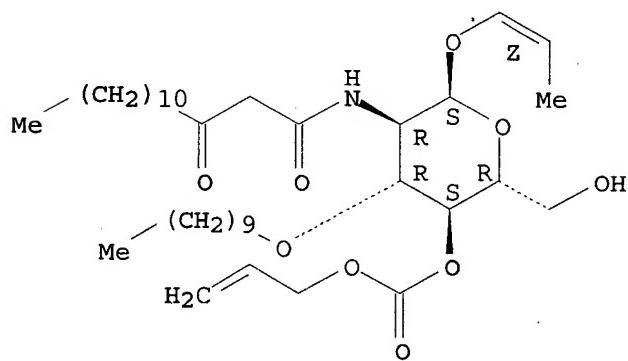
dimethylethyl)dimethylsilyl]-2-[(1,3-dioxotetradecyl)amino]-,  
4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



RN 185955-29-7 HCPLUS  
CN α-D-Glucopyranoside, (1Z)-1-propenyl 3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

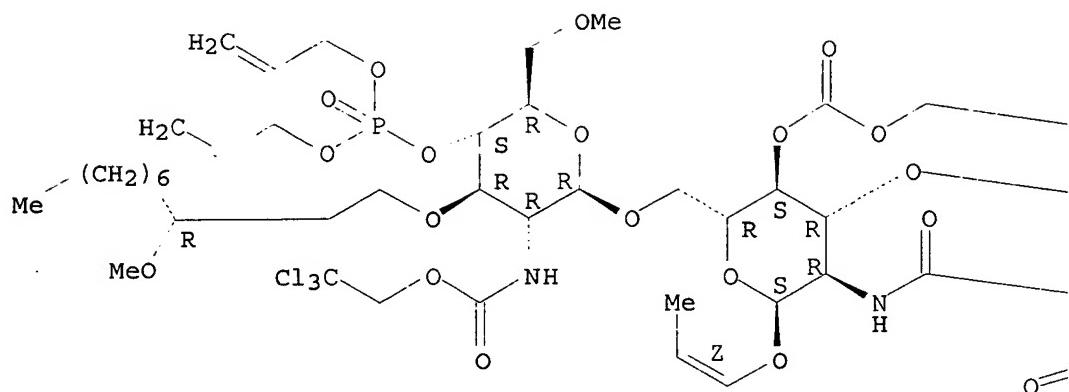
Absolute stereochemistry.  
Double bond geometry as shown.



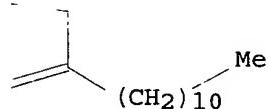
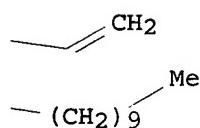
RN 185955-30-0 HCPLUS  
CN α-D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyl)phosphoryl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(2,2,2-trichloroethoxy)carbonyl]amino]-β-D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



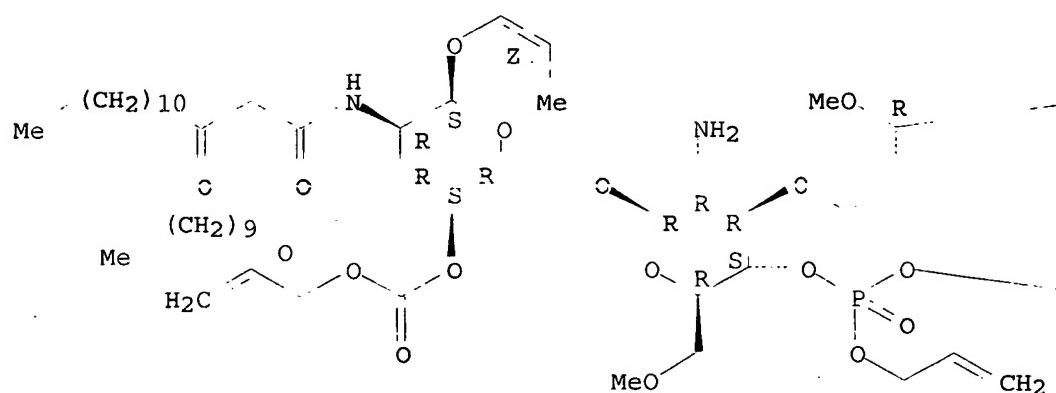
RN 185955-31-1 HCPLUS

CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[2-amino-4-O-[bis(2-propenyl)phosphoryl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

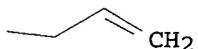
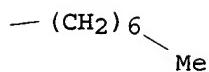
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



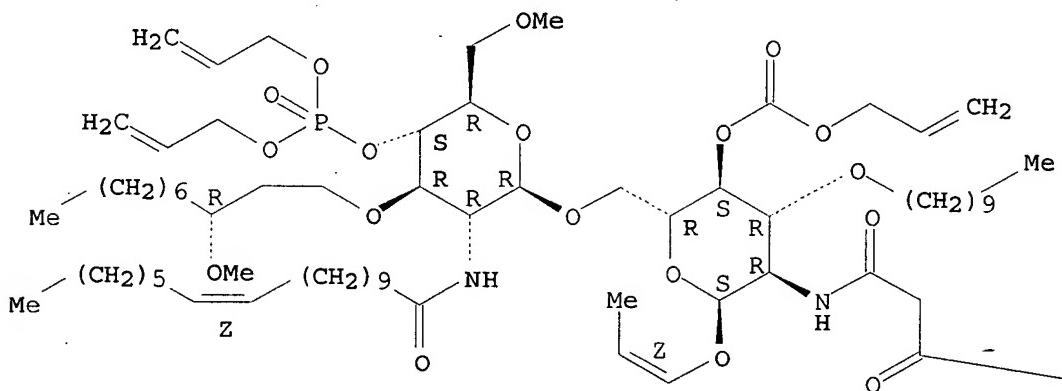
RN 185955-32-2 HCPLUS

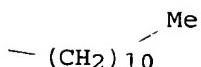
CN  $\alpha$ -D-Glucopyranoside, (1Z)-1-propenyl 6-O-[4-O-[bis(2-propenyl)phosphoryl]-2-deoxy-3-O-[(3R)-3-methoxydecyl]-6-O-methyl-2-[(11Z)-1-oxo-11-octadecenyl]amino]- $\beta$ -D-glucopyranosyl]-3-O-decyl-2-deoxy-2-[(1,3-dioxotetradecyl)amino]-, 4-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

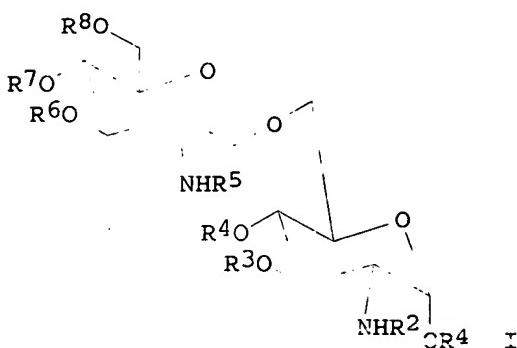
PAGE 1-A





L11 ANSWER 23 OF 24 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1991:632768 HCAPLUS  
 DOCUMENT NUMBER: 115:232768  
 TITLE: Preparation of macrophage-activating lipid A 3-ether analogs as antitumor agents  
 INVENTOR(S): Shiosaki, Masao; Ishida, Noboru; Kobayashi, Tomoo;  
 Hiraoka, Tetsuo; Akamatsu, Minoru; Nishijima, Masahiro  
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03135990	A	19910610	JP 1989-273524	19891020
JP 2839916	B2	19981224		
PRIORITY APPLN. INFO.:			JP 1989-273524	19891020
OTHER SOURCE(S):	MARPAT	115:232768		
GI				



AB 6-O- ( $\beta$ -D-Glucosaminyl) - $\alpha$ -glucosamine derivs. [I; one of R1, R7 = H, P(O)(OR<sub>9</sub>)<sub>2</sub>, or HO-protecting group and the other = P(O)(OR<sub>9</sub>)<sub>2</sub>; R<sub>9</sub> = H, HO-protecting group; R<sub>2</sub>, R<sub>5</sub>, R<sub>6</sub> = aliphatic acyl optionally containing halo, (un)protected HO, acyloxy; R<sub>3</sub> = alkyl optionally containing halo, (un)protected HO, or acyloxy; R<sub>4</sub>, R<sub>8</sub> = H, (un)protected HO] are prepared as

antitumor agents (no data). Thus, glycosidation of allyl 2-deoxy-2-[(3'R)-3'-benzyloxymyristoylamino]-3-O-[(3''R)-3''-benzyloxytetradecanyl]-4-O-benzyl- $\alpha$ -D-glucopyranoside (preparation given) with 2-trifluoroacetamido-2-deoxy-3,4,6-triacetyl-D-glucopyranosyl bromide (preparation given) in the presence of Hg(CN)2 and CasO4 in CHCl3 under reflux followed by O-deacetylation with NH3 in THF/MeOH, 4,6-O-isopropylidenation with Me3C(OMe)2 in DMF containing pyridinium p-toluenesulfonate, and N-trifluorodeacetylation with 1N aqueous NaOH/EtOH gave I [R1 = allyl, R2 = (3R)-3-benzyloxymyristoyl, R3 = (3R)-3-benzyloxytetradecanoyl, R4 = PhCH2, R5 = R6 = H; R7R8 = CMe2]. N-Acylation of the latter with (3R)-3-dodecanoyloxymyristic acid in the presence of DCC and 4-dimethylaminopyridine followed by O-acylation with (3R)-3-myristoyloxymyristic acid in the presence of DCC, deisopropylidenation, etherification with PhCH2OCH2Cl in refluxing CH2Cl2 containing Me2NCONMe2, and phosphorylation with (PhO)2P(O)Cl in the presence of 4-dimethylaminopyridine in CH2Cl2 gave I [R1-R4 = same as above; R5 = (3R)-3-dodecanoyloxymyristoyl, R6 = (3R)-3-myristoyloxymyristoyl, R7 = P(O)(OPh)2, R8 = CH2OCH2Ph]. Dealylation of the latter with cyclooctadiene-bis(methyldiphenylphosphine)tridium hexafluorophosphate/I-aqueous pyridine followed by phosphorylation with (PhCH2O)2P(O)Cl in the presence of BuLi in THF and hydrogenolysis over 10% Pd/C and then PtO2 in MeOH/THF gave I [R1 = R7 = P(O)(OH)2, R2 = (3R)-3-hydroxymyristoyl, R3 = (3R)-3-hydroxytetradecanoyl, R4 = R8 = H, R5 = (3R)-3-dodecanoyloxymyristoyl, R6 = (3R)-3-myristoyloxymyristoyl].

IT 137014-94-9P 137182-70-8P

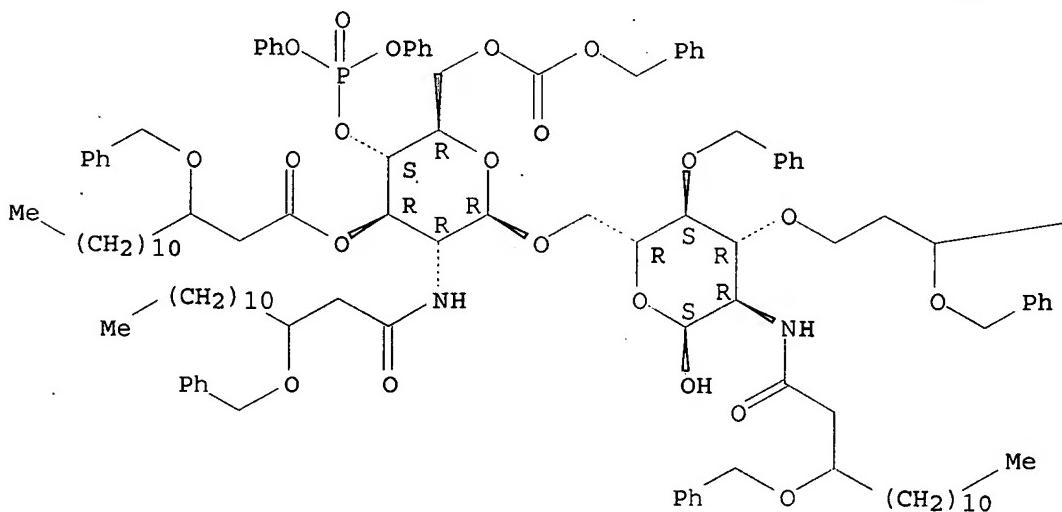
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for antitumor lipid A analog)

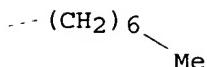
RN 137014-94-9 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-6-O-[2-deoxy-4-O-(diphenoxypyrophosphinyl)-3-O-[1-oxo-3-(phenylmethoxy)tetradecyl]-2-[[1-oxo-3-(phenylmethoxy)tetradecyl]amino]-6-O-[(phenylmethoxy)carbonyl]- $\beta$ -D-glucopyranosyl]-2-[[1-oxo-3-(phenylmethoxy)tetradecyl]amino]-3-O-[3-(phenylmethoxy)decyl]-4-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

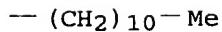
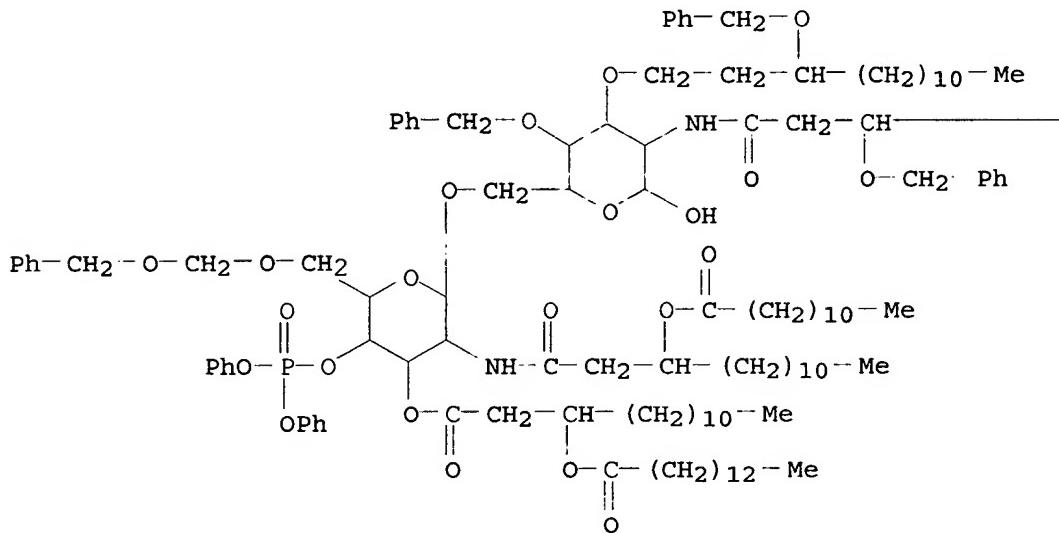
PAGE 1-A





RN 137182-70-8 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-deoxy-6-O-[2-deoxy-4-O-(diphenoxypyrophosphoryl)-2-[[1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]-3-O-[1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-6-O-[(phenylmethoxy)methyl]- $\beta$ -D-glucopyranosyl]-2-[[1-oxo-3-(phenylmethoxy)tetradecyl]amino]-3-O-[3-(phenylmethoxy)tetradecyl]-4-O-(phenylmethyl)-, [2(R),3(R),6[2(R),3(R)]]- (9CI) (CA INDEX NAME)



L11 ANSWER 24 OF 24 HCPLUS COPYRIGHT 2007 ACS on STN

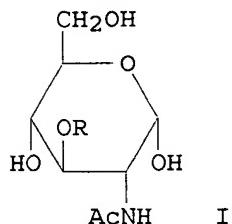
ACCESSION NUMBER: 1988:187126 HCPLUS

DOCUMENT NUMBER: 108:187126

TITLE: Surfactants. X. 2-Acetamido-3-O-alkyl-2-deoxy-D-glucopyranose

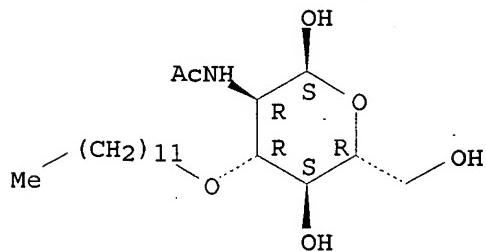
AUTHOR(S): Vega Perez, J. M.; Ruiz Rodriguez, F. J.

CORPORATE SOURCE: Fac. Farm., Univ. Sevilla, Seville, 41071, Spain  
 SOURCE: Anales de Quimica, Serie C: Quimica Organica y Bioquimica (1986), 82(3), 263-5  
 CODEN: AQSBD6; ISSN: 0211-1357  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Spanish  
 OTHER SOURCE(S): CASREACT 108:187126  
 GI



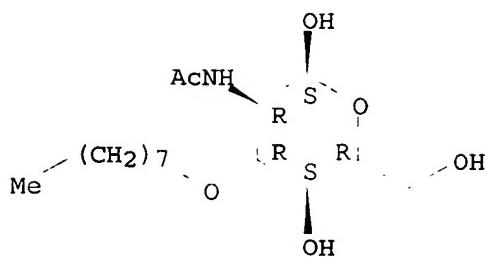
- AB Alkylation of benzyl 2-acetamido-4,6-O-benzylidene-2-deoxy- $\alpha$ -D-glucopyranoside with n-octyl, n-dodecyl, or n-hexadecyl bromide (NaH, dioxane or KOH, DMSO) gave 70-93% the corresponding 3-O-alkyl derivs., which on sequential debenzylidenation (HCl, Me2CO) and debenzylation (H, Pd, MeOH) gave the title compds. I (R = octyl, dodecyl, hexadecyl).  
 IT 110527-18-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and acetylation of)  
 RN 110527-18-9 HCPLUS  
 CN  $\alpha$ -D-Glucopyranose, 2-(acetylamino)-2-deoxy-3-O-dodecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



- IT 110527-17-8P 110527-19-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 110527-17-8 HCPLUS  
 CN  $\alpha$ -D-Glucopyranose, 2-(acetylamino)-2-deoxy-3-O-octyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 110527-19-0 HCPLUS

CN  $\alpha$ -D-Glucopyranose, 2-(acetylamino)-2-deoxy-3-O-hexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

